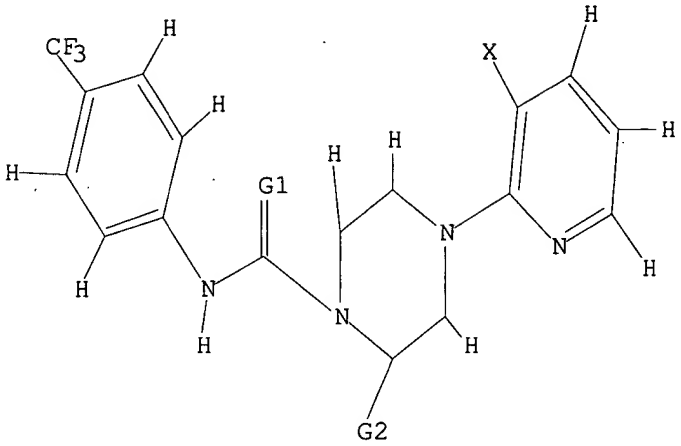
(1)

Narrow Search Example 2

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S
G2 Me,Et,n-Bu

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:40:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.04

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4 TO 200
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:41:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 73 TO ITERATE

100.0% PROCESSED 73 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.05

L3 6 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.28	140.49

FILE 'CAPLUS' ENTERED AT 12:41:18 ON 30 OCT 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 30 Oct 2002 VOL 137 ISS 18
FILE LAST UPDATED: 29 Oct 2002 (20021029/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l3
L4 1 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:90039 CAPLUS
DOCUMENT NUMBER: 136:134792
TITLE: Preparation of diarylpiperazines as capsaicin receptor ligands
INVENTOR(S): Bakthavatchalam, Rajagopal
PATENT ASSIGNEE(S): Neurogen Corporation, USA; Hutchison, Alan; Desimone, Robert W.; Hodgetts, Keven J.; Krause, James E.; White, Geoffrey G.
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

OWN WORK

WO 2002008221 A2 20020131 WO 2001-US22930 20010720
WO 2002008221 A3 20020711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002132853 A1 20020919 US 2001-910442 20010720
PRIORITY APPLN. INFO.: US 2000-219529P P 20000720
US 2000-230726P P 20000907
US 2001-280223P P 20010330
OTHER SOURCE(S): MARPAT 136:134792

AB Disclosed are diaryl piperazines and related compds. represented by general formula Ar1-A-C(:Z)-NR1-CR3R4-CR3R4-N(R2)Ar2 [I; A = absent, O, S, NRA, CRBRB', NRACRBRB', CRBRB'NRA, -CRA:CRB-, C3H4 (wherein RA, RB, RB' = H, alkyl); Z = O, S; R1, R2 = H, alkyl; R3, R4 = H, halo, HO, NH2, cyano, NO2, CO2H, CHO, each optionally substituted alkyl, alkenyl, alkynyl, alkoxy, mono or dialkylamino, alkylthio, alkyl ketone, alkyl ester, alkylsulfinyl, alkylsulfonyl, mono- or dialkylcarboxamide, -S(O)nNH(alkyl), -S(O)nN(alkyl)(alkyl), -NHCO(alkyl), NHCO(alkyl)(alkyl), -NHS(O)(alkyl), -NS(O)n(alkyl)(alkyl), substituted satd. or partially unsatd. heterocycloalkyl of from 5 to 8 atoms contg. 1, 2, or 3 heteroatoms selected from N, O, and S, aryl having from 1 to 3 rings, or heteroaryl; or any two R3 and R4 not attached to the same carbon may be joined to form an each optionally substituted aryl ring, a satd. or partially unsatd. carbocyclic ring of from 5 to 8 members, or a satd., partially unsatd., or arom. heterocyclic ring of from 5 to 8 members contg. 1, 2, or 3 heteroatoms selected from N, O, and S; Ar1, Ar2 = optionally substituted cycloalkyl, heterocycloalkyl, or heteroaryl; n = 0, 1, and 2]. These compds. are selective modulators, in particular antagonists, of capsaicin receptors, including human capsaicin receptors, and are, therefore, useful in the treatment of a chronic and acute pain conditions, itch and urinary incontinence. The above pain is assocd. with a condition selected from the group consisting of postmastectomy pain syndrome, stump pain, phantom limb pain, oral neuropathic pain, Charcot's pain, toothache, venomous snake bite, spider bite, insect sting, postherpetic neuralgia, diabetic neuropathy, reflex sympathetic dystrophy, trigeminal neuralgia, osteoarthritis, rheumatoid arthritis, fibromyalgia, Guillain-Barre syndrome, meralgia paresthetica, burning-mouth syndrome, bilateral peripheral neuropathy, causalgia, sciatic neuritis, peripheral neuritis, polyneuritis, optic neuritis, postfebrile neuritis, migrating neuritis, segmental neuritis, Gombault's neuritis, neuronitis, cervicobrachial neuralgia, cranial neuralgia, geniculate neuralgia, glossopharyngial neuralgia, migrainous neuralgia, idiopathic neuralgia, intercostals neuralgia, mammary neuralgia, mandibular joint neuralgia, Morton's neuralgia, nasociliary neuralgia, occipital neuralgia, red neuralgia, Sluder's neuralgia, splenopalatine neuralgia, supraorbital

neuralgia, vidian neuralgia, sinus headache, tension headache, labor, childbirth, intestinal gas, menstruation, cancer, and trauma. Methods of treatment of such disorders as well as packaged pharmaceutical compns. are also provided. Compds. of the invention are also useful as probes for the localization of capsaicin receptors and as stds. in assays for capsaicin receptor binding and capsaicin receptor mediated cation conductance. Thus,202 mg Et3N was added to a mixt. of 212 mg (R)-1-(3-Chloropyridin-2-yl)-3-methylpiperazine and 269 mg (4-sec-Butylphenyl)carbamic acid Ph ester in CHCl3 and refluxed for 4 h to give (R)-4-(3-Chloropyridin-2-yl)-2-methylpiperazine-1-carboxylic acid (4-sec-butylphenyl)amide. Compds. I. e.g. N-(4-tert-butylphenyl)-4-(3-chloropyridin-2-yl)piperazine-1-carboxamide, in vitro showed EC50 of <1 .mu.M in an antagonist assay for capsaicin receptor-mediated calcium mobilization using human embryonic kidney (HEK293) cells transfected with a pcDNA3.1 encoding the full length human capsaicin receptor. Methods of using the compds. in receptor localization studies are given.

IT **393513-97-8P 393514-28-8P 393514-39-1P 393514-73-3P 393514-89-1P 393515-62-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylpiperazines as capsaicin receptor ligands for therapeutic agents)

RN 393513-97-8 CAPLUS
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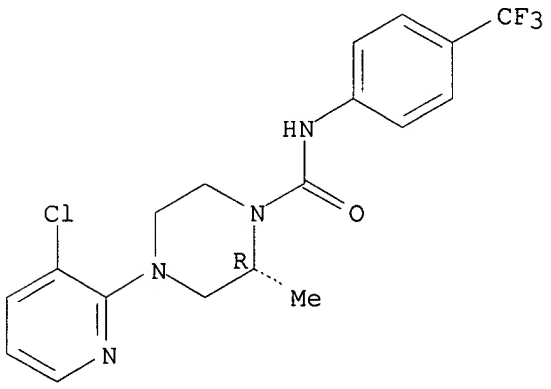
Absolute stereochemistry. Rotation (-).



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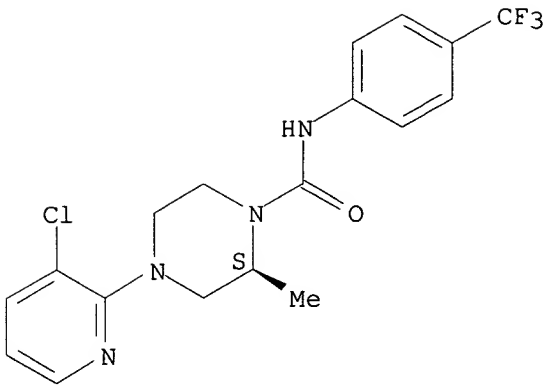
Absolute stereochemistry.



RN 393514-39-1 CAPLUS
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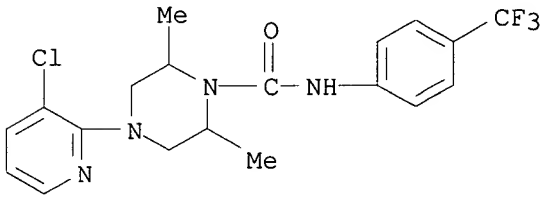

RN 393514-73-3 CAPLUS
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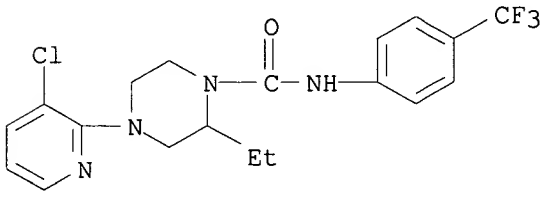

RN 393514-89-1 CAPLUS
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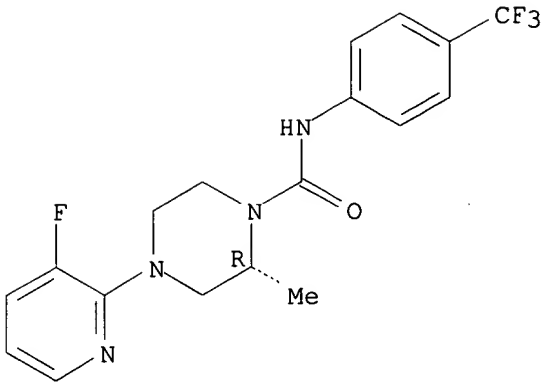
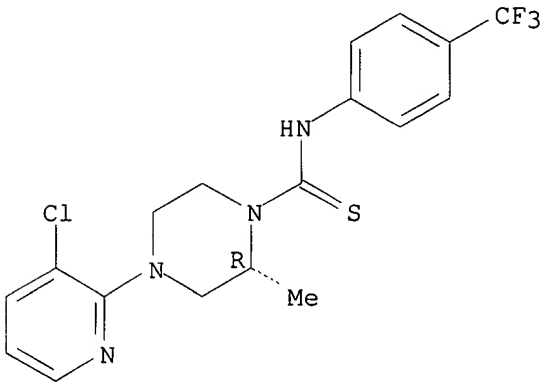
Absolute stereochemistry.



RN 393515-62-3 CAPLUS
CN 1-Piperazinecarbothioamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

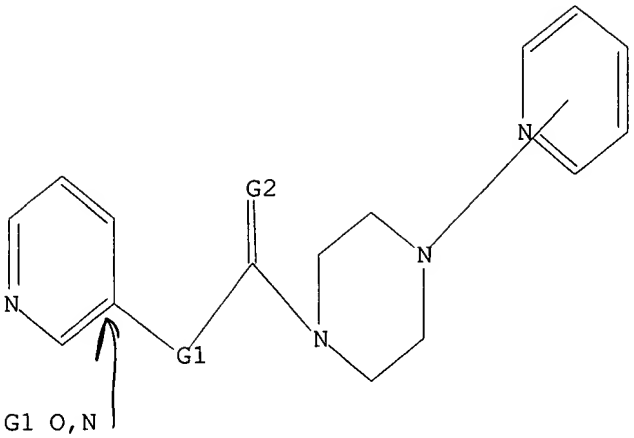


=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	4.79	145.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.62	-0.62

STN INTERNATIONAL LOGOFF AT 12:41:46 ON 30 OCT 2002



G1 O,N

G2 O,S

Structure attributes must be viewed using STN Express query preparation.

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=> s l1
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SAMPLE SCREEN SEARCH COMPLETED -      5 TO ITERATE

100.0% PROCESSED       5 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            5 TO      234
PROJECTED ANSWERS:               1 TO       80

L2            1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:07:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     98 TO ITERATE

100.0% PROCESSED      98 ITERATIONS                                2 ANSWERS
SEARCH TIME: 00.00.02

L3            2 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   140.28     140.49

FILE 'CAPLUS' ENTERED AT 16:07:17 ON 30 OCT 2002
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
```

Habte <10/30/2002

FILE COVERS 1907 - 30 Oct 2002 VOL 137 ISS 18
FILE LAST UPDATED: 29 Oct 2002 (20021029/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l3
L4 2 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:90039 CAPLUS
DOCUMENT NUMBER: 136:134792
TITLE: Preparation of diarylpiperazines as capsaicin receptor ligands
INVENTOR(S): Bakthavatchalam, Rajagopal
PATENT ASSIGNEE(S): Neurogen Corporation, USA; Hutchison, Alan; Desimone, Robert W.; Hodgetts, Keven J.; Krause, James E.; White, Geoffrey G.
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008221	A2	20020131	WO 2001-US22930	20010720
WO 2002008221	A3	20020711		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

Own work

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002132853 A1 20020919 US 2001-910442 20010720
PRIORITY APPLN. INFO.: US 2000-219529P P 20000720
US 2000-230726P P 20000907
US 2001-280223P P 20010330
OTHER SOURCE(S): MARPAT 136:134792

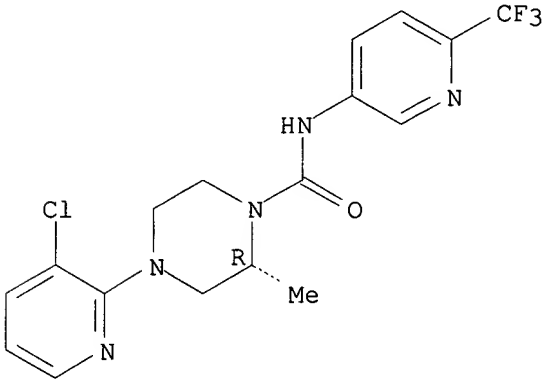
AB Disclosed are diaryl piperazines and related compds. represented by general formula Ar1-A-C(:Z)-NR1-CR3R4-CR3R4-N(R2)Ar2 [I; A = absent, O, S, NRA, CRBRB', NRACRBRB', CRBRB'NRA, -CRA:CRB-, C3H4 (wherein RA, RB, RB' = H, alkyl); Z = O, S; R1, R2 = H, alkyl; R3, R4 = H, halo, HO, NH2, cyano, NO2, CO2H, CHO, each optionally substituted alkyl, alkenyl, alkynyl, alkoxy, mono or dialkylamino, alkylthio, alkyl ketone, alkyl ester, alkylsulfinyl, alkylsulfonyl, mono- or dialkylcarboxamide, -S(O)nNH(alkyl), -S(O)nN(alkyl)(alkyl), -NHCO(alkyl), NHCO(alkyl)(alkyl), -NHS(O)(alkyl), -NS(O)n(alkyl)(alkyl), substituted satd. or partially unsatd. heterocycloalkyl of from 5 to 8 atoms contg. 1, 2, or 3 heteroatoms selected from N, O, and S, aryl having from 1 to 3 rings, or heteroaryl; or any two R3 and R4 not attached to the same carbon may be joined to form an each optionally substituted aryl ring, a satd. or partially unsatd. carbocyclic ring of from 5 to 8 members, or a satd., partially unsatd., or arom. heterocyclic ring of from 5 to 8 members contg. 1, 2, or 3 heteroatoms selected from N, O, and S; Ar1, Ar2 = optionally substituted cycloalkyl, heterocycloalkyl, or heteroaryl; n = 0, 1, and 2]. These compds. are selective modulators, in particular antagonists, of capsaicin receptors, including human capsaicin receptors, and are, therefore, useful in the treatment of a chronic and acute pain conditions, itch and urinary incontinence. The above pain is assocd. with a condition selected from the group consisting of postmastectomy pain syndrome, stump pain, phantom limb pain, oral neuropathic pain, Charcot's pain, toothache, venomous snake bite, spider bite, insect sting, postherpetic neuralgia, diabetic neuropathy, reflex sympathetic dystrophy, trigeminal neuralgia, osteoarthritis, rheumatoid arthritis, fibromyalgia, Guillain-Barre syndrome, meralgia paresthetica, burning-mouth syndrome, bilateral peripheral neuropathy, causalgia, sciatic neuritis, peripheral neuritis, polyneuritis, optic neuritis, postfebrile neuritis, migrating neuritis, segmental neuritis, Gombault's neuritis, neuronitis, cervicobrachial neuralgia, cranial neuralgia, geniculate neuralgia, glossopharyngial neuralgia, migrainous neuralgia, idiopathic neuralgia, intercostals neuralgia, mammary neuralgia, mandibular joint neuralgia, Morton's neuralgia, nasociliary neuralgia, occipital neuralgia, red neuralgia, Sluder's neuralgia, splenopalatine neuralgia, supraorbital neuralgia, vidian neuralgia, sinus headache, tension headache, labor, childbirth, intestinal gas, menstruation, cancer, and trauma. Methods of treatment of such disorders as well as packaged pharmaceutical compns. are also provided. Compds. of the invention are also useful as probes for the localization of capsaicin receptors and as stds. in assays for capsaicin receptor binding and capsaicin receptor mediated cation conductance.

Thus,202 mg Et3N was added to a mixt. of 212 mg (R)-1-(3-Chloropyridin-2-yl)-3-methylpiperazine and 269 mg (4-sec-Butylphenyl)carbamic acid Ph ester in CHCl3 and refluxed for 4 h to give (R)-4-(3-Chloropyridin-2-yl)-2-methylpiperazine-1-carboxylic acid (4-sec-butylphenyl)amide. Compds. I. e.g. N-(4-tert-butylphenyl)-4-(3-chloropyridin-2-yl)piperazine-1-carboxamide, in vitro showed EC50 of <1 .mu.M in an antagonist assay for capsaicin receptor-mediated calcium mobilization using human embryonic kidney (HEK293) cells transfected with a pcDNA3.1 encoding the full length human capsaicin receptor. Methods of using the compds. in receptor localization studies are given.

IT **393515-09-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylpiperazines as capsaicin receptor ligands for therapeutic agents)

RN 393515-09-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[6-(trifluoromethyl)-3-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:541241 CAPLUS
DOCUMENT NUMBER: 125:195690
TITLE: Preparation of piperazine derivatives as antitumor agents
INVENTOR(S): Cho, Eui-Hwan; Chung, Sun-Gan; Kim, Joong-Young; Lee, Sun-Hwan; Kwon, Ho-Seok; Kim, Byung-Chul; Kong, Jae-Myeong; Lee, Jae-Eung; Kang, Dong-Wook
PATENT ASSIGNEE(S): Samjin Pharmaceutical Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9621648	A1	19960718	WO 1996-KR5	19960110
W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, MX, NO, NZ, PL, RO, RU, SG, SK, TR, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2184919	AA	19960718	CA 1996-2184919	19960110
AU 9644007	A1	19960731	AU 1996-44007	19960110
AU 699619	B2	19981210		
EP 749425	A1	19961227	EP 1996-900459	19960110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1145620	A	19970319	CN 1996-190006	19960110
BR 9605309	A	19971014	BR 1996-5309	19960110
JP 09511764	T2	19971125	JP 1996-521570	19960110
JP 2978967	B2	19991115		
RU 2126001	C1	19990210	RU 1996-120092	19960110
RO 115159	B3	19991130	RO 1996-1781	19960110
CZ 288002	B6	20010314	CZ 1996-2960	19960110
SK 282252	B6	20011203	SK 1996-889	19960110
PL 183865	B1	20020731	PL 1996-316613	19960110
ZA 9600517	A	19960711	ZA 1996-517	19960123
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FI 9603566	A	19960910	FI 1996-3566	19960910
NO 9603792	A	19961111	NO 1996-3792	19960910

PRIORITY APPLN. INFO.: KR 1995-399 A 19950111
KR 1995-43607 A 19951124
WO 1996-KR5 W 19960110

OTHER SOURCE(S): MARPAT 125:195690
GI

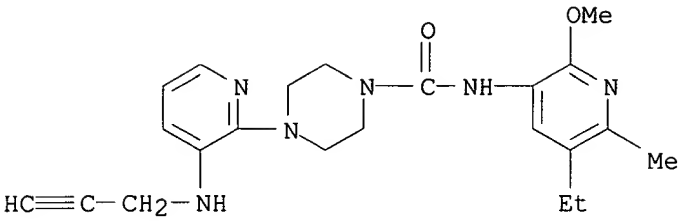
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I and II; R1, R2 = H, C1-8 alkyl, (substituted) C3-6 cycloalkyl, etc.; R3-R7 = H, halo, OH, etc.; l = 0-7; m, n = 0-1; W = C, N; X = O, S, (substituted) NH; Y = NH, O; Z = H, C1-8 alkoxy, aryloxy, etc.] and their salts were prepd. and formulated. Thus, reaction of carbamate III with piperazine IV in the presence of DBU in THF afforded 89% I [R1 = Me; R2 = Et; R3 = MeO; R4-R7 = H; l, m, n = 0; W = C; X = O; Y = NH; Z = MeO] which showed ED50 of 1.6 .mu.g/mL and 0.6 .mu.g/mL against L1210 and P388 mouse cancer cells, resp.

IT **180698-05-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazine derivs. as antitumor agents)

RN 180698-05-9 CAPLUS

CN 1-Piperazinecarboxamide, N-(5-ethyl-2-methoxy-6-methyl-3-pyridinyl)-4-[3-(2-propynylamino)-2-pyridinyl]- (9CI) (CA INDEX NAME)


OMe
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N
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C
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N
N
Me
HC≡C—CH2—NH
Et

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L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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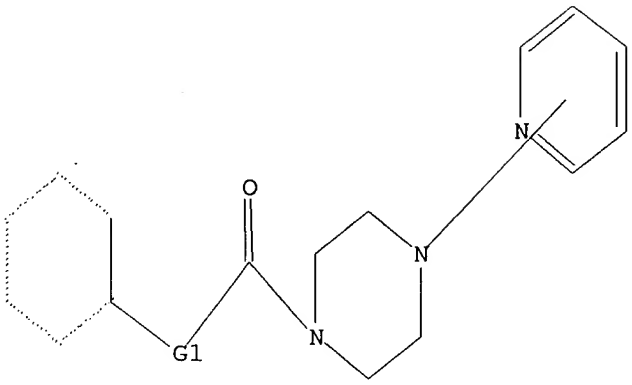


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G1 O,N

Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SEARCH INITIATED 15:49:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    168 TO ITERATE

100.0% PROCESSED     168 ITERATIONS                          11 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2583 TO     4137
PROJECTED ANSWERS:              22 TO      418

L2           11 SEA SSS SAM L1

=> s l1 sss full
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FULL SCREEN SEARCH COMPLETED -   3057 TO ITERATE

100.0% PROCESSED    3057 ITERATIONS                         312 ANSWERS
SEARCH TIME: 00.00.02

L3          312 SEA SSS FUL L1

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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FILE 'CAPLUS' ENTERED AT 15:49:36 ON 30 OCT 2002
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FILE COVERS 1907 - 30 Oct 2002 VOL 137 ISS 18
FILE LAST UPDATED: 29 Oct 2002 (20021029/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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L4 31 L3

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L4 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:770129 CAPLUS
TITLE: Preparation of 3-(hetero)aryl pyrazoles with 4,5(3,4)-bicyclic ring fusion as protein kinase inhibitors
INVENTOR(S): Doyle, Kevin J.; Rafferty, Paul; Steele, Robert W.; Wilkins, David J.; Arnold, Lee D.; Hockley, Michael; Ericsson, Anna M.; Iwasaki, Nobuhiko; Ogawa, Nobuo
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: U.S., 69 pp., Cont.-in-part of WO 2000 27,822.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 6462036	B1	20021008	US 2000-573366	20000517
WO 2000027822	A2	20000518	WO 1999-US26105	19991104
WO 2000027822	A3	20000810		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001087846	A2	20011122	WO 2001-US16153	20010517
WO 2001087846	A3	20020321		

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PRIORITY APPLN. INFO.:
US 1998-107467P P 19981106
WO 1999-US26105 A2 19991104
US 2000-573366 A1 20000517

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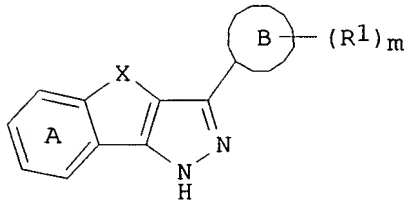

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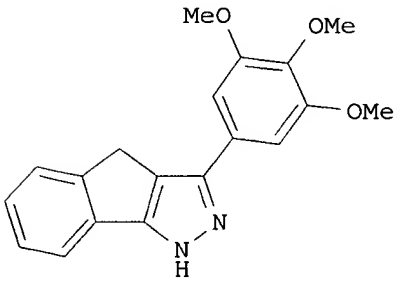


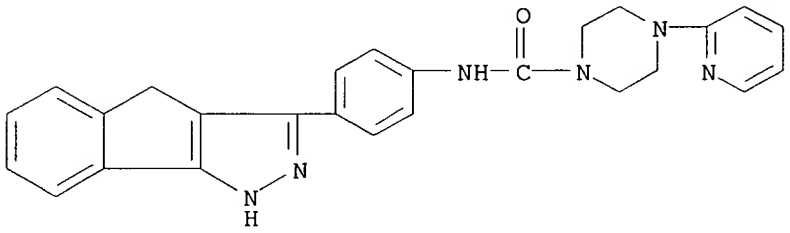
II

AB Title compds. I [m = 1-10; X = alkyl, CO, O, oximino, etc.; B = alkyl, cycloalkyl, aryl, pyridyl, thienyl, furyl, pyrrolyl; R1 = H, halo, hydroxy, nitro, cyano, hydroxyamidino, etc.; A = (un)substituted with one or more substituents selected from halo, alkyl, etc.] were prepd. For instance, indan-1-one hydrazone (prepn. given) was reacted with Me 3,4,5-trimethoxybenzoate (THF, n-BuLi, 0.degree.) and subsequently acidified with HCl (3 M) and heated to reflux for 1 h to give II. I are inhibitors of protein kinase activity and used for the treatment of, e.g., cancer, diabetic retinopathy, etc.

IT **268563-67-3P**, N-[4-(1,4-Dihydroindeno[1,2-c]pyrazol-3-yl)phenyl]-4-(2-pyridyl)-1-piperazinecarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(kinase inhibitor; 3-(hetero)aryl pyrazoles with 4,5(3,4)-bicyclic ring fusion as protein kinase inhibitors)

RN 268563-67-3 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)phenyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:504757 CAPLUS

DOCUMENT NUMBER: 137:78855

TITLE: Preparation of carbazoles as neuropeptide Y5 receptor ligands

INVENTOR(S): Block, Michael Howard; Foote, Kevin Michael; Donald, Craig Samuel; Schofield, Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051806	A1	20020704	WO 2001-GB5577	20011217

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-31382 A 20001222
GB 2001-21919 A 20010911

OTHER SOURCE(S): MARPAT 137:78855

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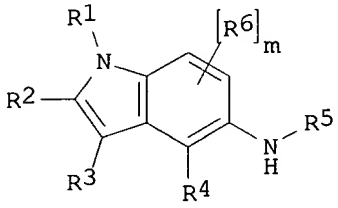


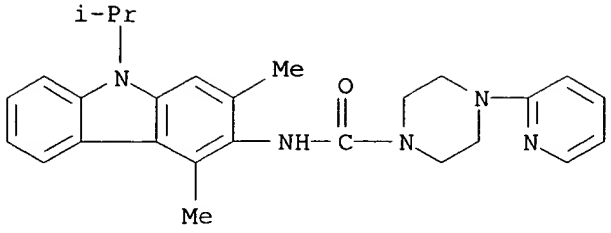
I

AB The title compds. [I; R1 = alkyl, alkanoyl, alkylsulfonyl, etc.; R2, R3 = Me; or R2 and R3 together = (un)substituted (CH2)4 or (CH)4; R4 = alkyl; R5 = CONR9R10, COR9, COCOR9; R6 = halo, CN, OH, etc.; R9, R10 = H, alkyl, alkoxy, etc.; or NR9R10 = (un)substituted heterocyclic ring; m = 0-2], useful as NPY 5 inhibitors in treating eating disorders, were prepd. and formulated. Thus, amidation of 4-morpholinecarbonyl chloride with 3-amino-2,4-dimethyl-9-isopropyl-9H-carbazole in the presence of Et3N in DCM afforded I [R1 = iso-Pr; R2 and R3 together = (CH)4; R4 = Me; R5 = morpholinocarbonyl; R6 = 2-Me; m = 1]. In general, compds. I possess an IC50 in the range 0.0002 to 200 .mu.M against NPY5.

IT **439861-67-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carbazoles as neuropeptide Y5 receptor ligands)

RN 439861-67-3 CAPLUS

CN 1-Piperazinecarboxamide, N-[2,4-dimethyl-9-(1-methylethyl)-9H-carbazol-3-yl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:90039 CAPLUS
DOCUMENT NUMBER: 136:134792
TITLE: Preparation of diarylpiperazines as capsaicin receptor ligands
INVENTOR(S): Bakthavatchalam, Rajagopal
PATENT ASSIGNEE(S): Neurogen Corporation, USA; Hutchison, Alan; Desimone, Robert W.; Hodgetts, Keven J.; Krause, James E.; White, Geoffrey G.
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008221	A2	20020131	WO 2001-US22930	20010720
WO 2002008221	A3	20020711		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002132853	A1	20020919	US 2001-910442	20010720

PRIORITY APPLN. INFO.: US 2000-219529P P 20000720
US 2000-230726P P 20000907
US 2001-280223P P 20010330
OTHER SOURCE(S): MARPAT 136:134792
AB Disclosed are diaryl piperazines and related compds. represented by general formula Ar1-A-C(:Z)-NR1-CR3R4-CR3R4-N(R2)Ar2 [I; A = absent, O, S, NRA, CRBRB', NRACRBRB', CRBRB'NRA, -CRA:CRB-, C3H4 (wherein RA, RB, RB' =

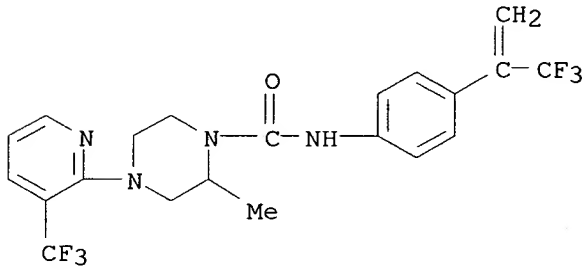
H, alkyl); Z = O, S; R1, R2 = H, alkyl; R3, R4 = H, halo, HO, NH2, cyano, NO2, CO2H, CHO, each optionally substituted alkyl, alkenyl, alkynyl, alkoxy, mono or dialkylamino, alkylthio, alkyl ketone, alkyl ester, alkylsulfinyl, alkylsulfonyl, mono- or dialkylcarboxamide, -S(O)nNH(alkyl), -S(O)nN(alkyl)(alkyl), -NHCO(alkyl), NHCO(alkyl)(alkyl), -NHS(O)(alkyl), -NS(O)n(alkyl)(alkyl), substituted satd. or partially unsatd. heterocycloalkyl of from 5 to 8 atoms contg. 1, 2, or 3 heteroatoms selected from N, O, and S, aryl having from 1 to 3 rings, or heteroaryl; or any two R3 and R4 not attached to the same carbon may be joined to form an each optionally substituted aryl ring, a satd. or partially unsatd. carbocyclic ring of from 5 to 8 members, or a satd., partially unsatd., or arom. heterocyclic ring of from 5 to 8 members contg. 1, 2, or 3 heteroatoms selected from N, O, and S; Ar1, Ar2 = optionally substituted cycloalkyl, heterocycloalkyl, or heteroaryl; n = 0, 1, and 2]. These compds. are selective modulators, in particular antagonists, of capsaicin receptors, including human capsaicin receptors, and are, therefore, useful in the treatment of a chronic and acute pain conditions, itch and urinary incontinence. The above pain is assocd. with a condition selected from the group consisting of postmastectomy pain syndrome, stump pain, phantom limb pain, oral neuropathic pain, Charcot's pain, toothache, venomous snake bite, spider bite, insect sting, postherpetic neuralgia, diabetic neuropathy, reflex sympathetic dystrophy, trigeminal neuralgia, osteoarthritis, rheumatoid arthritis, fibromyalgia, Guillain-Barre syndrome, meralgia paresthetica, burning-mouth syndrome, bilateral peripheral neuropathy, causalgia, sciatic neuritis, peripheral neuritis, polyneuritis, optic neuritis, postfebrile neuritis, migrating neuritis, segmental neuritis, Gombault's neuritis, neuronitis, cervicobrachial neuralgia, cranial neuralgia, geniculate neuralgia, glossopharyngial neuralgia, migrainous neuralgia, idiopathic neuralgia, intercostals neuralgia, mammary neuralgia, mandibular joint neuralgia, Morton's neuralgia, nasociliary neuralgia, occipital neuralgia, red neuralgia, Sluder's neuralgia, splenopalatine neuralgia, supraorbital neuralgia, vidian neuralgia, sinus headache, tension headache, labor, childbirth, intestinal gas, menstruation, cancer, and trauma. Methods of treatment of such disorders as well as packaged pharmaceutical compns. are also provided. Compds. of the invention are also useful as probes for the localization of capsaicin receptors and as stds. in assays for capsaicin receptor binding and capsaicin receptor mediated cation conductance. Thus,202 mg Et3N was added to a mixt. of 212 mg (R)-1-(3-Chloropyridin-2-yl)-3-methylpiperazine and 269 mg (4-sec-Butylphenyl)carbamic acid Ph ester in CHCl3 and refluxed for 4 h to give (R)-4-(3-Chloropyridin-2-yl)-2-methylpiperazine-1-carboxylic acid (4-sec-butylphenyl)amide. Compds. I. e.g. N-(4-tert-butylphenyl)-4-(3-chloropyridin-2-yl)piperazine-1-carboxamide, in vitro showed EC50 of <1 .mu.M in an antagonist assay for capsaicin receptor-mediated calcium mobilization using human embryonic kidney (HEK293) cells transfected with a pcDNA3.1 encoding the full length

human capsaicin receptor. Methods of using the compds. in receptor localization studies are given.

IT **393514-03-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of diarylpiperazines as capsaicin receptor ligands for therapeutic agents)

RN 393514-03-9 CAPLUS

CN 1-Piperazinecarboxamide, 2-methyl-N-[4-[1-(trifluoromethyl)ethenyl]phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

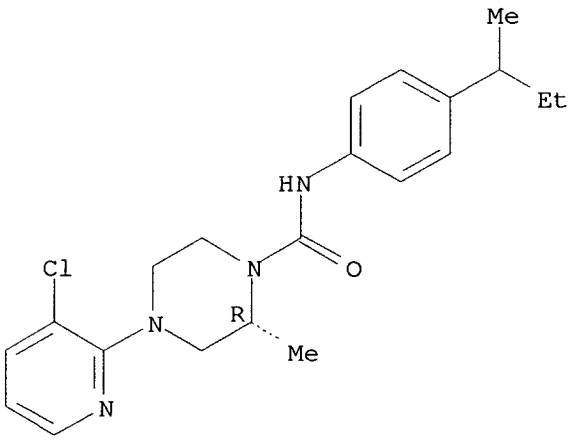


IT **393513-94-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylpiperazines as capsaicin receptor ligands)

RN 393513-94-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(1-methylpropyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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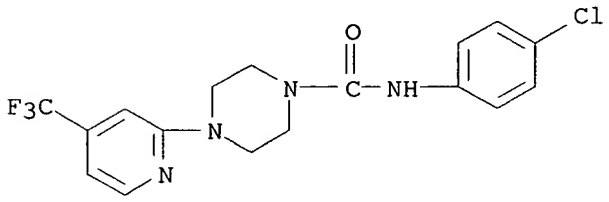
393515-67-8P 393517-00-5P 393517-01-6P
393517-02-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylpiperazines as capsaicin receptor ligands for therapeutic agents)

RN 257862-81-0 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-chlorophenyl)-4-[4-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



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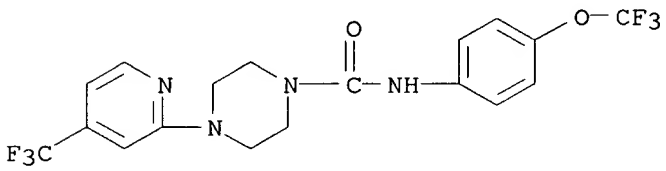

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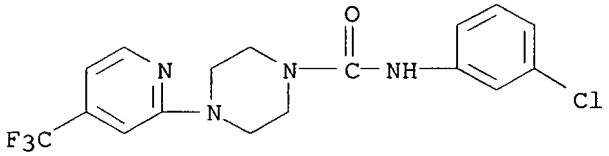

RN 260368-29-4 CAPLUS
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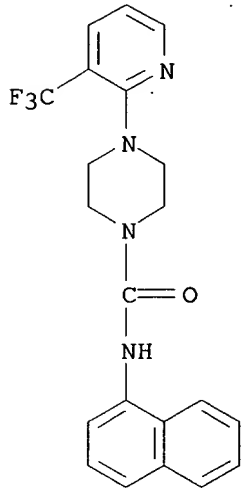

RN 260553-07-9 CAPLUS
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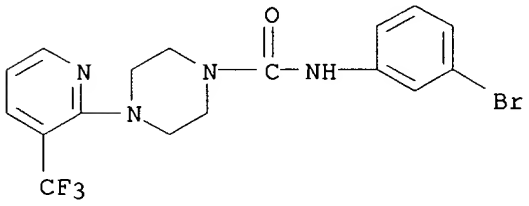
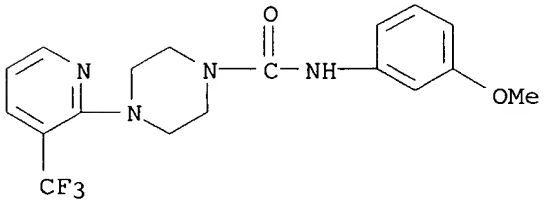
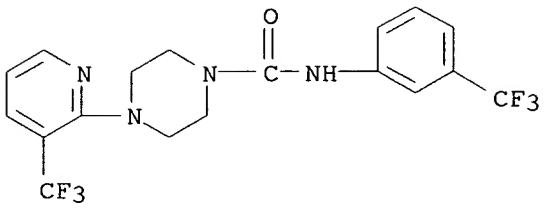


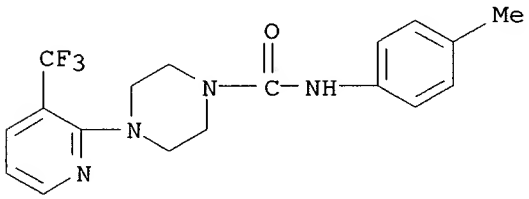
RN 260554-73-2 CAPLUS
CN 1-Piperazinecarboxamide, N-(3-methoxyphenyl)-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



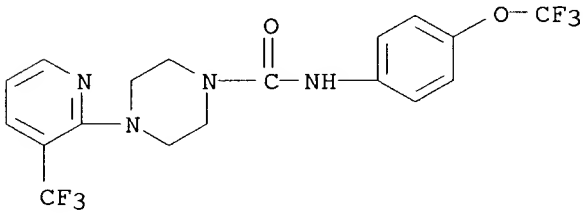
RN 260554-79-8 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-(trifluoromethyl)phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



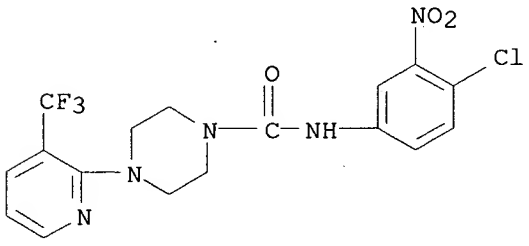
RN 260798-45-6 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-methylphenyl)-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



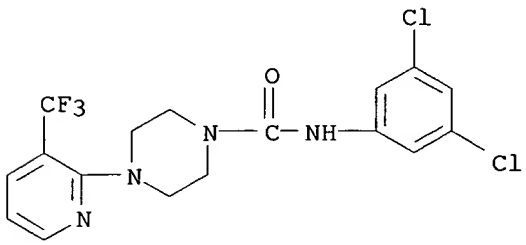
RN 260798-46-7 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(trifluoromethoxy)phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



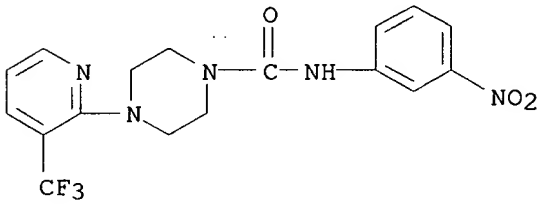
RN 260798-64-9 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-chloro-3-nitrophenyl)-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



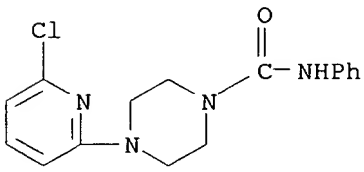
RN 260798-66-1 CAPLUS
CN 1-Piperazinecarboxamide, N-(3,5-dichlorophenyl)-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



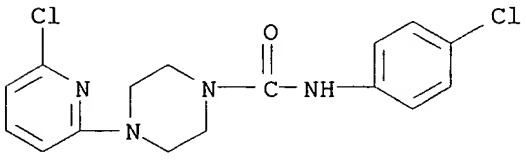
RN 260798-67-2 CAPLUS
CN 1-Piperazinecarboxamide, N-(3-nitrophenyl)-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 338778-03-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-(6-chloro-2-pyridinyl)-N-phenyl- (9CI) (CA INDEX NAME)

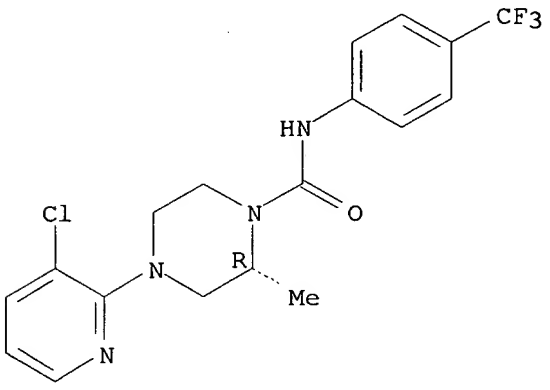


RN 339107-26-5 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-chlorophenyl)-4-(6-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



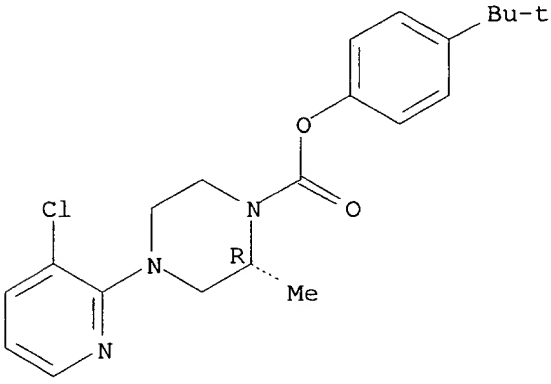
RN 393513-97-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

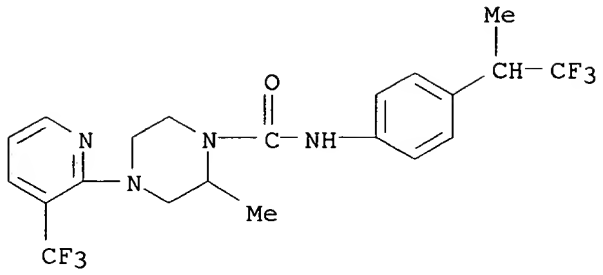


RN 393513-98-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-chloro-2-pyridinyl)-2-methyl-, 4-(1,1-dimethylethyl)phenyl ester, (2R)- (9CI) (CA INDEX NAME)

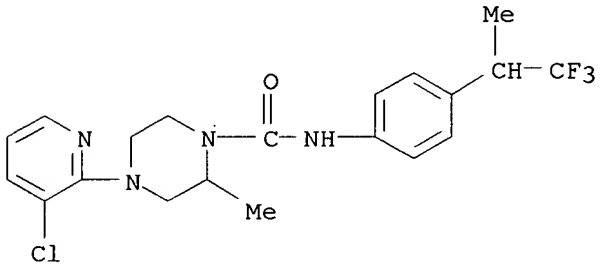
Absolute stereochemistry.



RN 393514-00-6 CAPLUS
CN 1-Piperazinecarboxamide, 2-methyl-N-[4-(2,2,2-trifluoro-1-methylethyl)phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

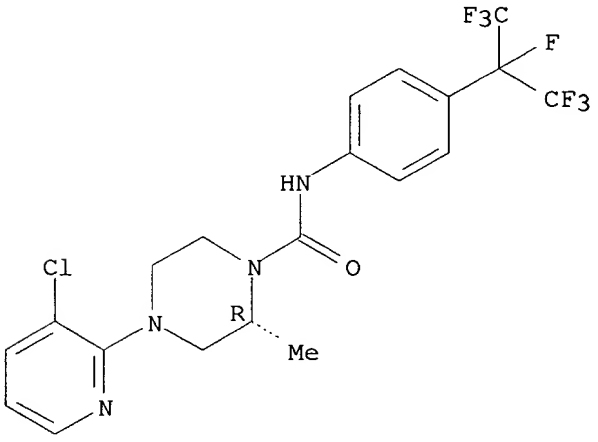


RN 393514-04-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(2,2,2-trifluoro-1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



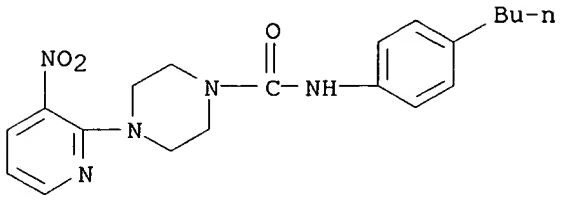
RN 393514-07-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

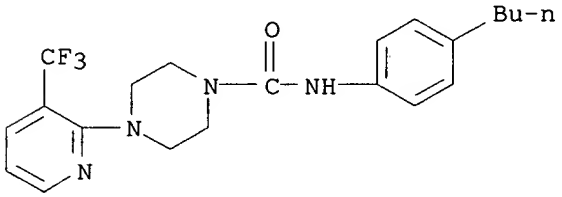


RN 393514-10-8 CAPLUS

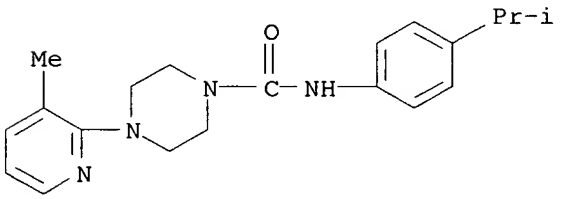
CN 1-Piperazinecarboxamide, N-(4-butylphenyl)-4-(3-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)



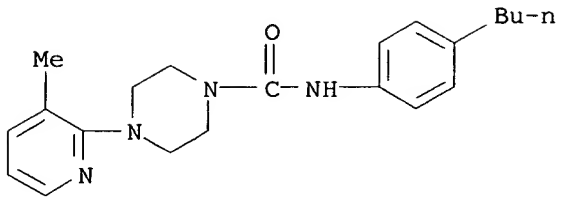
RN 393514-11-9 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-butylphenyl)-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



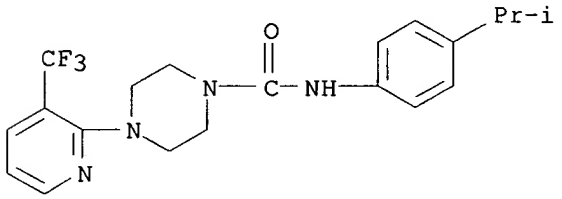
RN 393514-12-0 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1-methylethyl)phenyl]-4-(3-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



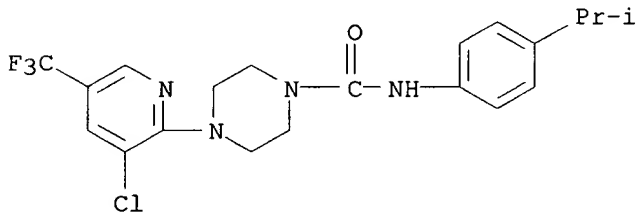
RN 393514-13-1 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-butylphenyl)-4-(3-methyl-2-pyridinyl)- (9CI)
(CA INDEX NAME)



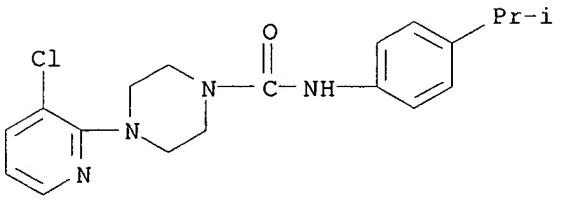
RN 393514-14-2 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1-methylethyl)phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



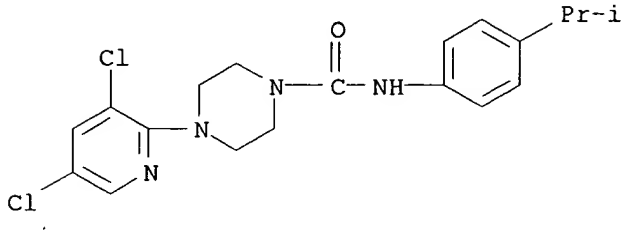
RN 393514-15-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



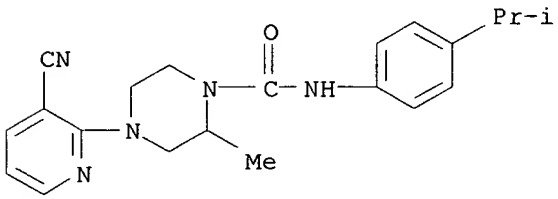
RN 393514-16-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



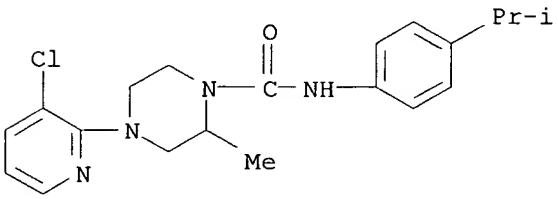
RN 393514-17-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3,5-dichloro-2-pyridinyl)-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 393514-20-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-cyano-2-pyridinyl)-2-methyl-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

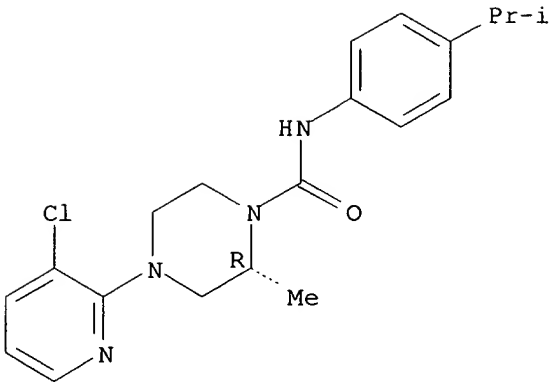


RN 393514-21-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



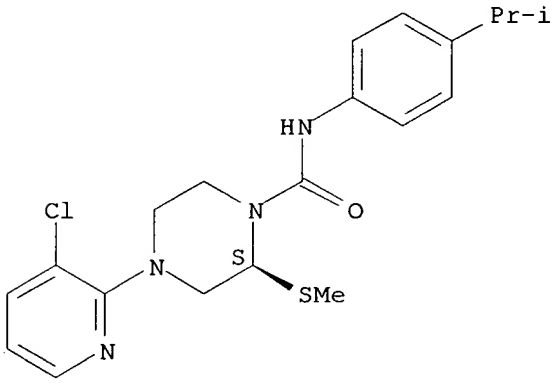
RN 393514-22-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(1-methylethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

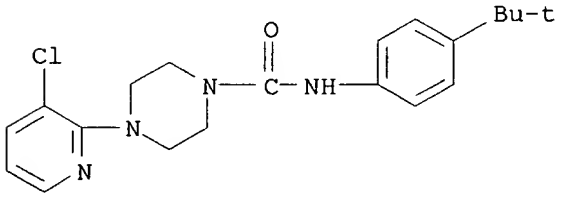


RN 393514-23-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1-methylethyl)phenyl]-2-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



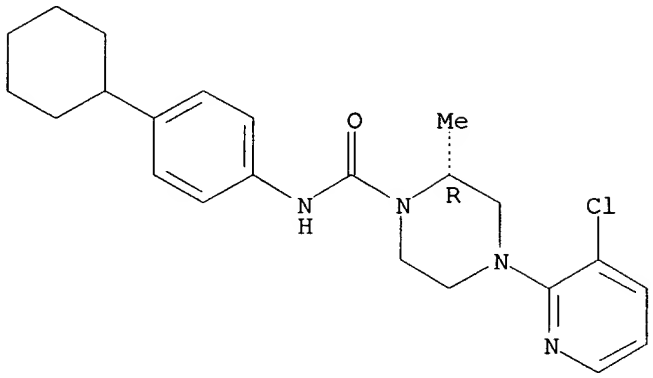
RN 393514-24-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 393514-25-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-(4-cyclohexylphenyl)-2-

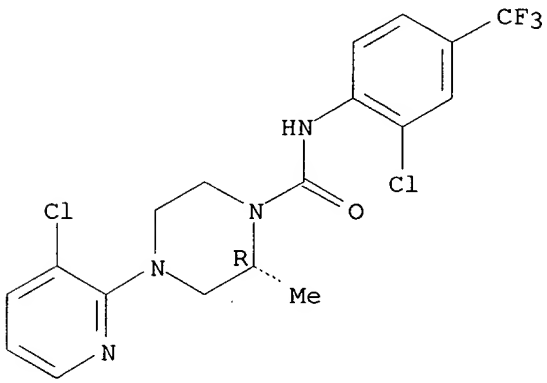
methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



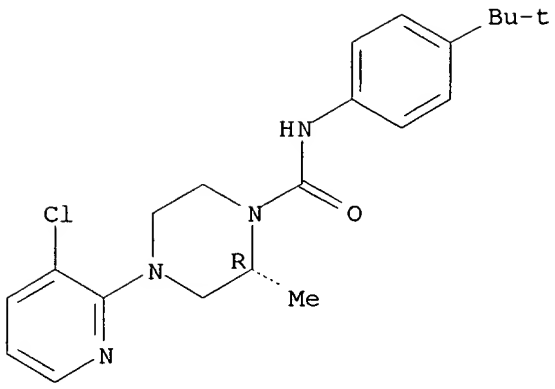
RN 393514-26-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[2-chloro-4-(trifluoromethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



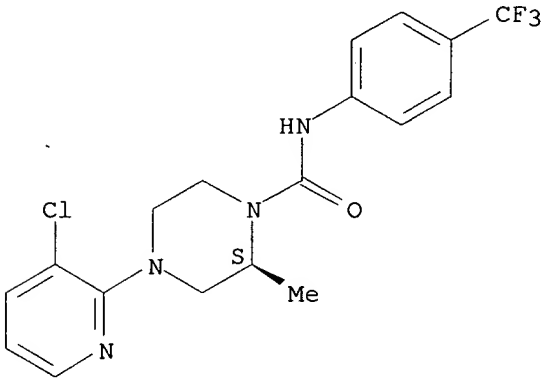
RN 393514-27-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1,1-dimethylethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



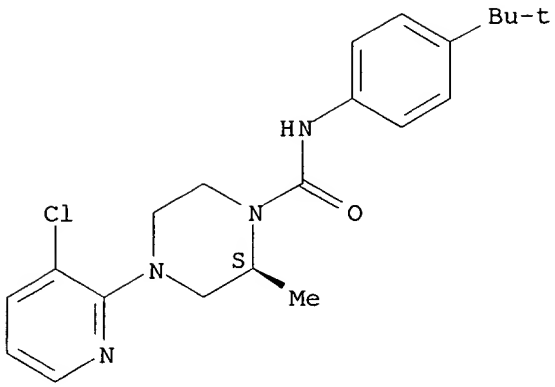
RN 393514-28-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



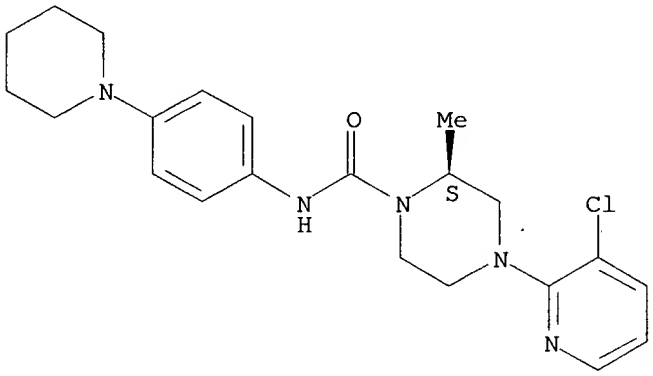
RN 393514-29-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1,1-dimethylethyl)phenyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



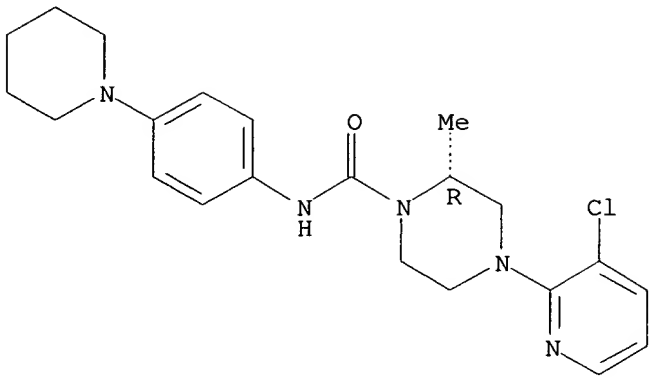
RN 393514-30-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(1-piperidinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



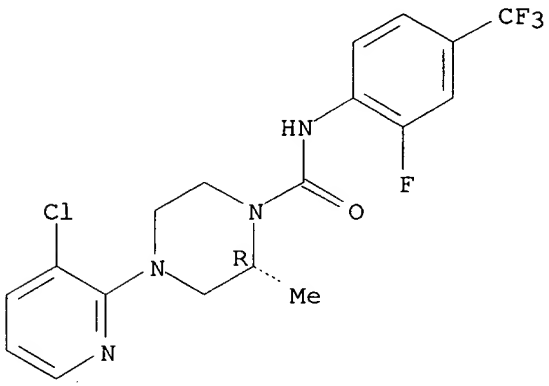
RN 393514-31-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(1-piperidinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



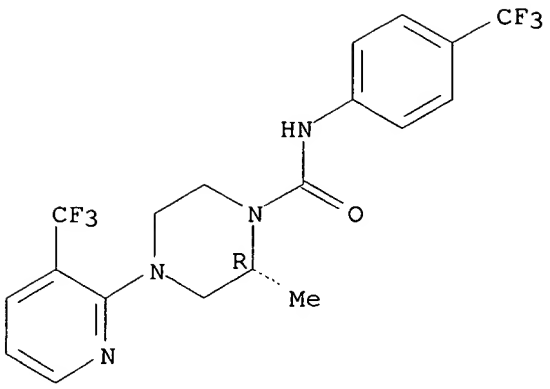
RN 393514-32-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[2-fluoro-4-(trifluoromethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



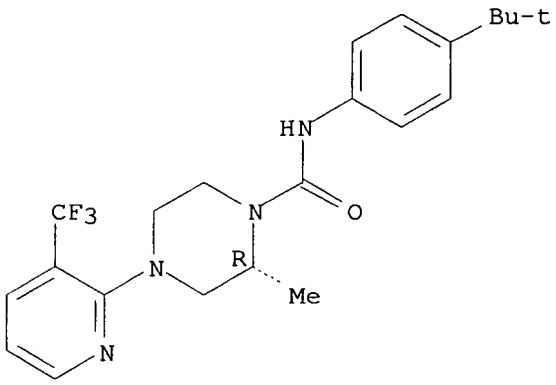
RN 393514-33-5 CAPLUS
CN 1-Piperazinecarboxamide, 2-methyl-N-[4-(trifluoromethyl)phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



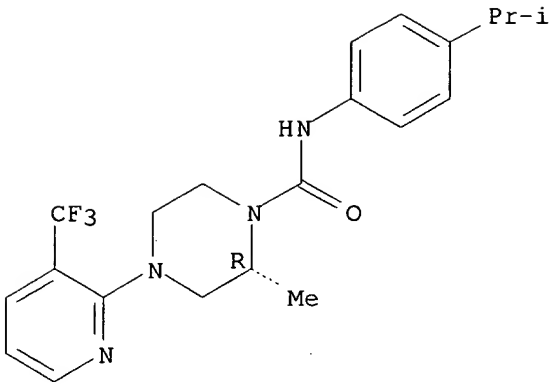
RN 393514-35-7 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-methyl-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

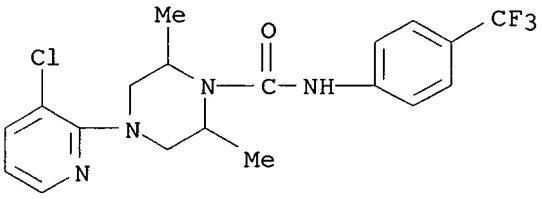


RN 393514-37-9 CAPLUS
CN 1-Piperazinecarboxamide, 2-methyl-N-[4-(1-methylethyl)phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

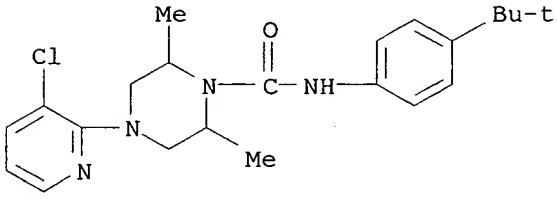
Absolute stereochemistry.



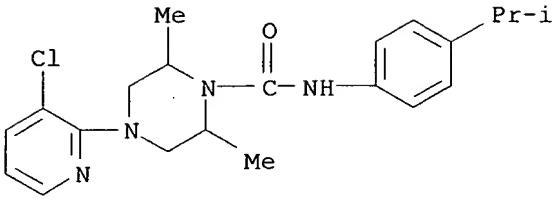
RN 393514-39-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2,6-dimethyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 393514-41-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1,1-dimethylethyl)phenyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

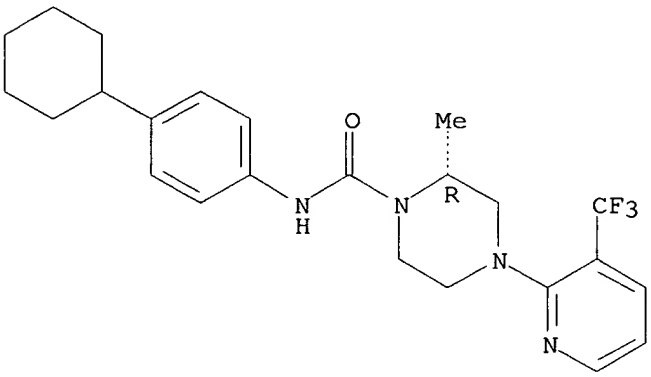


RN 393514-43-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2,6-dimethyl-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

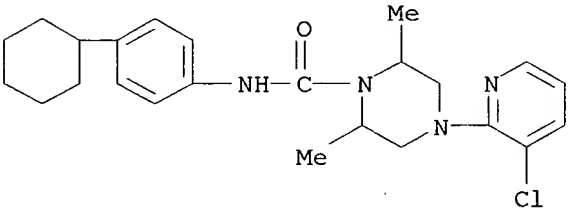


RN 393514-45-9 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-cyclohexylphenyl)-2-methyl-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

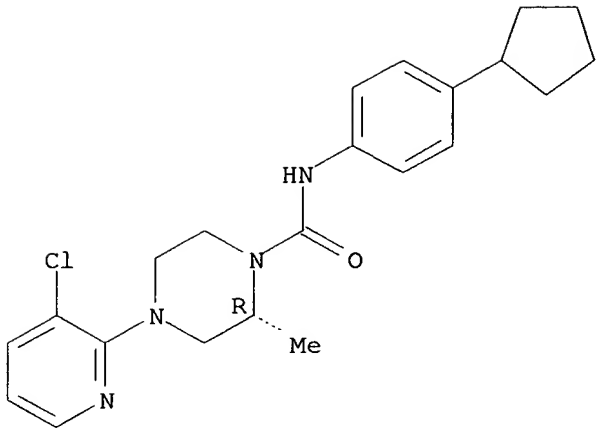


RN 393514-47-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-(4-cyclohexylphenyl)-2,6-dimethyl- (9CI) (CA INDEX NAME)



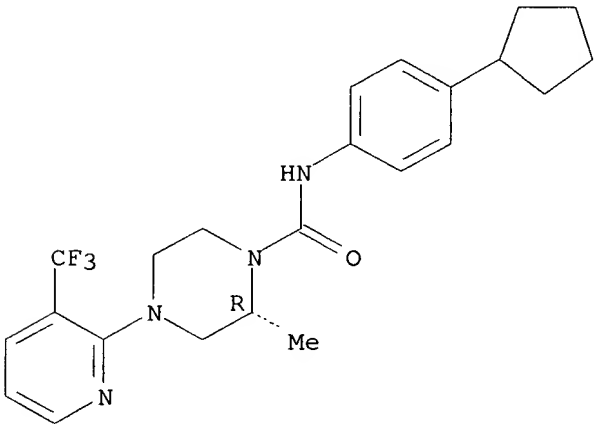
RN 393514-49-3 CAPLUS
CN 1-Piperazinecarboxamide,
4-(3-chloro-2-pyridinyl)-N-(4-cyclopentylphenyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



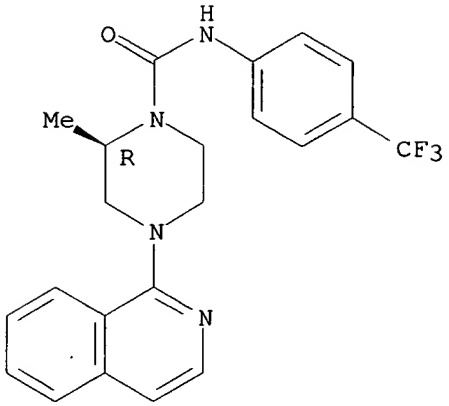
RN 393514-51-7 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-cyclopentylphenyl)-2-methyl-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



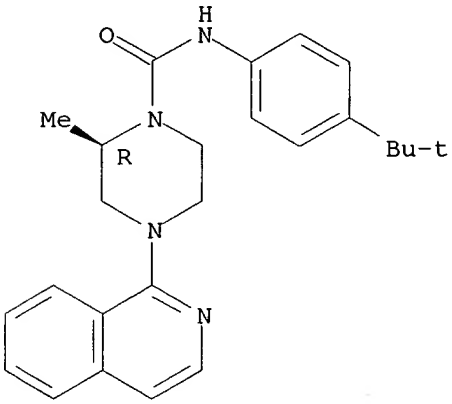
RN 393514-52-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(1-isoquinolinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



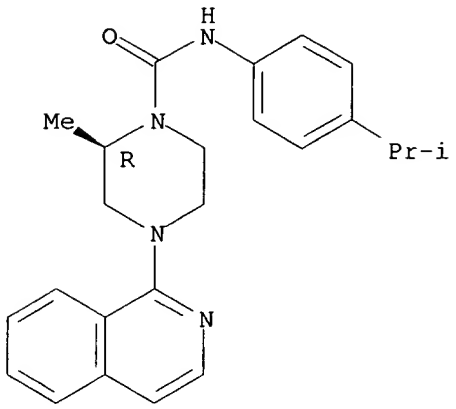
RN 393514-53-9 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-4-(1-isoquinolinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



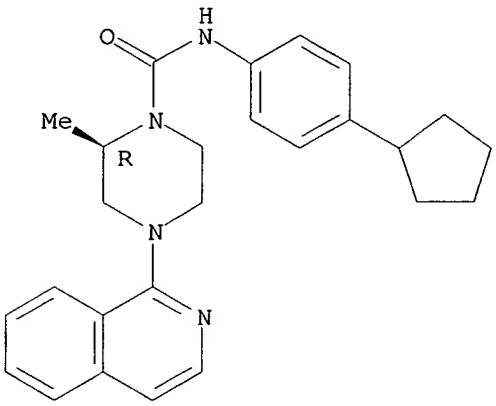
RN 393514-54-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-(1-isoquinolinyl)-2-methyl-N-[4-(1-methylethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



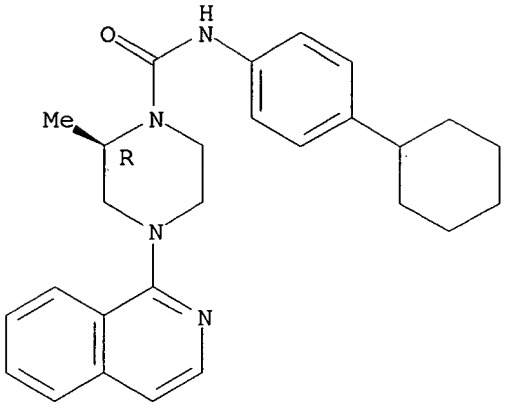
RN 393514-55-1 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-cyclopentylphenyl)-4-(1-isoquinolinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



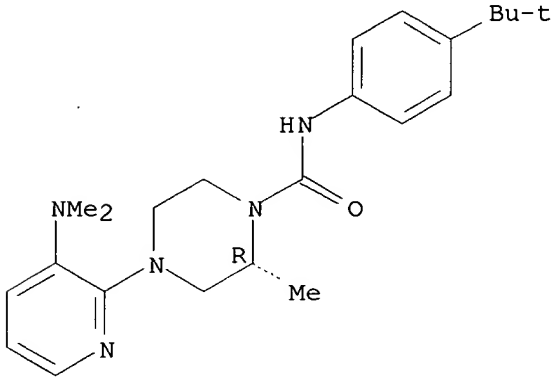
RN 393514-56-2 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-cyclohexylphenyl)-4-(1-isoquinolinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



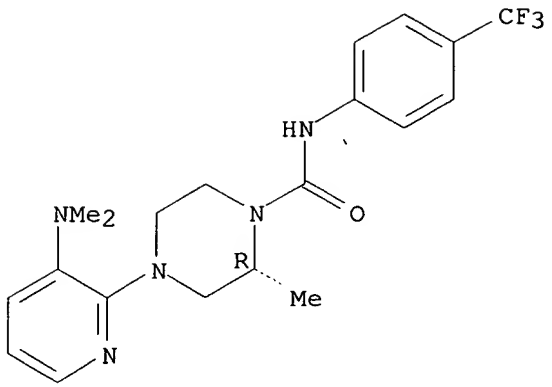
RN 393514-57-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-(dimethylamino)-2-pyridinyl]-N-[4-(1,1-dimethylethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



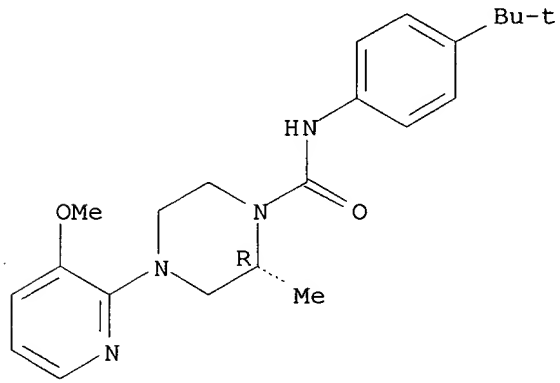
RN 393514-58-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-(dimethylamino)-2-pyridinyl]-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



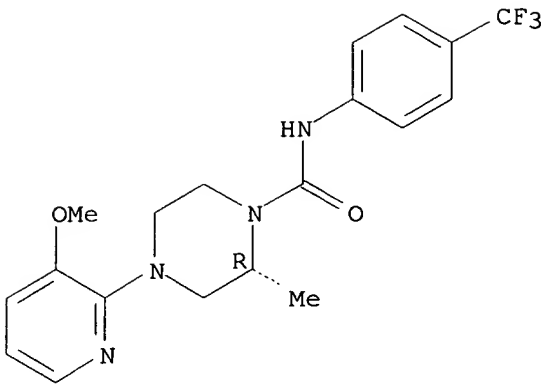
RN 393514-59-5 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-4-(3-methoxy-2-pyridinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



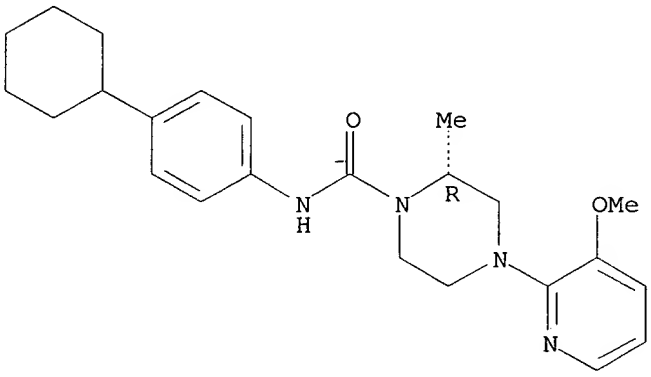
RN 393514-60-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-methoxy-2-pyridinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



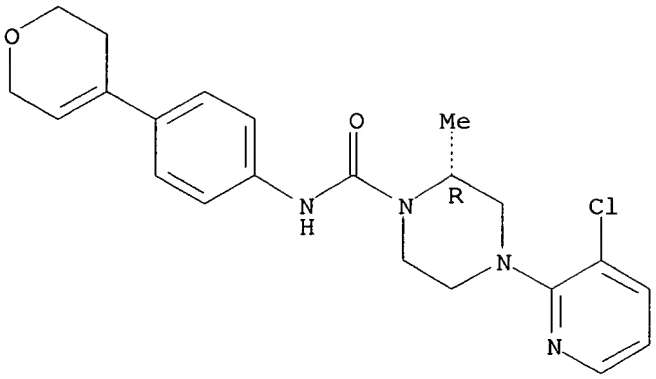
RN 393514-61-9 CAPLUS
CN 1-Piperazinecarboxamide,
N-(4-cyclohexylphenyl)-4-(3-methoxy-2-pyridinyl)-
2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



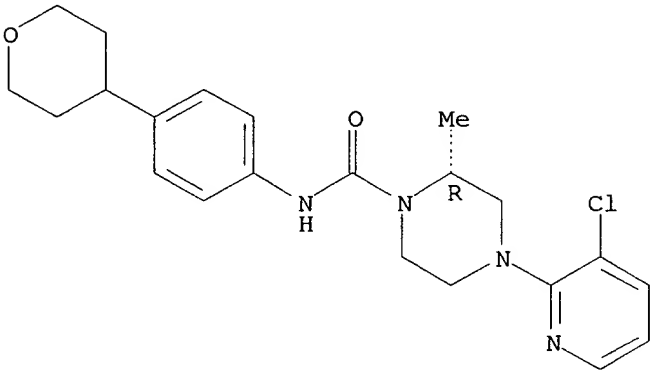
RN 393514-62-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(3,6-dihydro-2H-
pyran-4-yl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



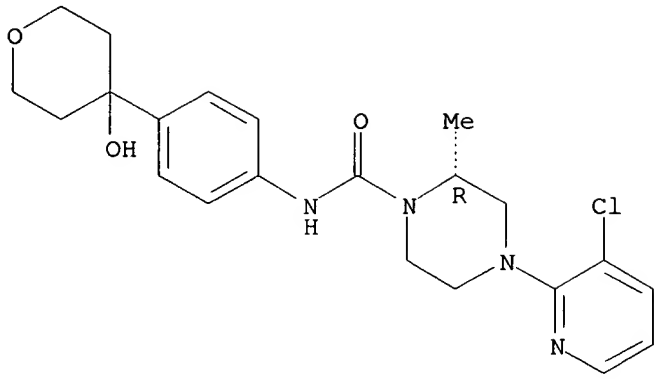
RN 393514-63-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(tetrahydro-2H-pyran-4-yl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



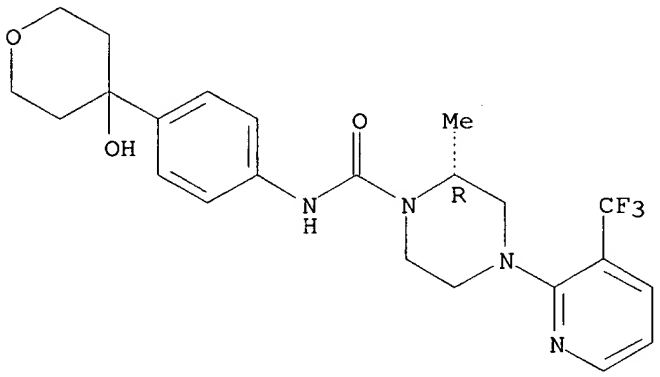
RN 393514-64-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(tetrahydro-4-hydroxy-2H-pyran-4-yl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



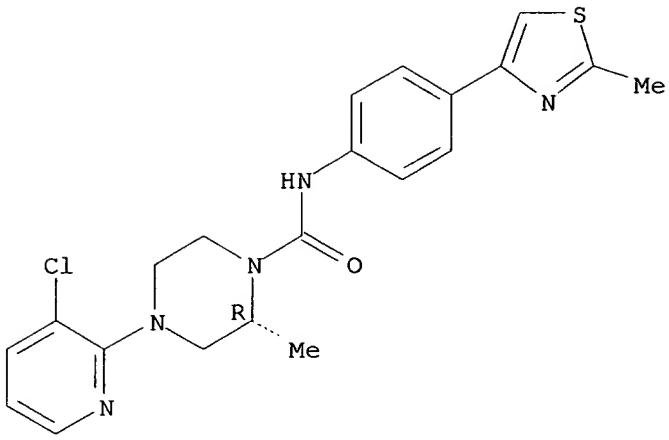
RN 393514-65-3 CAPLUS
CN 1-Piperazinecarboxamide, 2-methyl-N-[4-(tetrahydro-4-hydroxy-2H-pyran-4-yl)phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



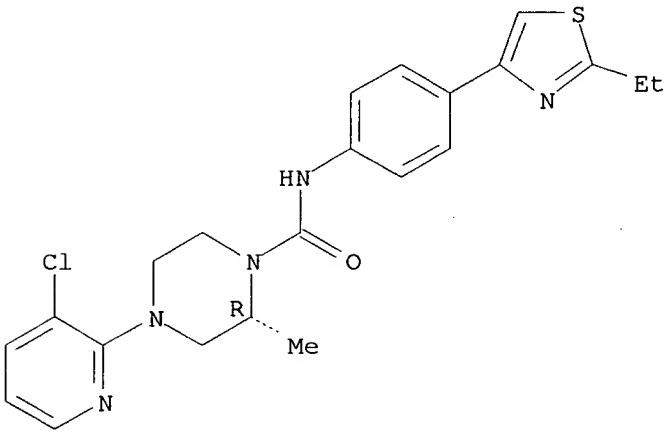
RN 393514-66-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(2-methyl-4-thiazolyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



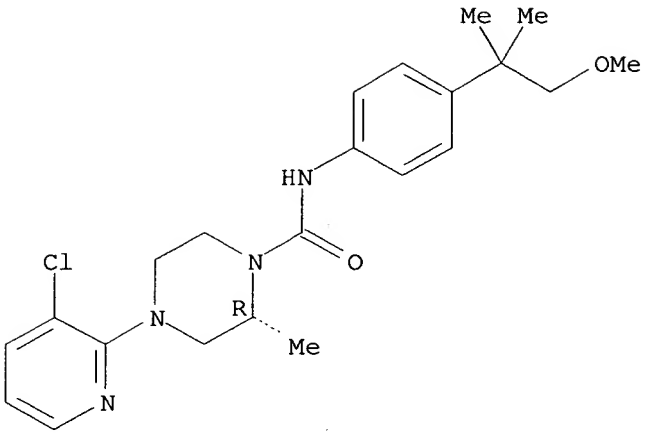
RN 393514-67-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(2-ethyl-4-thiazolyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



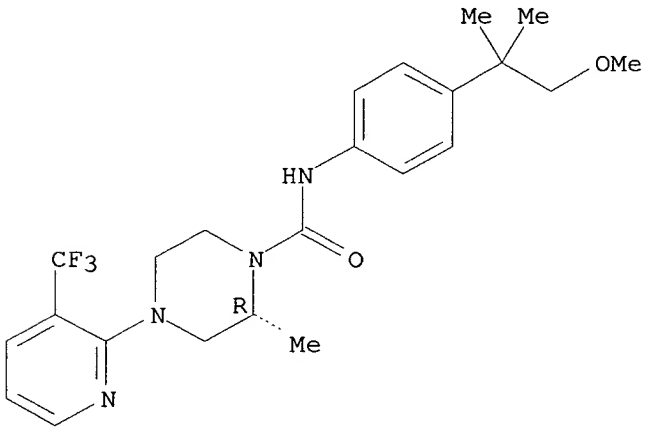
RN 393514-68-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(2-methoxy-1,1-dimethylethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



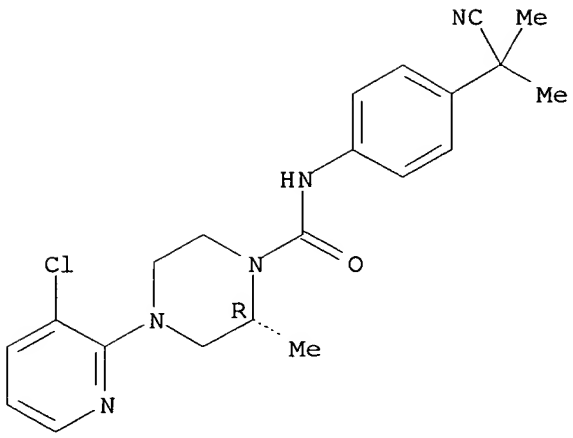
RN 393514-69-7 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(2-methoxy-1,1-dimethylethyl)phenyl]-2-methyl-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



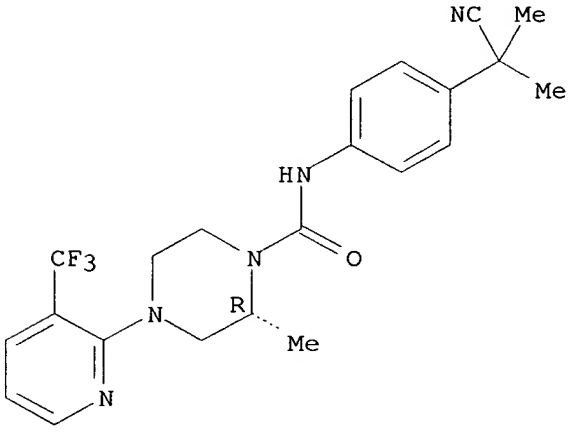
RN 393514-70-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1-cyano-1-methylethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

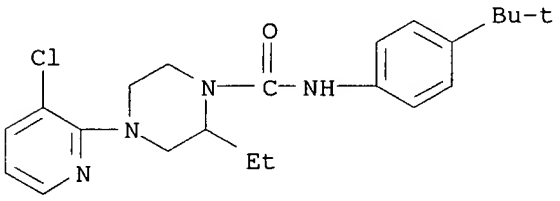


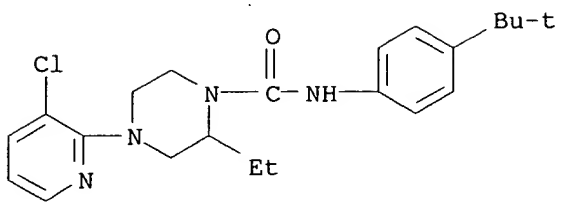
RN 393514-71-1 CAPLUS
CN 1-Piperazinecarboxamide,
N-[4-(1-cyano-1-methylethyl)phenyl]-2-methyl-4-[3-
(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

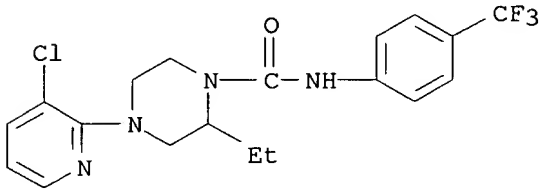


RN 393514-72-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1,1-
dimethylethyl)phenyl]-2-ethyl- (9CI) (CA INDEX NAME)

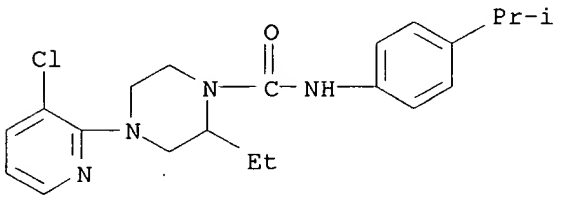




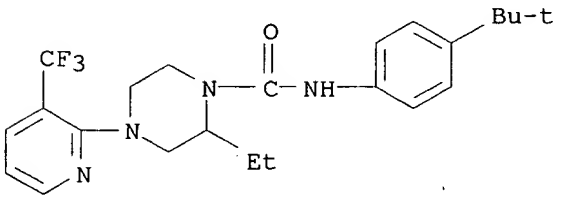
RN 393514-73-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-ethyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 393514-74-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-ethyl-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

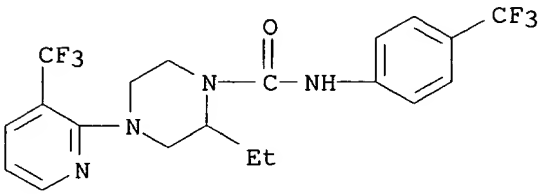


RN 393514-75-5 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-ethyl-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

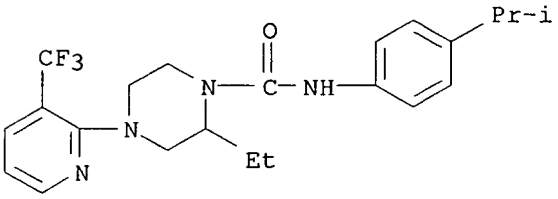


RN 393514-76-6 CAPLUS
CN 1-Piperazinecarboxamide, 2-ethyl-N-[4-(trifluoromethyl)phenyl]-4-[3-

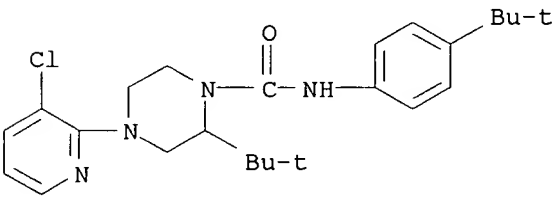
(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



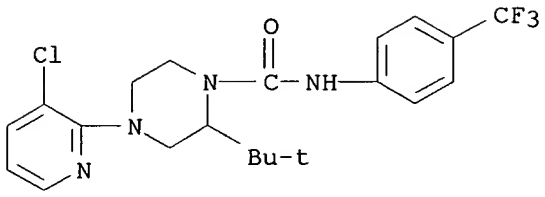
RN 393514-77-7 CAPLUS
CN 1-Piperazinecarboxamide, 2-ethyl-N-[4-(1-methylethyl)phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



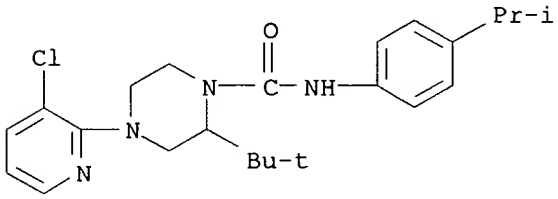
RN 393514-78-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-(1,1-dimethylethyl)-N-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



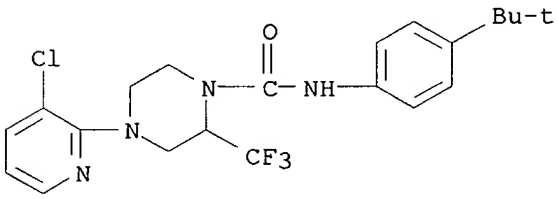
RN 393514-79-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-(1,1-dimethylethyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



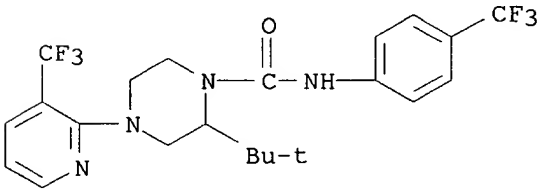
RN 393514-80-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-(1,1-dimethylethyl)-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



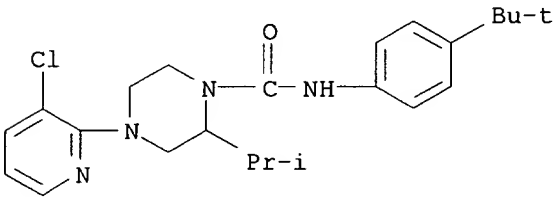
RN 393514-81-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1,1-dimethylethyl)phenyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



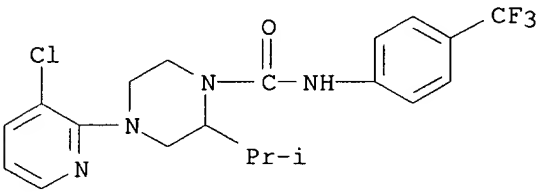
RN 393514-82-4 CAPLUS
CN 1-Piperazinecarboxamide, 2-(1,1-dimethylethyl)-N-[4-(trifluoromethyl)phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



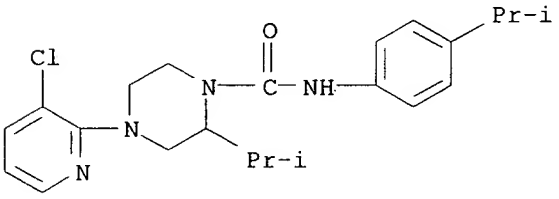
RN 393514-83-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1,1-dimethylethyl)phenyl]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



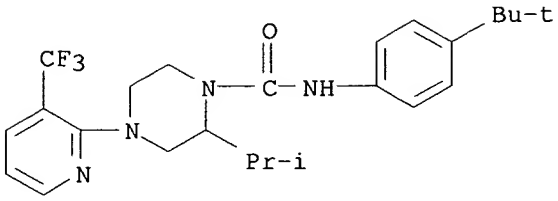
RN 393514-84-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-(1-methylethyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



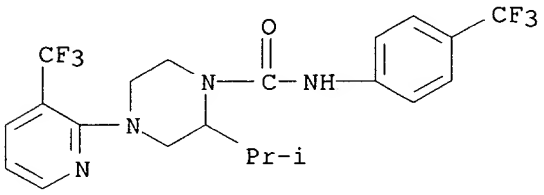
RN 393514-85-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-(1-methylethyl)-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



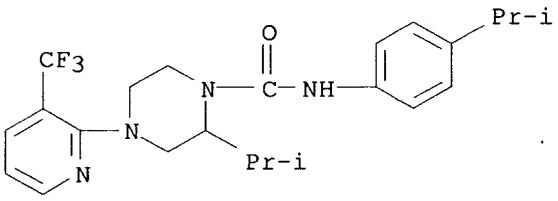
RN 393514-86-8 CAPLUS
CN 1-Piperazinecarboxamide,
N-[4-(1,1-dimethylethyl)phenyl]-2-(1-methylethyl)-
4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 393514-87-9 CAPLUS
CN 1-Piperazinecarboxamide,
2-(1-methylethyl)-N-[4-(trifluoromethyl)phenyl]-4-
[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

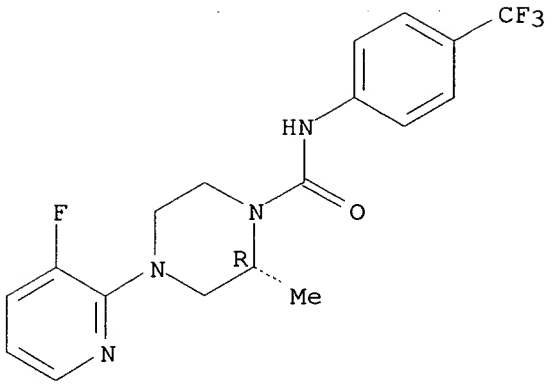


RN 393514-88-0 CAPLUS
CN 1-Piperazinecarboxamide, 2-(1-methylethyl)-N-[4-(1-methylethyl)phenyl]-4-
[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



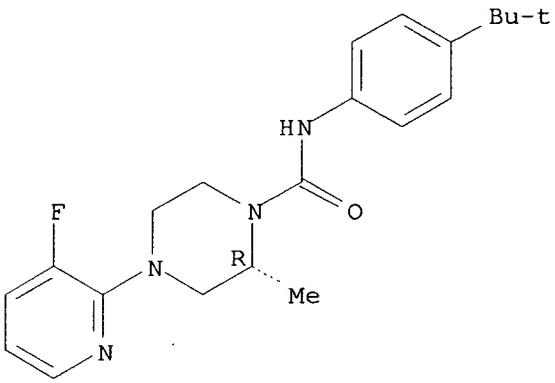
RN 393514-89-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-fluoro-2-pyridinyl)-2-methyl-N-[4-
(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



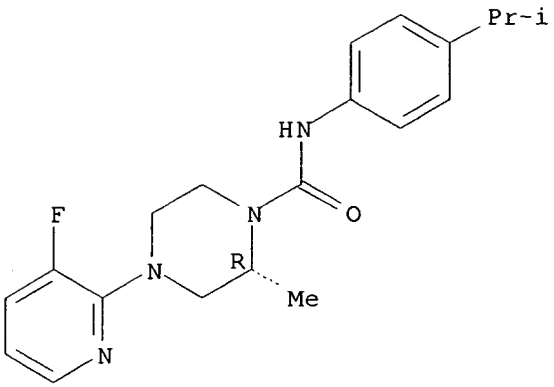
RN 393514-90-4 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-4-(3-fluoro-2-pyridinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



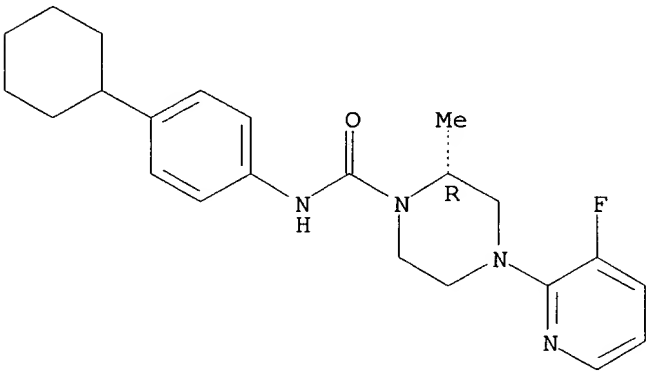
RN 393514-91-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-fluoro-2-pyridinyl)-2-methyl-N-[4-(1-methylethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



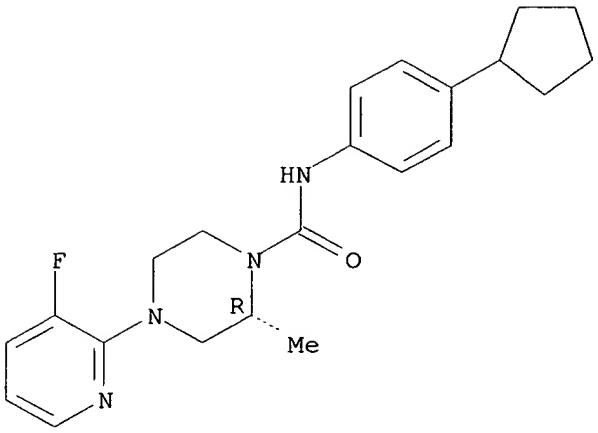
RN 393514-92-6 CAPLUS
CN 1-Piperazinecarboxamide,
N-(4-cyclohexylphenyl)-4-(3-fluoro-2-pyridinyl)-2-
methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



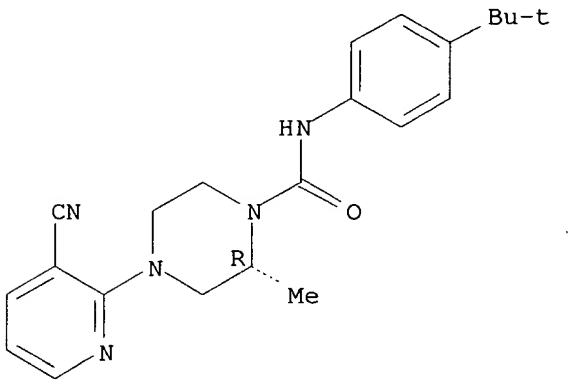
RN 393514-93-7 CAPLUS
CN 1-Piperazinecarboxamide,
N-(4-cyclopentylphenyl)-4-(3-fluoro-2-pyridinyl)-
2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



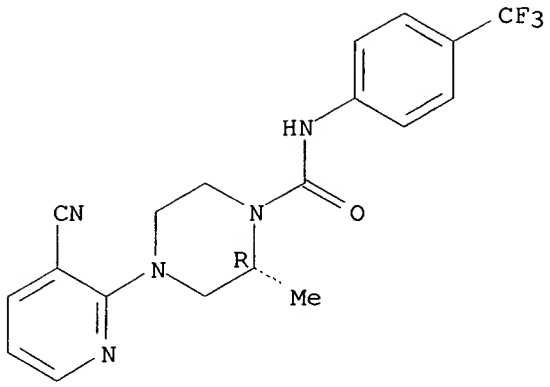
RN 393514-94-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-cyano-2-pyridinyl)-N-[4-(1,1-dimethylethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



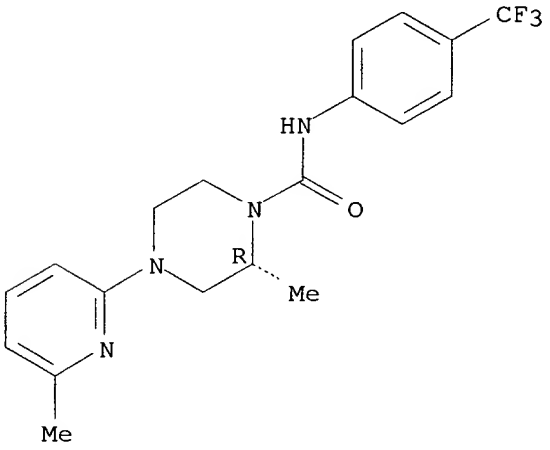
RN 393514-95-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-cyano-2-pyridinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



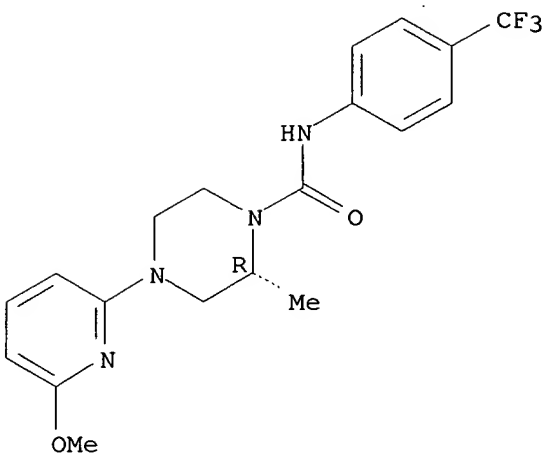
RN 393514-96-0 CAPLUS
CN 1-Piperazinecarboxamide, 2-methyl-4-(6-methyl-2-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



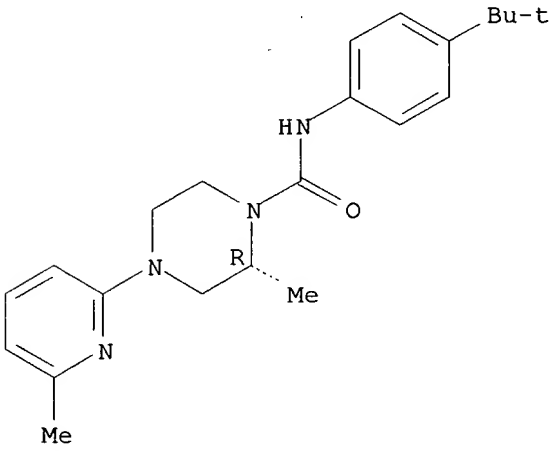
RN 393514-97-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-(6-methoxy-2-pyridinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



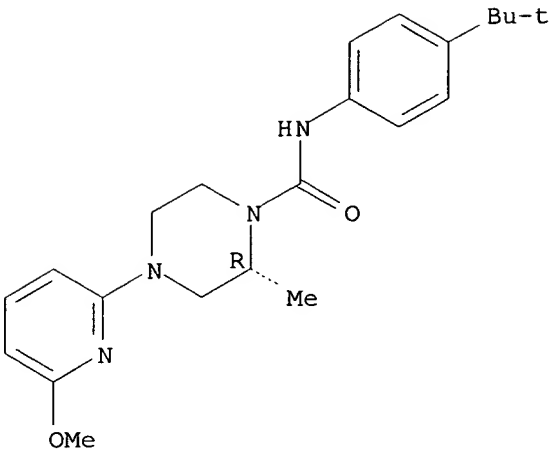
RN 393514-98-2 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-methyl-4-(6-methyl-2-pyridinyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



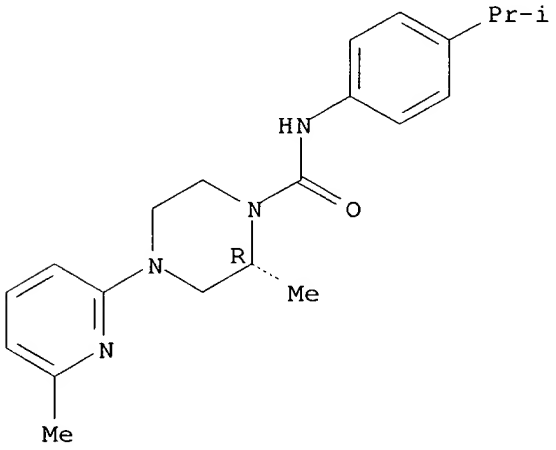
RN 393514-99-3 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-4-(6-methoxy-2-pyridinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



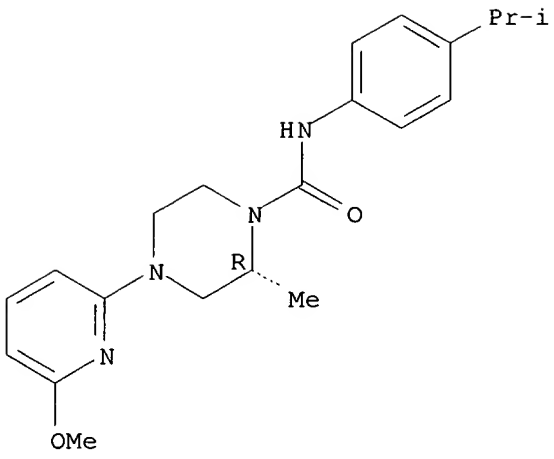
RN 393515-00-9 CAPLUS
CN 1-Piperazinecarboxamide,
2-methyl-N-[4-(1-methylethyl)phenyl]-4-(6-methyl-
2-pyridinyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



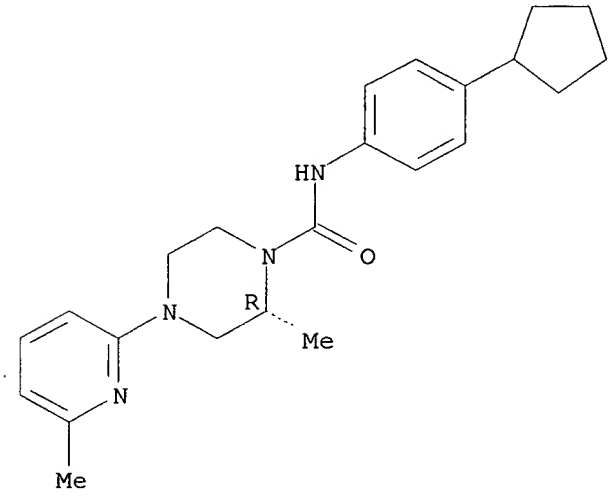
RN 393515-01-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-(6-methoxy-2-pyridinyl)-2-methyl-N-[4-(1-
methylethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



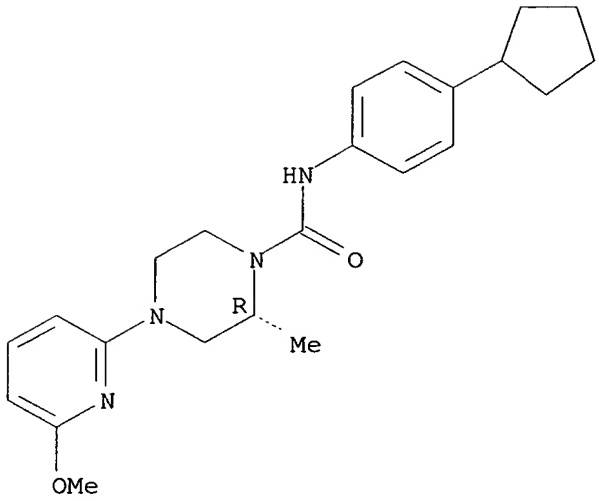
RN 393515-02-1 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-cyclopentylphenyl)-2-methyl-4-(6-methyl-2-pyridinyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

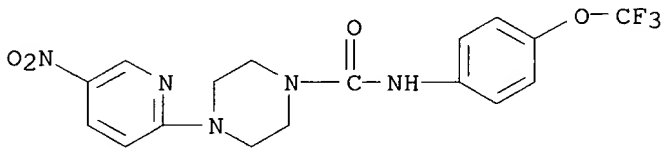


RN 393515-03-2 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-cyclopentylphenyl)-4-(6-methoxy-2-pyridinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

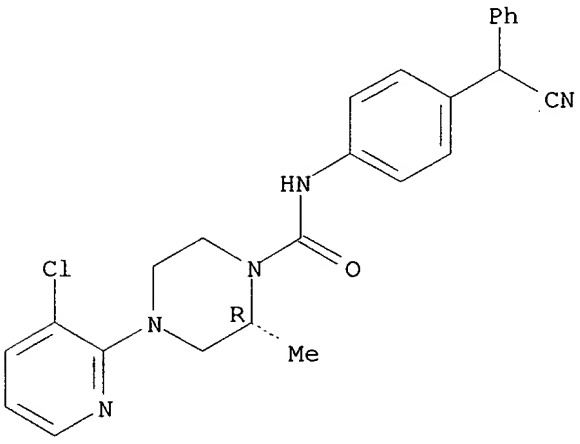


RN 393515-10-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-(5-nitro-2-pyridinyl)-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



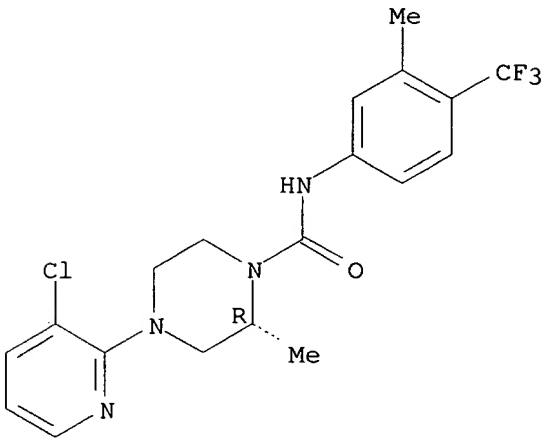
RN 393515-11-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(cyanophenylmethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



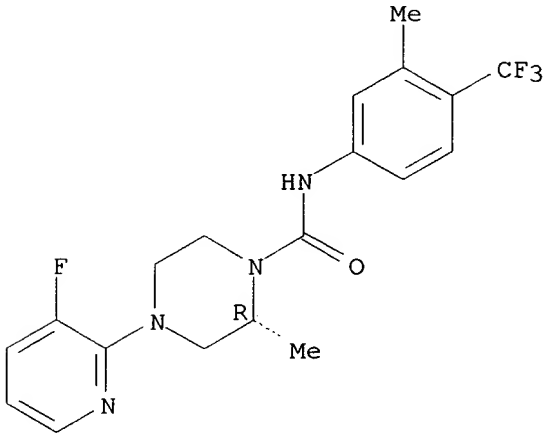
RN 393515-12-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[3-methyl-4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



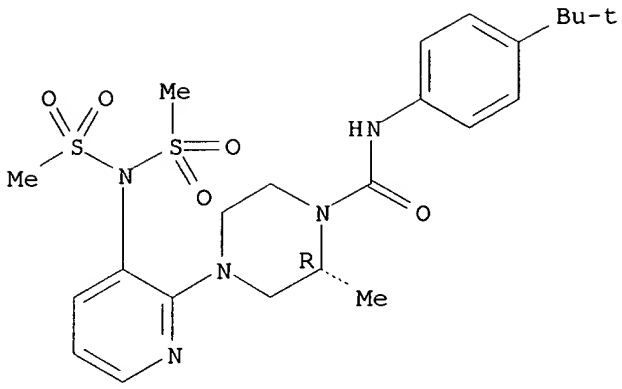
RN 393515-13-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-fluoro-2-pyridinyl)-2-methyl-N-[3-methyl-4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



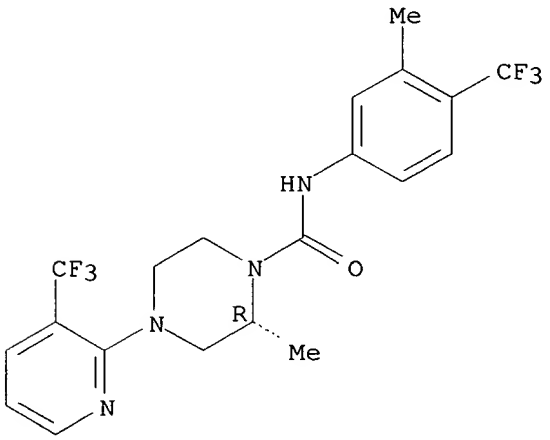
RN 393515-14-5 CAPLUS
CN 1-Piperazinecarboxamide,
4-[3-[bis(methylsulfonyl)amino]-2-pyridinyl]-N-[4-(1,1-dimethylethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



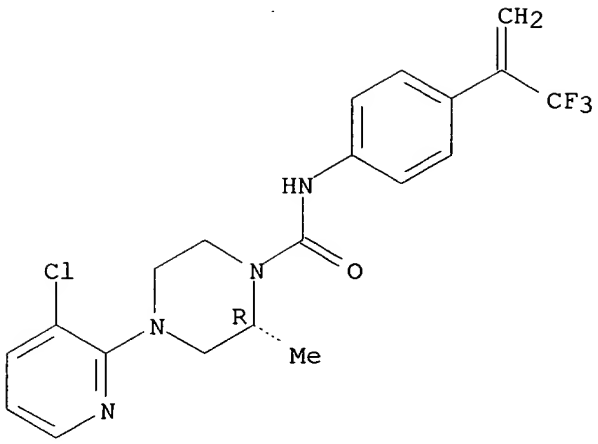
RN 393515-15-6 CAPLUS
CN 1-Piperazinecarboxamide,
2-methyl-N-[3-methyl-4-(trifluoromethyl)phenyl]-4-
[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



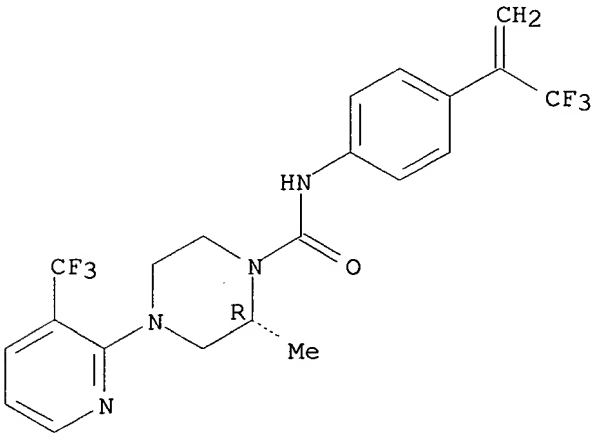
RN 393515-16-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-[1-
(trifluoromethyl)ethenyl]phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



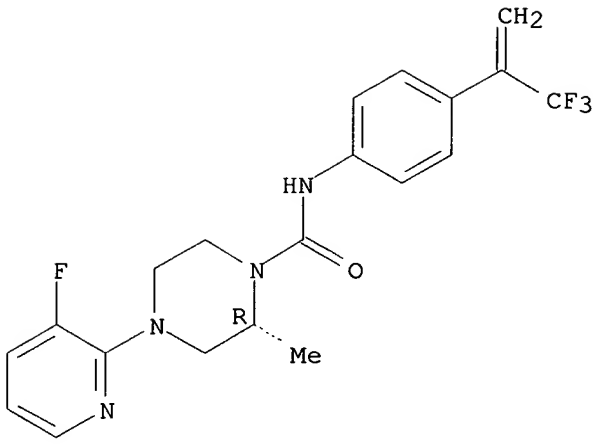
RN 393515-17-8 CAPLUS
CN 1-Piperazinecarboxamide,
2-methyl-N-[4-[1-(trifluoromethyl)ethenyl]phenyl]-
4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



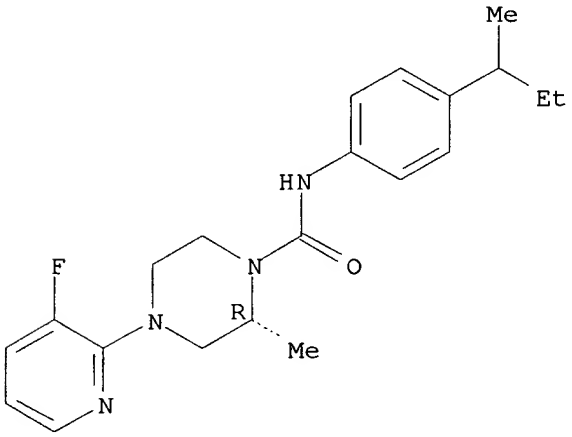
RN 393515-18-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-fluoro-2-pyridinyl)-2-methyl-N-[4-[1-
(trifluoromethyl)ethenyl]phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



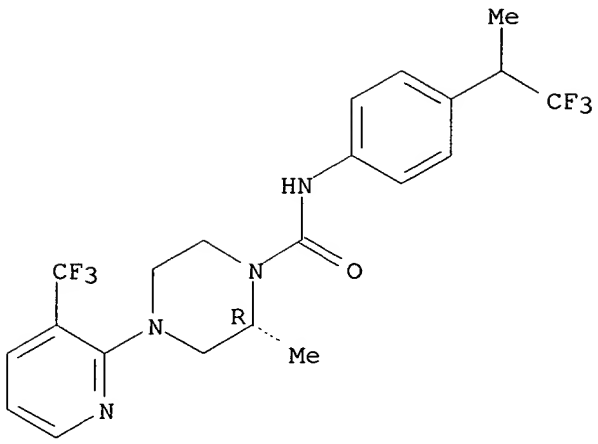
RN 393515-19-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-fluoro-2-pyridinyl)-2-methyl-N-[4-(1-methylpropyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

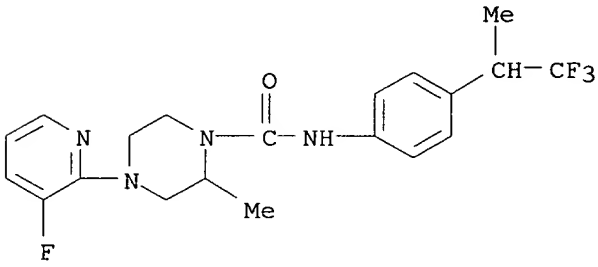


RN 393515-20-3 CAPLUS
CN 1-Piperazinecarboxamide, 2-methyl-N-[4-(2,2,2-trifluoro-1-methylethyl)phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

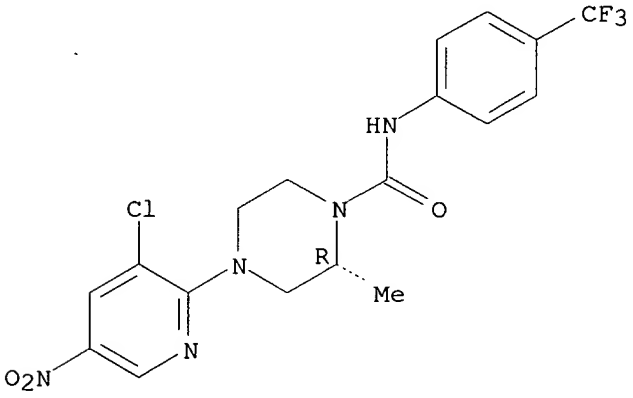


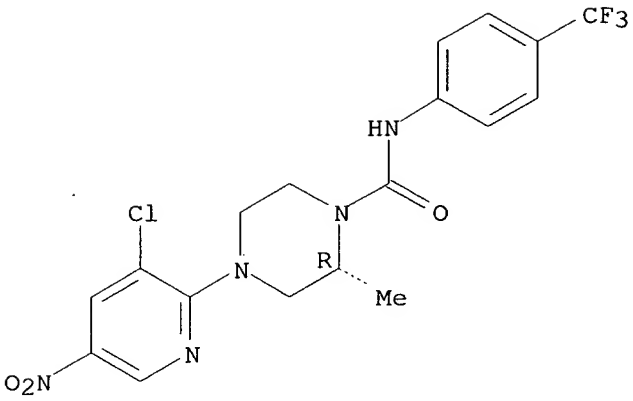
RN 393515-21-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-fluoro-2-pyridinyl)-2-methyl-N-[4-(2,2,2-trifluoro-1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 393515-22-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-5-nitro-2-pyridinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

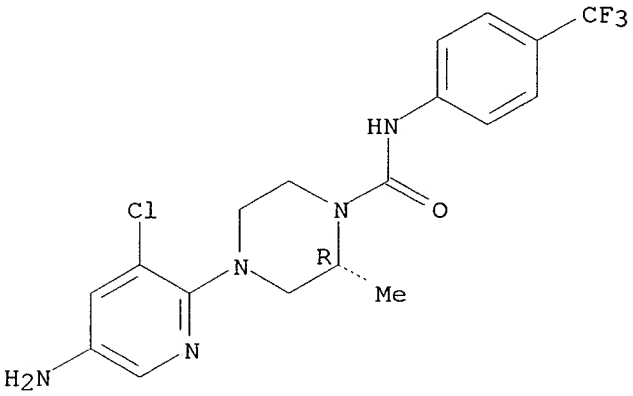
Absolute stereochemistry.





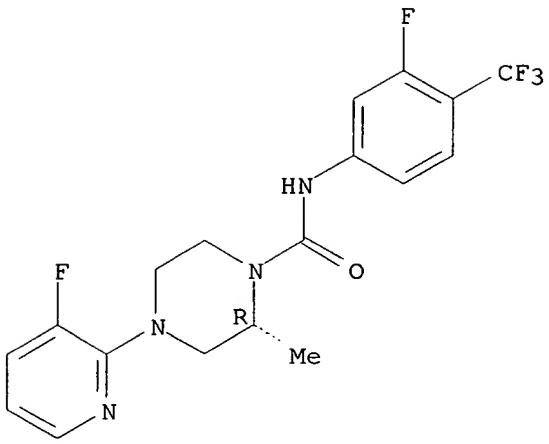
RN 393515-23-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-(5-amino-3-chloro-2-pyridinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



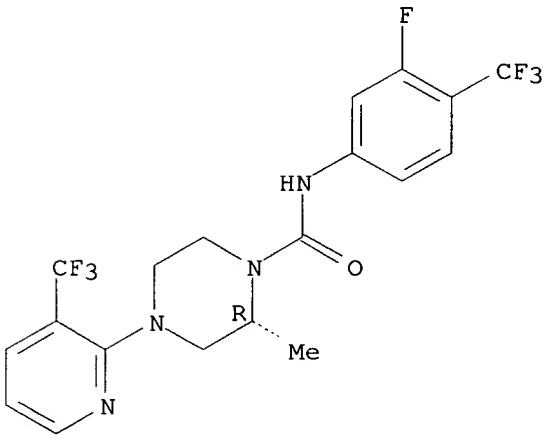
RN 393515-24-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-fluoro-2-pyridinyl)-N-[3-fluoro-4-(trifluoromethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



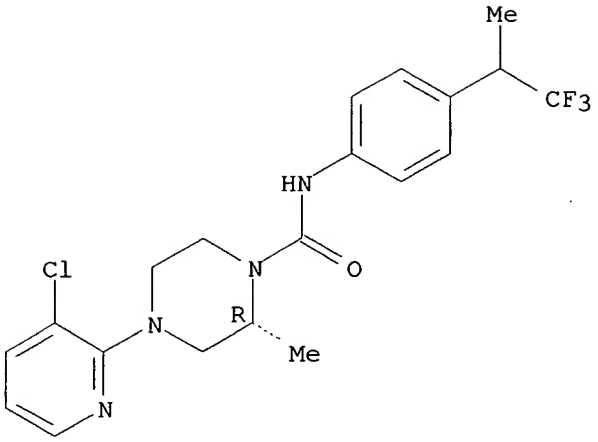
RN 393515-25-8 CAPLUS
CN 1-Piperazinecarboxamide,
N-[3-fluoro-4-(trifluoromethyl)phenyl]-2-methyl-4-
[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



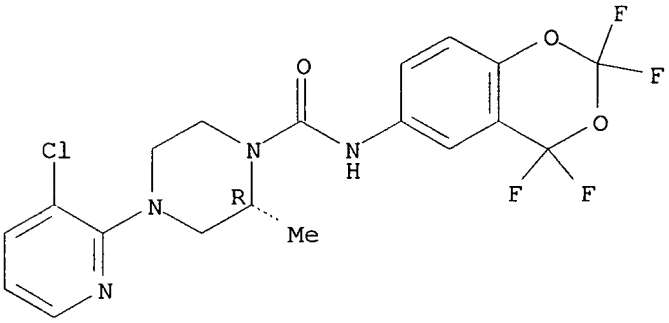
RN 393515-26-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(2,2,2-
trifluoro-1-methylethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



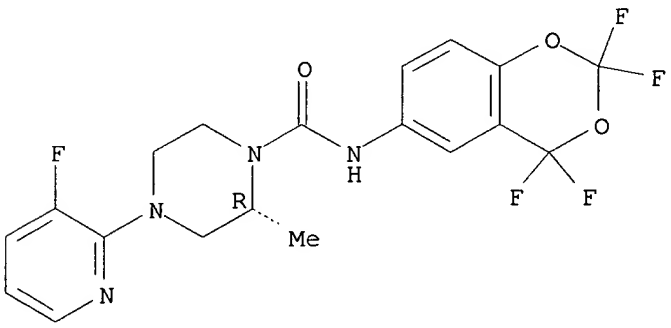
RN 393515-27-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)-, (2R)- (9CI) (CA INDEX NAME)

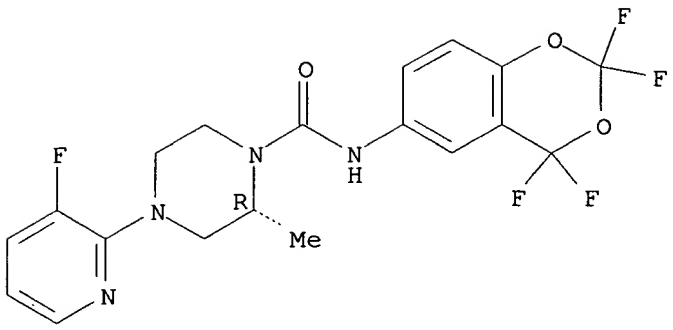
Absolute stereochemistry.



RN 393515-28-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-fluoro-2-pyridinyl)-2-methyl-N-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)-, (2R)- (9CI) (CA INDEX NAME)

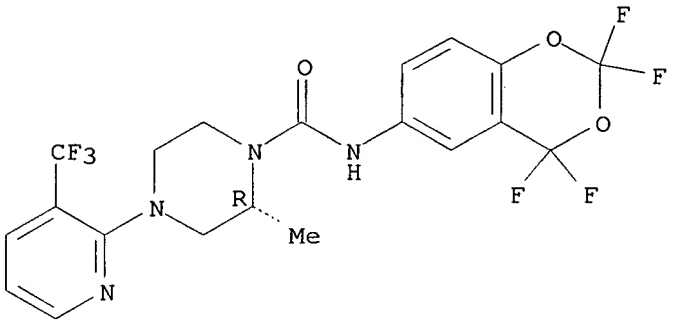
Absolute stereochemistry.





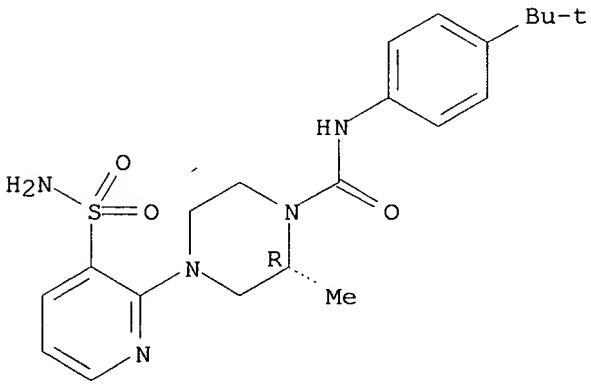
RN 393515-29-2 CAPLUS
CN 1-Piperazinecarboxamide, 2-methyl-N-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



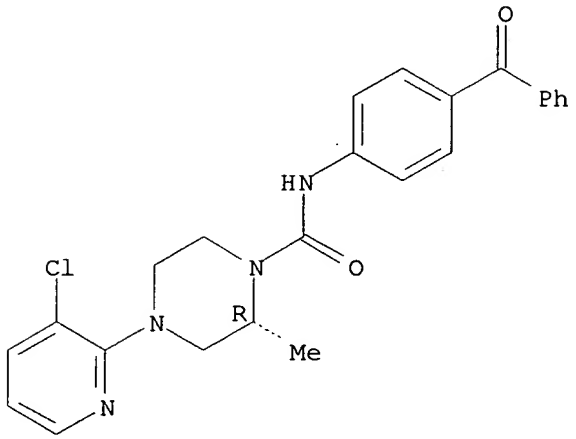
RN 393515-30-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-(aminosulfonyl)-2-pyridinyl]-N-[4-(1,1-dimethylethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



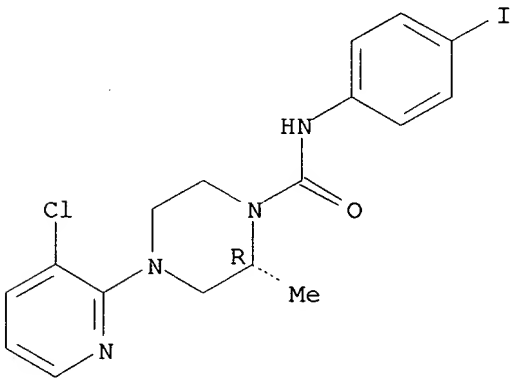
RN 393515-31-6 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-benzoylphenyl)-4-(3-chloro-2-pyridinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



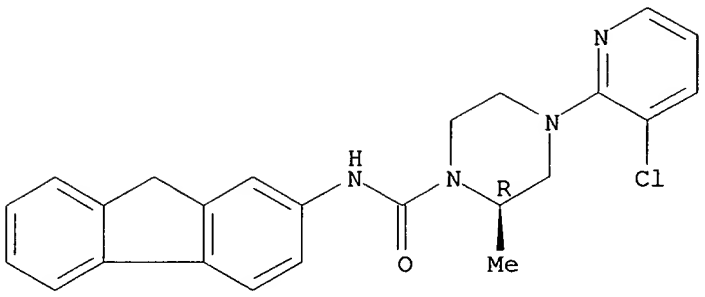
RN 393515-32-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-(4-iodophenyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



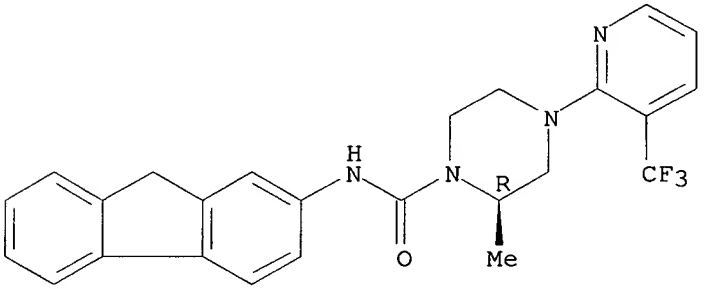
RN 393515-33-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-9H-fluoren-2-yl-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



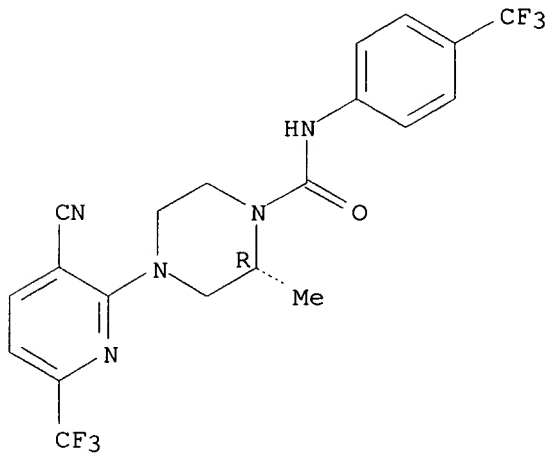
RN 393515-34-9 CAPLUS
CN 1-Piperazinecarboxamide,
N-9H-fluoren-2-yl-2-methyl-4-[3-(trifluoromethyl)-
2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

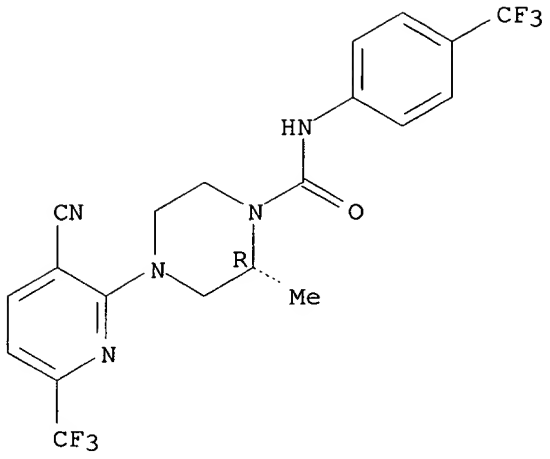
Absolute stereochemistry.



RN 393515-35-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-cyano-6-(trifluoromethyl)-2-pyridinyl]-2-
methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

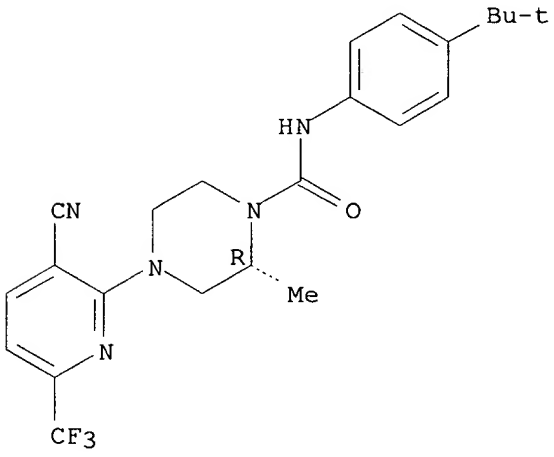
Absolute stereochemistry.





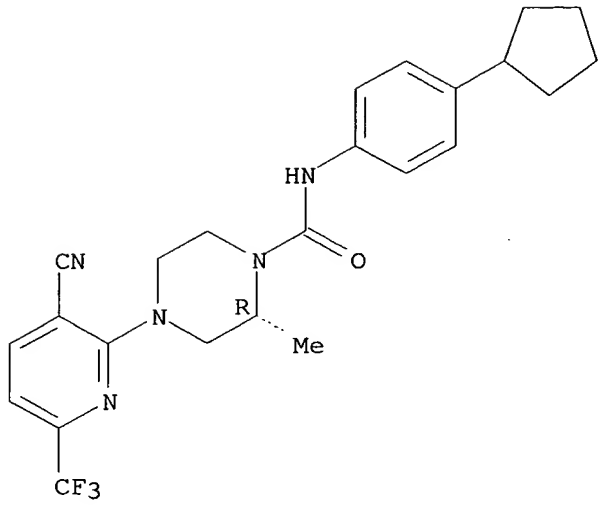
RN 393515-36-1 CAPLUS
CN 1-Piperazinecarboxamide,
4-[3-cyano-6-(trifluoromethyl)-2-pyridinyl]-N-[4-
(1,1-dimethylethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



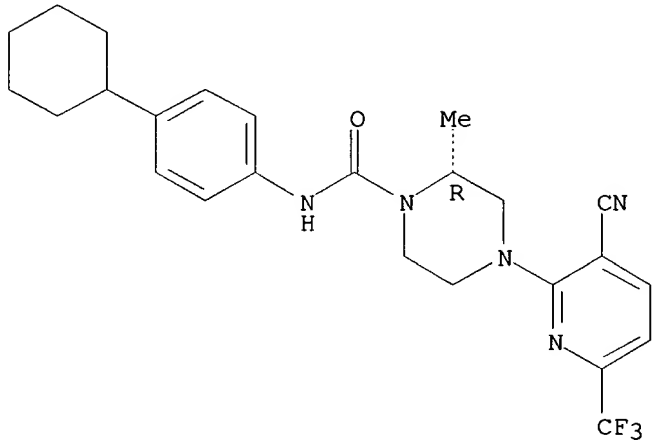
RN 393515-37-2 CAPLUS
CN 1-Piperazinecarboxamide,
4-[3-cyano-6-(trifluoromethyl)-2-pyridinyl]-N-(4-
cyclopentylphenyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



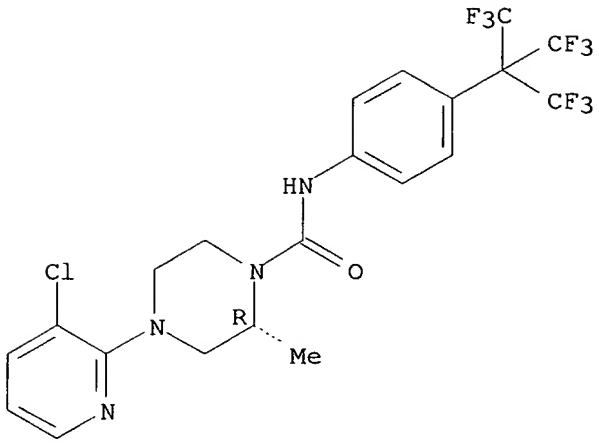
RN 393515-38-3 CAPLUS
CN 1-Piperazinecarboxamide,
4-[3-cyano-6-(trifluoromethyl)-2-pyridinyl]-N-(4-
cyclohexylphenyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



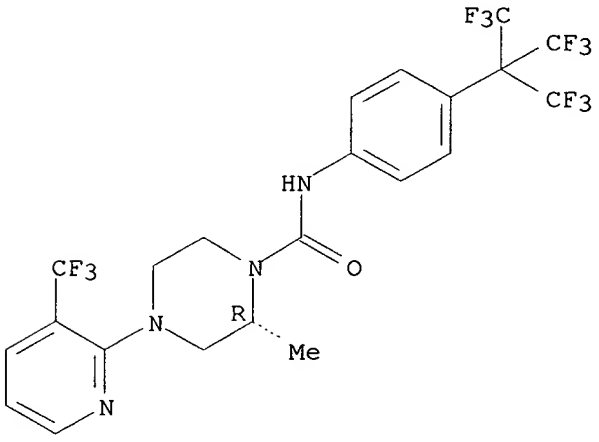
RN 393515-39-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-[2,2,2-
trifluoro-1,1-bis(trifluoromethyl)ethyl]phenyl]-, (2R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



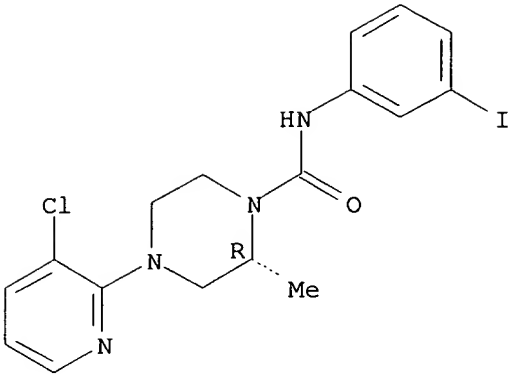
RN 393515-40-7 CAPLUS
CN 1-Piperazinecarboxamide, 2-methyl-N-[4-[2,2,2-trifluoro-1,1-bis(trifluoromethyl)ethyl]phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



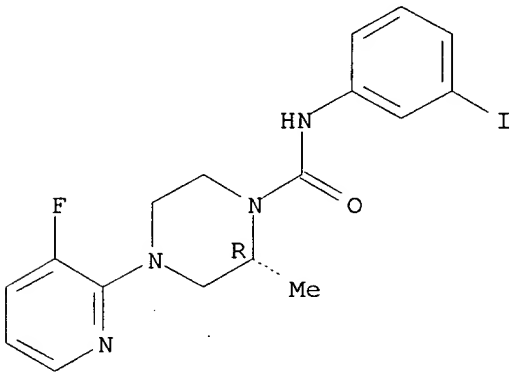
RN 393515-41-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-(3-iodophenyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



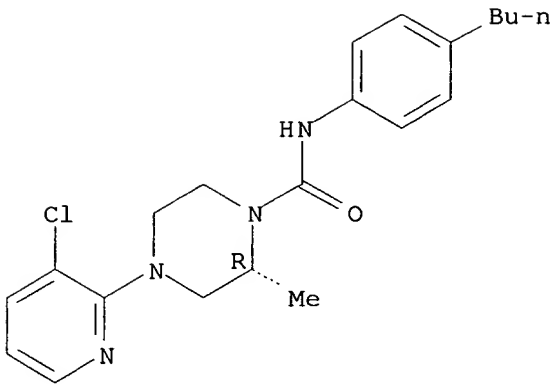
RN 393515-42-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-fluoro-2-pyridinyl)-N-(3-iodophenyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

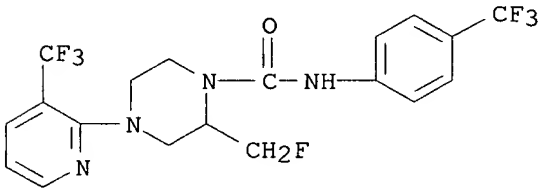


RN 393515-43-0 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-butylphenyl)-4-(3-chloro-2-pyridinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

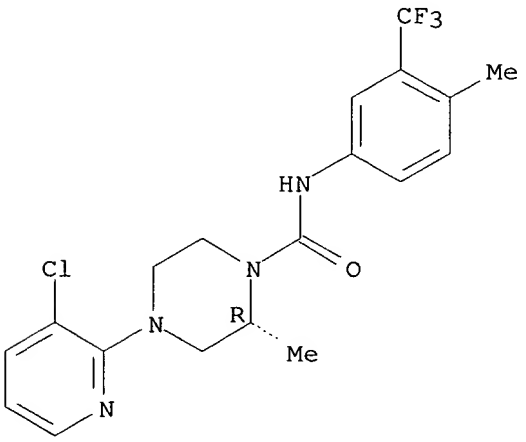


RN 393515-44-1 CAPLUS
CN 1-Piperazinecarboxamide,
2-(fluoromethyl)-N-[4-(trifluoromethyl)phenyl]-4-
[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



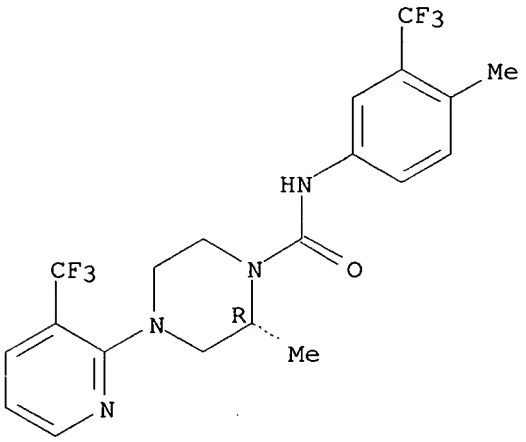
RN 393515-45-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-methyl-3-
(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



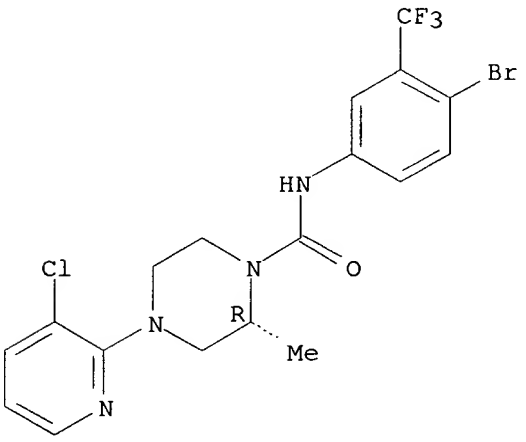
RN 393515-46-3 CAPLUS
CN 1-Piperazinecarboxamide,
2-methyl-N-[4-methyl-3-(trifluoromethyl)phenyl]-4-
[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



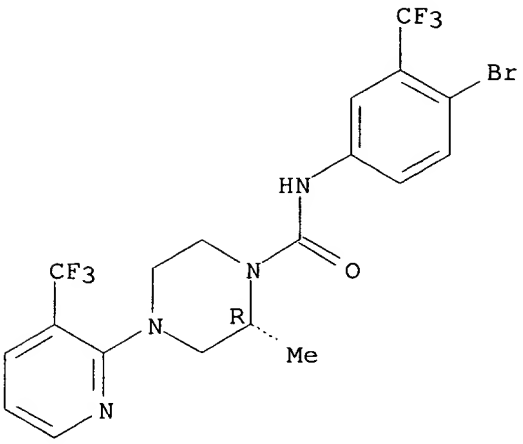
RN 393515-47-4 CAPLUS
CN 1-Piperazinecarboxamide,
N-[4-bromo-3-(trifluoromethyl)phenyl]-4-(3-chloro-
2-pyridinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



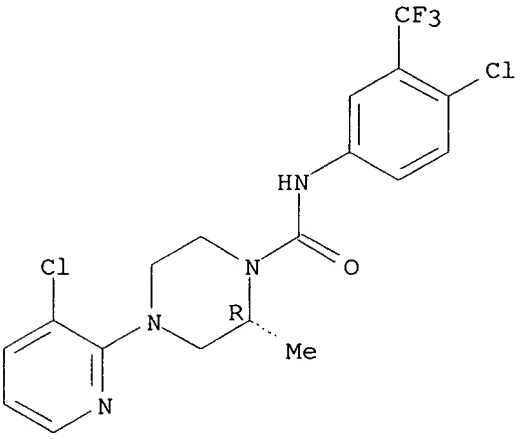
RN 393515-48-5 CAPLUS
CN 1-Piperazinecarboxamide,
N-[4-bromo-3-(trifluoromethyl)phenyl]-2-methyl-4-
[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



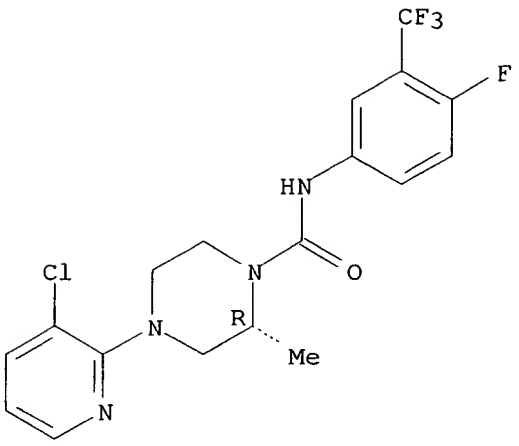
RN 393515-49-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-chloro-3-(trifluoromethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



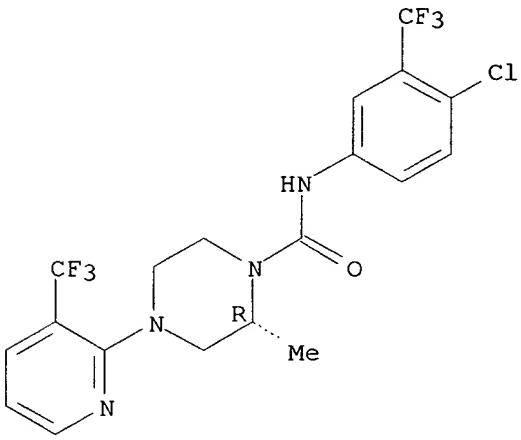
RN 393515-50-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



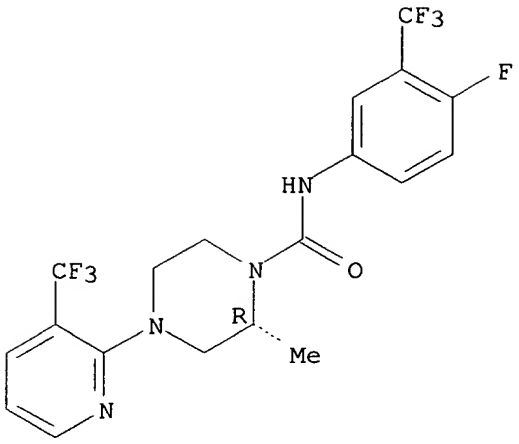
RN 393515-51-0 CAPLUS
CN 1-Piperazinecarboxamide,
N-[4-chloro-3-(trifluoromethyl)phenyl]-2-methyl-4-
[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



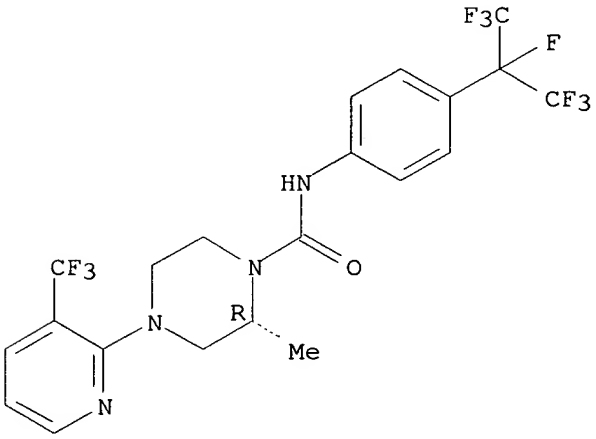
RN 393515-52-1 CAPLUS
CN 1-Piperazinecarboxamide,
N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-methyl-4-
[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



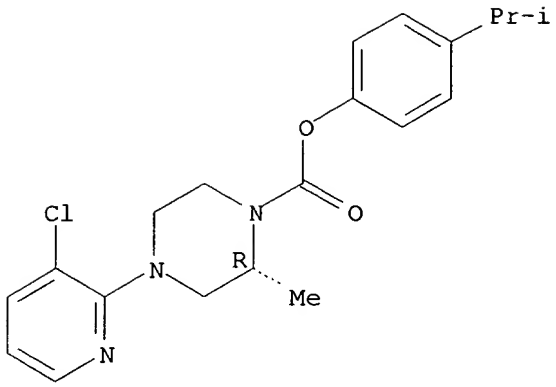
RN 393515-53-2 CAPLUS
CN 1-Piperazinecarboxamide, 2-methyl-N-[4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

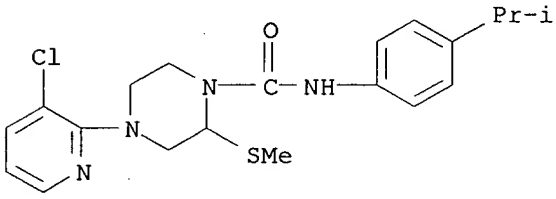


RN 393515-63-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(3-chloro-2-pyridinyl)-2-methyl-, 4-(1-methylethyl)phenyl ester, (2R)- (9CI) (CA INDEX NAME)

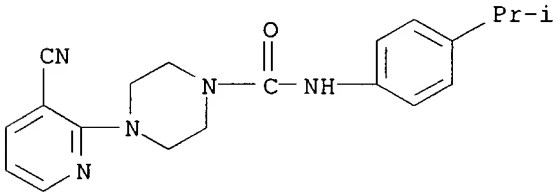
Absolute stereochemistry.



RN 393515-64-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1-methylethyl)phenyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

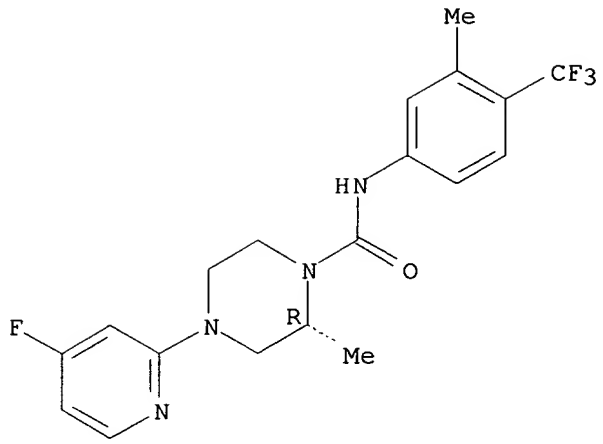


RN 393515-65-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-cyano-2-pyridinyl)-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



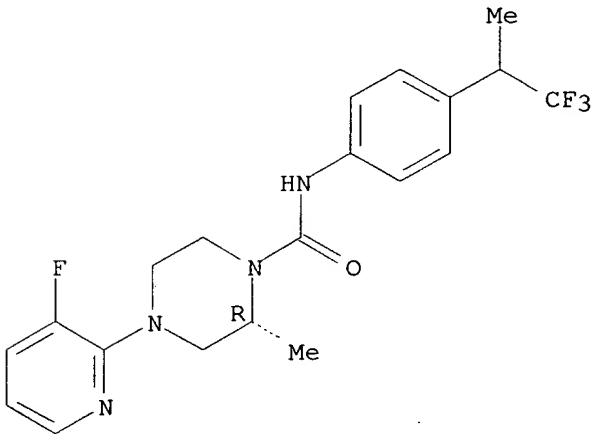
RN 393515-66-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(4-fluoro-2-pyridinyl)-2-methyl-N-[3-methyl-4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



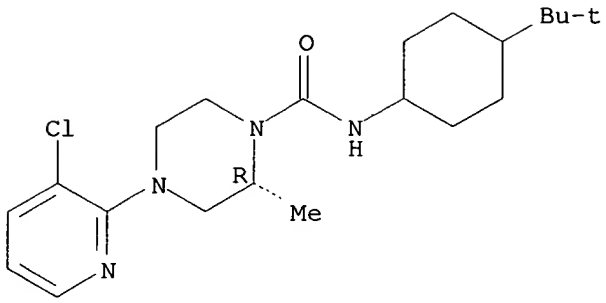
RN 393515-67-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-fluoro-2-pyridinyl)-2-methyl-N-[4-(2,2,2-trifluoro-1-methylethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



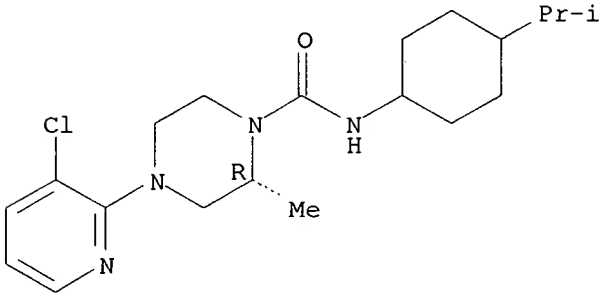
RN 393517-00-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[4-(1,1-dimethylethyl)cyclohexyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



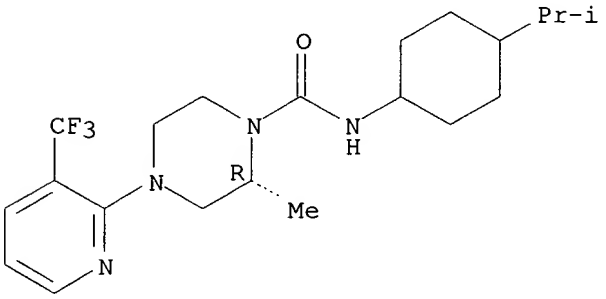
RN 393517-01-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[4-(1-methylethyl)cyclohexyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 393517-02-7 CAPLUS
CN 1-Piperazinecarboxamide, 2-methyl-N-[4-(1-methylethyl)cyclohexyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:71877 CAPLUS
DOCUMENT NUMBER: 136:134783
TITLE: Preparation of piperazine(or piperidine)-1-

carboxamides as CCR5 modulators
INVENTOR(S): Bondinell, William E.; Neeb, Michael J.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
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PRIORITY APPLN. INFO.: US 2000-218509P P 20000715
OTHER SOURCE(S): MARPAT 136:134783
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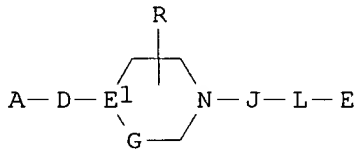

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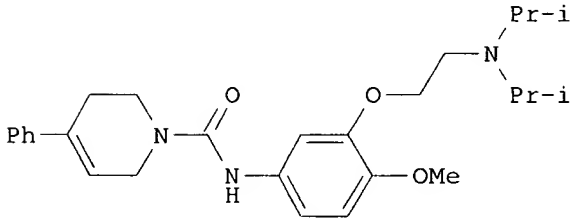


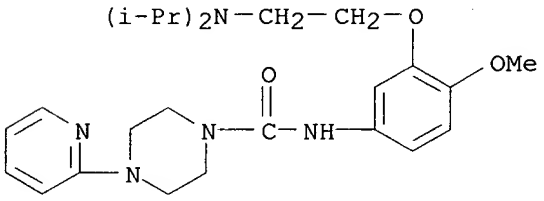
II

AB The title compds. [I; the basic N atom in moiety E may be optionally quaternized with alkyl or optionally present as the N-oxide; A = (un)substituted (hetero)aryl or (hetero)aryl fused to a satd. or partly unsatd. 5-7 membered ring; D = a bond, CO, SO2, etc.; E1G = NC(R26)2, NC(R26)2C(R26)2, CR27C(R26)2, C:CR26; R26 = H, alkyl; R27 = H, CN, NO2,

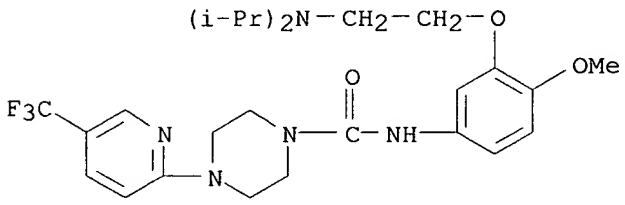
etc.; R = H, alkyl, O; J = CO, SO2; L = NR30, O, C(R30)2; R30 = H, alkyl; E = 3-(2-diisopropylamino)ethoxy-4-methoxyphenyl, etc.] which are modulators, agonists or antagonists, of the CCR5 receptor, and therefore are useful in the treatment and prevention of disease states mediated by CCR5, including, but not limited to, asthma and atopic disorders (for example, atopic dermatitis and allergies), rheumatoid arthritis, sarcoidosis, or idiopathic pulmonary fibrosis and other fibrotic diseases, atherosclerosis, psoriasis, autoimmune diseases such as multiple sclerosis, treating and/or preventing rejection of transplanted organs, and inflammatory bowel disease, were prepd. Thus, treating 4-phenyl-1,2,3,6-tetrahydropyridine.HCl with triphosgene in the presence of Et3N in CH2Cl2 followed by addn. of 3-(2-diisopropylamino)ethoxy-4-methoxyaniline afforded II. The compds. I showed CCR5 receptor modulator activity having IC50 values in the range of 0.0001-100 .mu.M. Furthermore, since CD8+ T cells have been implicated in COPD, CCR5 may play a role in their recruitment and therefore antagonists to CCR5 could provide potential therapeutic in the treatment of COPD. Also, since CCR5 is a co-receptor for the entry of HIV into cells, selective receptor modulators may be useful in the treatment of HIV infection.

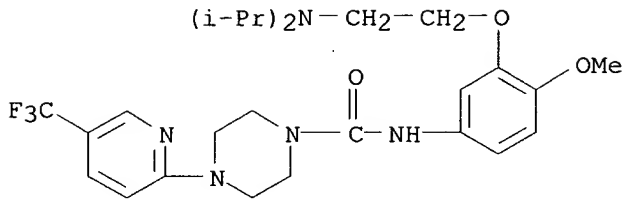
IT **391881-72-4P 391881-98-4P 391882-07-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazine(or piperidine)-1-carboxamides as CCR5 modulators)

RN 391881-72-4 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

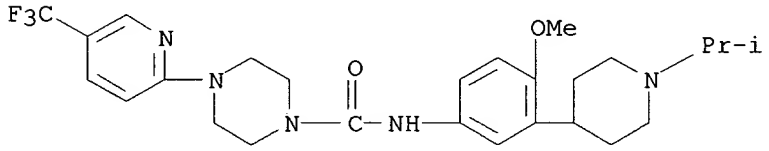


RN 391881-98-4 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-4-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)





RN 391882-07-8 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-methoxy-3-[1-(1-methylethyl)-4-piperidinyl]phenyl]-4-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:904162 CAPLUS
DOCUMENT NUMBER: 136:37590
TITLE: Preparation of (S)-3-(pyrimidinyl- or pyridinylphenyl)-5-(acetylaminomethyl)-2-oxazolidinones as antibacterial agents
INVENTOR(S): Lee, Jae-gul; Leem, Won-bin; Cho, Jong-hwan; Choi, Sung-hak; Lee, Jong-jin; Park, Sang-kuk; Lee, Tae-hoo;
Kim, Dong-goo; Sung, Hyun-jung
PATENT ASSIGNEE(S): Dong A Pharm. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094342	A1	20011213	WO 2001-KR821	20010518

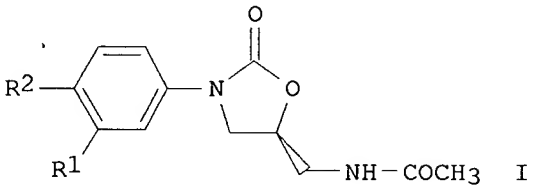
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

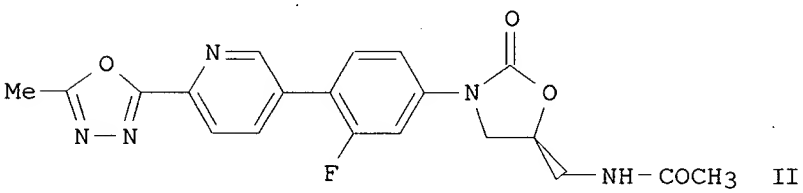
PRIORITY APPLN. INFO.: KR 2000-30895 A 20000605
KR 2000-30896 A 20000605
KR 2000-56035 A 20000923
KR 2001-11691 A 20010307

OTHER SOURCE(S): CASREACT 136:37590; MARPAT 136:37590

GI



I



II

AB Title compds. I [wherein R1 = H, F, Cl, or CF3;j R2 = (un)substituted pyrimidinyl or pyridinyl; and pharmaceutically acceptable salts thereof] were prepd. I have wide antibacterial spectrum, superior antibacterial activity, and low toxicity, such that they are useful as antibiotics. For example, 1-methyl-2-pyrrolidone was dissolved in (S)-N-[[3-(4-trimethylstannyl-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl] acetamide (prepn. given), and the soln. was added to 2-(5-methyl-1,3,4-oxadiazolyl)-5-bromopyridine, LiCl, and Pd(PPh3)2Cl2 to give II. The latter exhibited antibacterial activity against methicillin resistant Staphylococcus aureas, vancomycin resistant Enterococci, H. Influenzae, Ethambutol resistant Mycobacterium tuberculosis, and Vancomycin Mycobacterium tuberculosis with minimal inhibitory concns. (MIC, .mu./mL) of 0.39, 0.2, 3.13. 0.1, and 0.1, resp.

IT **380381-84-0P**

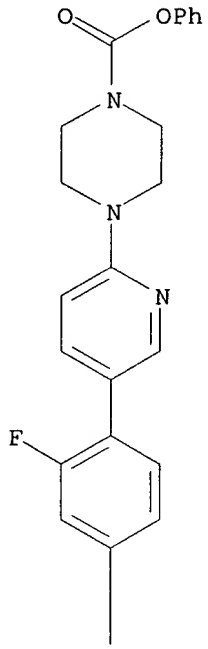
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (pyrimidinyl- or pyridinylphenyl)(acetylaminomethyl)oxazolidinones as antibacterial agents)

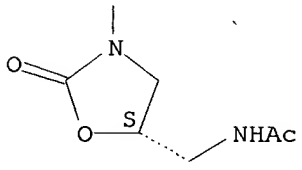
RN 380381-84-0 CAPLUS
CN 1-Piperazinecarboxylic acid,
4-[5-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-
oxazolidinyl]-2-fluorophenyl]-2-pyridinyl]-, phenyl ester (9CI) (CA
INDEX
NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

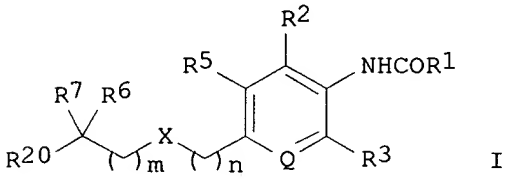
FORMAT

L4 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:895650 CAPLUS

DOCUMENT NUMBER: 136:37404
TITLE: Preparation of phenyl amides and ureas as neuropeptide
Y5 receptor antagonists
INVENTOR(S): Dugar, Sundeep; Neustadt, Bernard R.; Stamford, Andrew
W.; Wu, Yusheng
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 42 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6329395	B1	20011211	US 1999-326575	19990607

PRIORITY APPLN. INFO.: US 1998-88422P P 19980608
OTHER SOURCE(S): MARPAT 136:37404
GI

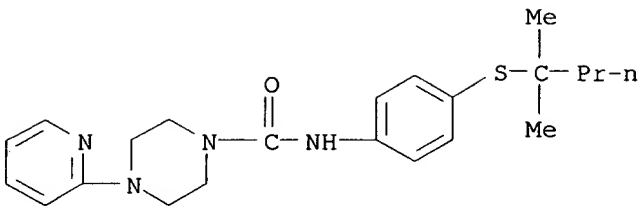


I

AB The title compds. [I; m, n = 0-2, provided that the sum m + n = 0-3; Q = CR4, N; X = O, S, SO, etc.; R1 = (un)substituted aryl, heteroaryl, amino, etc.; R2-R5 = H, alkyl, (un)substituted cycloalkyl, etc.; R6, R7 = H, alkyl, alkenyl, etc.; CR6R7 = 3-7-membered carbocyclic ring, 4-7-membered heterocyclic ring; R20 = alkyl, cycloalkyl, hydroxyalkyl, etc.], useful in the treatment of eating disorders and diabetes, were prepd. Thus, amidation of 4-[1,1-dimethylbutylthio]aniline with trimethylacetyl chloride in CH2Cl2 afforded 76% I [Q = CH; R1 = Me3C; R2 = R3 = R5 = H; R6 = R7 = Me; R20 = Pr; X = S; m = n = 0] which showed Ki of 3 nM against human NPY5 receptor binding.

IT **252345-80-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of Ph amides and ureas as neuropeptide Y5 receptor antagonists)

RN 252345-80-5 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-[(1,1-dimethylbutyl)thio]phenyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

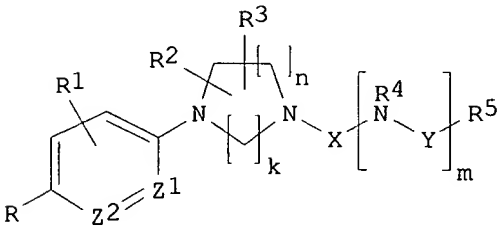


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:857479 CAPLUS
DOCUMENT NUMBER: 136:600
TITLE: Pharmaceuticals containing antiandrogen cyanophenyl compounds
INVENTOR(S): Taniguchi, Nobuaki; Kinoyama, Isao; Kamikubo, Takashi;
Toshima, Hiroshi; Samizu, Kiyohiro; Kawanami, Eiji; Imamura, Masakazu; Moritomo, Hiroyuki; Matsuhisa, Akira; Hirano, Hiroaki; Miyasaki, Yoji; Nozawa, Shigenori; Okada, Minoru; Koutoku, Hiroshi; Ota, Mitsuaki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001328938	A2	20011127	JP 2001-69833	20010313

PRIORITY APPLN. INFO.: JP 2000-75008 A 20000317
OTHER SOURCE(S): MARPAT 136:600
GI



I

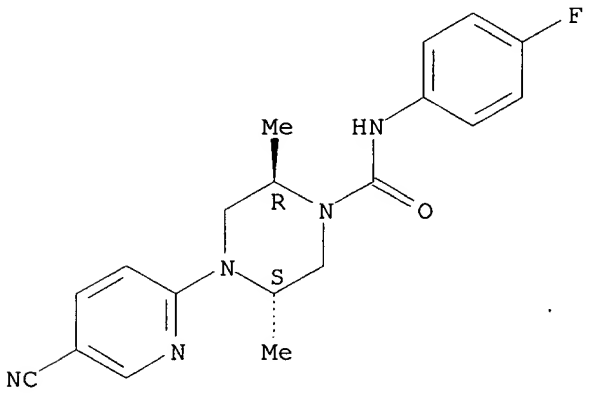
AB Pharmaceuticals, useful for treatment of prostatic cancer, prostatic hypertrophy, virilism, etc., contain cyanophenyl compds. I [R = cyano, NO2; R1 = H, halo, cyano, haloalkyl, NO2, etc.; R2-R4 = H, lower alkyl, (alkyl)carbamoyl, etc.; R5 = lower alkyl, arylalkoxy, CO2H, lower alkoxycarbonyl, etc.; X = CO, C(S), SO2; Y = bond, lower alkylene, CO, SO2; Z1, Z2 = CH, N; k, n = 1-3; m = 0, 1] or their salts. (2R,5S)-I (R = cyano, R1 = 3-CF3, R2 = 2-Me, R3 = 5-Me, k = 2, m = n = 1, X = CO, R4 = H, R5 = 2-bromo-4-pyridyl) (prepn. given) in vitro bound to rat androgen receptor with Ki of 7.56 nM.

IT **262294-11-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyanophenyl compds. as antiandrogens)

RN 262294-11-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-(5-cyano-2-pyridinyl)-N-(4-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:851123 CAPLUS

DOCUMENT NUMBER: 136:5985

TITLE: Preparation of tricyclic pyrazole derivatives as tyrosine kinase inhibitors for treatment of angiogenesis-related diseases

INVENTOR(S): Doyle, Kevin J.; Rafferty, Paul; Steele, Robert W.; Wilkins, David J.; Arnold, Lee D.; Hockley, Michael; Ericsson, Anna M.; Iwasaki, Nobuhiko; Ogawa, Nobuo

PATENT ASSIGNEE(S): Knoll G.m.b.H., Germany

SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087846	A2	20011122	WO 2001-US16153	20010517
WO 2001087846	A3	20020321		

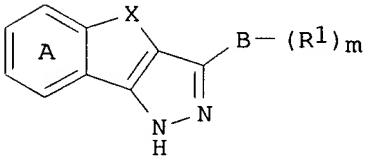
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6462036	B1	20021008	US 2000-573366	20000517

PRIORITY APPLN. INFO.:
US 2000-573366 A1 20000517
US 1998-107467P P 19981106
WO 1999-US26105 A2 19991104

OTHER SOURCE(S): MARPAT 136:5985
GI



I

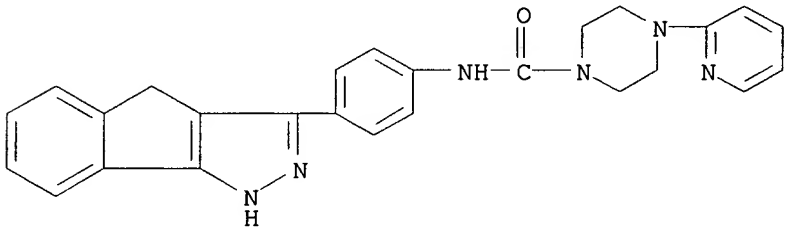
AB Title compds. I [m = 1-10; X = (CH2)n, CO, O, C:NOR10, NR11, (CH2)n, S, SO, or SO2; n = 1-3; R10 = alkyl; R11 = (un)substituted alkyl or Ph; B = (cyclo)alkyl, aryl, pyridyl, thienyl, furyl, or pyrrolyl; R1 = H, halo, OH, NO2, CN, hydroxyamidino, CH2NH2, formamidomethyl, (un)substituted alkenyl(oxy), alkynyl, or YW; Y = absent or alkyl, alkoxy, O, S, or CO; W = H, OH, (un)substituted Ph, alkoxy, or amino; ring A is optionally substituted with halo, OH, NO2, CN, or (un)substituted alkyl, alkoxy, PhO, carboxy, carbamoyl, amino, amido, aralkyl, alkenyl, or alkynyl; with provisos; and racemic mixts., racemic diastereomeric mixts., tautomers, optical isomers, and pharmaceutically acceptable salts thereof] were prepd. as protein kinase inhibitors, esp. tyrosine kinase inhibitors. Thus, indan-1-one hydrazone (prepn. given) in THF at 0.degree. was treated with BuLi and then with Me 3,4,5-trimethoxybenzoate to give 3-(3,4,5-trimethoxyphenyl)-1,4-dihydroindeno[1,2-c]pyrazole. Example

compds. significantly inhibited KDR kinase at concns. of .ltoreq. 50 .mu.M.

IT **268563-67-3P**, N1-[4-(1,4-Dihydroindeno[1,2-c]pyrazol-3-yl)phenyl]-4-(2-pyridyl)-1-piperazinecarboxamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic pyrazole derivs. as tyrosine kinase inhibitors for treatment of angiogenesis-related diseases)

RN 268563-67-3 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)phenyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:833302 CAPLUS

DOCUMENT NUMBER: 135:371628

TITLE: Preparation of amino substituted dibenzothiophenes for the treatment of disorders mediated by the neuropeptide Y5 receptor

INVENTOR(S): Block, Michael Howard; Donald, Craig Samuel; Foote, Kevin Michael; Brittain, David Robert

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085714	A1	20011115	WO 2001-GB1899	20010501

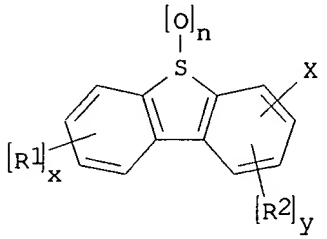
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-10757 A 20000505

OTHER SOURCE(S): MARPAT 135:371628

GI



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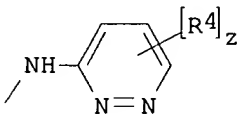


II

AB The title compds. [I; X = NHCOAR3, II; R1 = CN, halo, CF3, etc.; R2 = halo, CN, OH, etc.; A = NRa, O, a direct bond; Ra = H, alkyl, alkenyl, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = halo, NO2, CN, etc.; x = 0-4; yr = 0-3; z = 0-3; n = 0-2], useful in the treatment of disorders mediated by the neuropeptide Y5 receptor in a warm-blooded animal, such as a human being, were prepd. and formulated. Thus, reacting 2-aminodibenzothiophene with 2-(1,2,4-triazol-1-yl)acetic acid in the presence of 1-hydroxybenztriazole and EDAC in DMF afforded I [X = 2-NHCOAR3; A = a direct bond; R3 = (1,2,4-triazol-1-yl)methyl; R1, R2 = H; n = 0]. In general, compds. I showed IC50 of 0.0002-200 .mu.M against neuropeptide Y5 receptor binding.

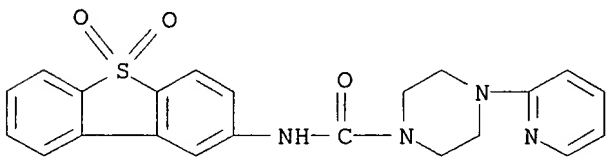
IT **373355-43-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino substituted dibenzothiophenes for the treatment of disorders mediated by the neuropeptide Y5 receptor)

RN 373355-43-2 CAPLUS

CN 1-Piperazinecarboxamide, N-(5,5-dioxido-2-dibenzothienyl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

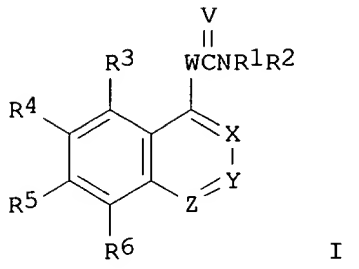
L4 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:10086 CAPLUS
DOCUMENT NUMBER: 134:86277
TITLE: 1,3-Diazines with platelet-derived growth factor receptor inhibitory activity
INVENTOR(S): Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: U.S., 127 pp., Cont.-in-part of PCT 9814431. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6169088	B1	20010102	US 1998-88199	19980601
WO 9814431	A1	19980409	WO 1997-JP3510	19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6207667	B1	20010327	US 2000-481544	20000112
US 2002068734	A1	20020606	US 2000-734918	20001213
US 6472391	B2	20021029		

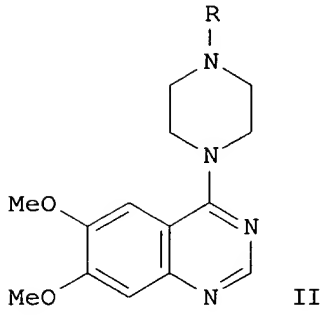
PRIORITY APPLN. INFO.:

JP 1996-260743	A	19960110
WO 1997-JP3510	A2	19971001
US 1998-88199	A3	19980601
US 2000-481544	A3	20000112

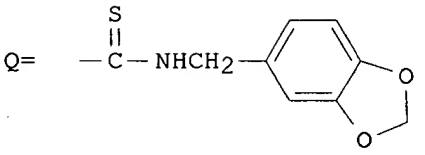
OTHER SOURCE(S): MARPAT 134:86277
GI



I



II



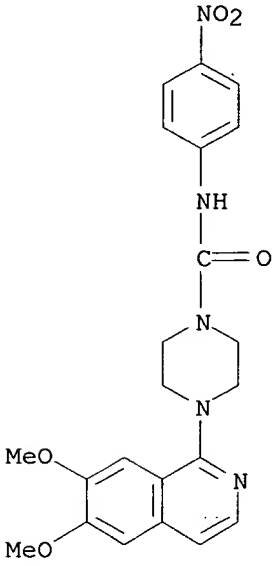
AB 1,3-Diazines and related N heterocycles [I; wherein V = O or S; W = 1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X = N or CR9; Y = N or CR8; Z = N or CR7, with at least one of X, Y and Z being N; R1 = H, (un)substituted alkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = substituted alkyl, (un)substituted cycloalkyl, aryl, heterocyclyl, etc.; R3, R4, R5, R6 = H, halo, (un)substituted alkyl, NO2, cyano, (un)substituted OH or NH2, etc.; R7, R8 = R1 groups, halo, etc.; R9 = H, CO2H or derivs.] and their pharmacol. acceptable salts are prepd. These compds. inhibit the phosphorylation of PDGF receptors and the abnormal proliferation or migration of cells, and so are effective in preventing or treating cell proliferative diseases such as arteriosclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-(1-piperazinyl)quinazoline reacted with Ph isocyanate in refluxing EtOH to give invention compd. II [R = CONHPh] in 44% isolated yield. The analog II [R = Q] showed an IC50 of 0.03 .mu.M for inhibiting the phosphorylation of PDGF receptor in vitro. Pharmaceutical formulations, e.g. tablets contg. II [R = N-(p-nitrophenyl)carbamoyl], were prepd.

IT **205255-52-3P 205255-53-4P 205258-71-5P 205258-73-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,3-diazines with platelet-derived growth factor receptor inhibitory activity)

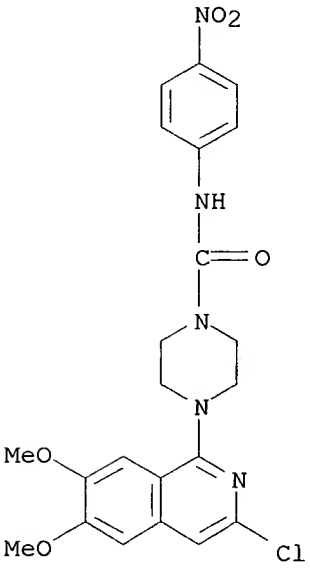
RN 205255-52-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-(6,7-dimethoxy-1-isoquinolinyl)-N-(4-

nitrophenyl)- (9CI) (CA INDEX NAME)

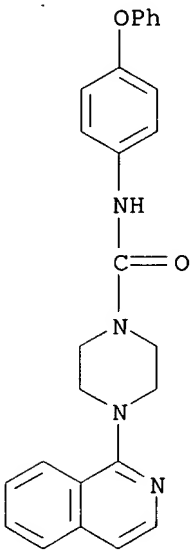


RN 205255-53-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-6,7-dimethoxy-1-isoquinolinyl)-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

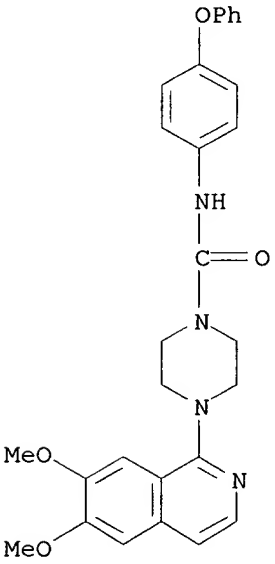


RN 205258-71-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-(1-isoquinolinyl)-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



RN 205258-73-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(6,7-dimethoxy-1-isoquinolinyl)-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



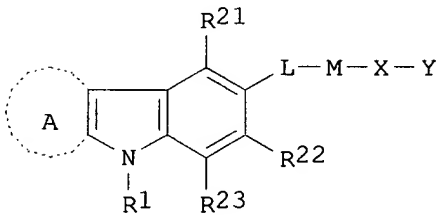
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:756674 CAPLUS
DOCUMENT NUMBER: 133:309842
TITLE: Preparation of carbazole derivatives for treatment of neuropeptide Y-related diseases
INVENTOR(S): Nishikawa, Naoyuki; Sugai, Masaharu; Aoki, Kozo; Suzuki, Makoto; Ikegawa, Akihiko; Takahashi, Kazunobu; Ohsawa, Fukuichi; Takei, Naomi; Kakui, Nobukazu; Tanaka, Jiro; Tabata, Yuji; Asai, Kenji
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063171	A1	20001026	WO 2000-JP2573	20000420
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1184373	A1	20020306	EP 2000-917373	20000420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: JP 1999-111698 A 19990420
JP 1999-200228 A 19990714
WO 2000-JP2573 W 20000420
OTHER SOURCE(S): MARPAT 133:309842
GI



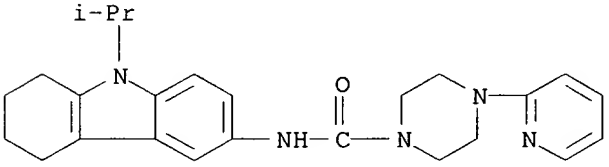
I

AB The title compds. I [A is a five- to seven-membered hydrocarbon ring; L is NR3CO, CONR3, or the like (wherein R3 is hydrogen, lower alkyl, or lower acyl); M is an alkylene group (wherein the carbon atoms constituting the carbon chain may be each replaced by nitrogen, oxygen, or the like); X is S, O, NR4, NR5CO, a single bond, or the like (wherein R4 and R5 are each hydrogen, lower alkyl, or the like); Y is alkyl, aryl, amino, an arom. heterocyclic group, or the like; R1 is lower alkyl, lower alkenyl, lower alkynyl, or lower acyl; and R21, R22 and R23 are each hydrogen, hydroxyl, lower alkyl, or the like] are prepd. I are ligands for neuropeptide Y receptors. I are useful in the treatment of neuropeptide Y-related diseases, such as hyperphagia, etc. In in vitro tests for inhibition of binding to the Y5 receptors, the title compds. at 10 .mu.M gave 67% to 100% inhibition.

IT **302556-80-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carbazole derivs. for treatment of neuropeptide Y-related diseases)

RN 302556-80-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-(2-pyridinyl)-N-[2,3,4,9-tetrahydro-9-(1-methylethyl)-1H-carbazol-6-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:513715 CAPLUS

DOCUMENT NUMBER: 133:129864

TITLE: Pyroglutamic acid derivatives and related compounds which inhibit leukocyte adhesion mediated by VLA-4, and preparation thereof

INVENTOR(S): Dressen, Darren B.; Kreft, Anthony; Kubrak, Dennis; Mann, Charles William; Pleiss, Michael A.; Stack, Gary Paul; Thorsett, Eugene D.

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; American Home Products Corporation

SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043413	A2	20000727	WO 2000-US1537	20000121
WO 2000043413	A3	20001130		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144435	A2	20011017	EP 2000-904486	20000121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6407066	B1	20020618	US 2000-489164	20000121

PRIORITY APPLN. INFO.: US 1999-198244P P 19990126
US 1999-238661 A1 19990126
WO 2000-US1537 W 20000121

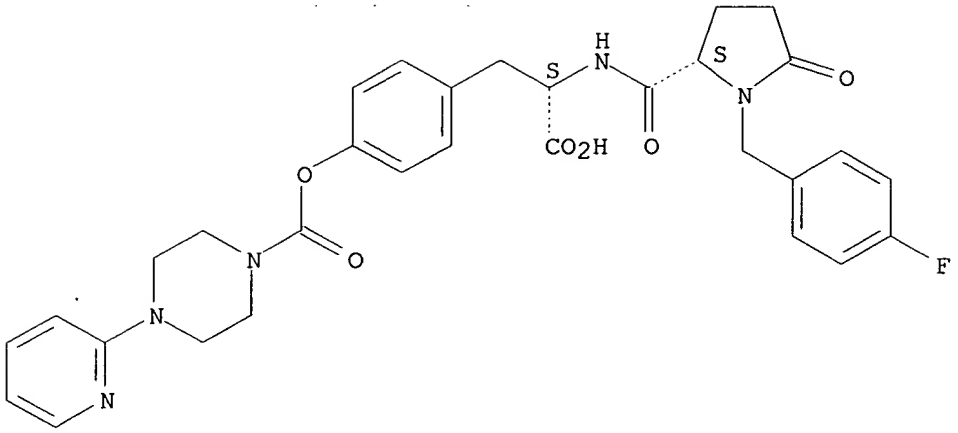
OTHER SOURCE(S): MARPAT 133:129864

AB Pyroglutamic acid derivs. and related compds. that bind VLA-4 are disclosed. Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, such as asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis, and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis.

IT **286456-28-8P 286456-29-9P 286456-33-5P**
286456-34-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyroglutamic acid derivs. and related compds. which inhibit VLA-4-mediated leukocyte adhesion, and prepn. thereof)

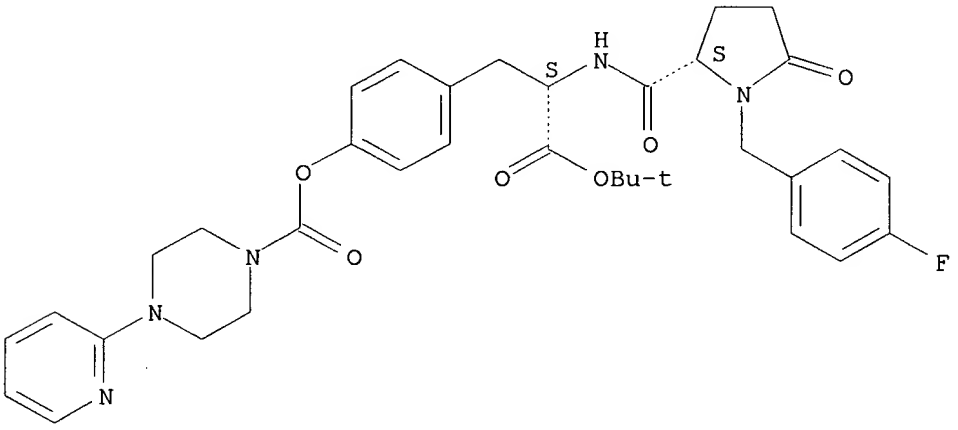
RN 286456-28-8 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)methyl]-5-oxo-L-prolyl-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



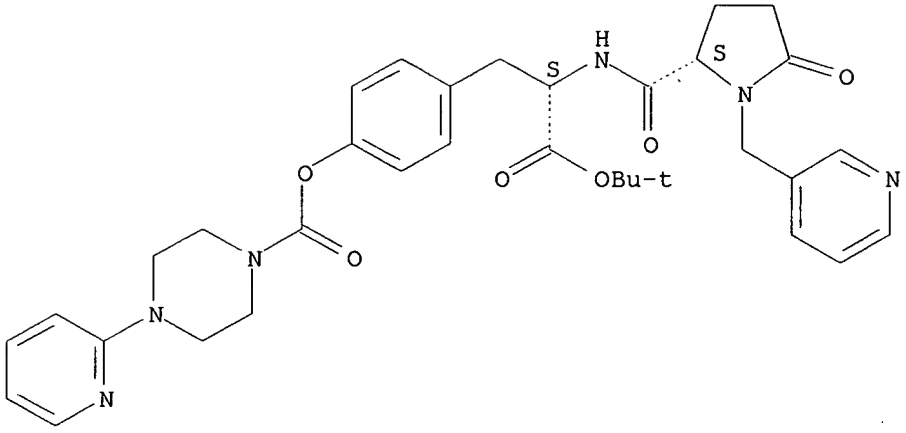
RN 286456-29-9 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)methyl]-5-oxo-L-prolyl-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



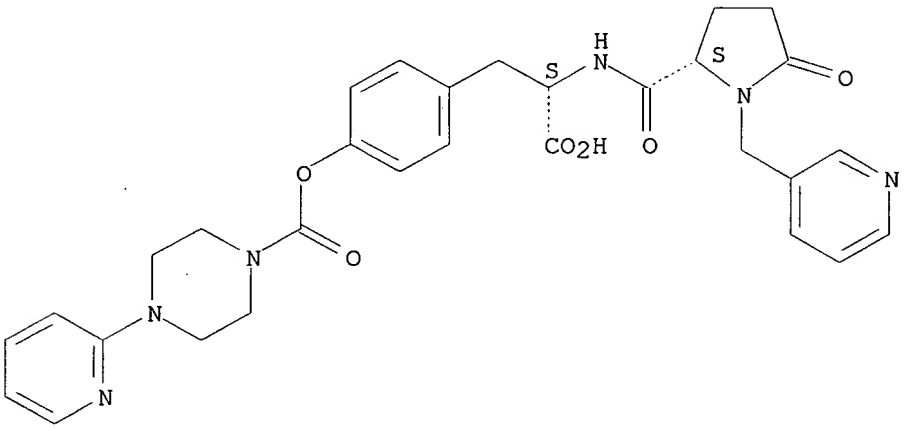
RN 286456-33-5 CAPLUS
CN L-Tyrosine, 5-oxo-1-(3-pyridinylmethyl)-L-prolyl-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 286456-34-6 CAPLUS
CN L-Tyrosine, 5-oxo-1-(3-pyridinylmethyl)-L-prolyl-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

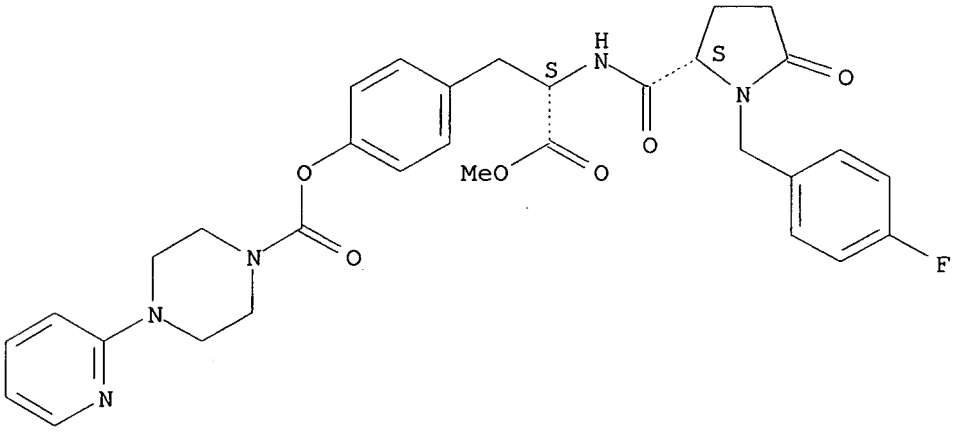
Absolute stereochemistry.



IT **286458-22-8 286458-23-9 286458-24-0**
286458-25-1 286458-26-2 286458-27-3
286458-28-4 286458-50-2 286458-51-3
286458-52-4 286458-53-5 286458-54-6
286458-55-7 286458-56-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyroglutamic acid derivs. and related compds. which inhibit VLA-4-mediated leukocyte adhesion, and prepn. thereof)
RN 286458-22-8 CAPLUS

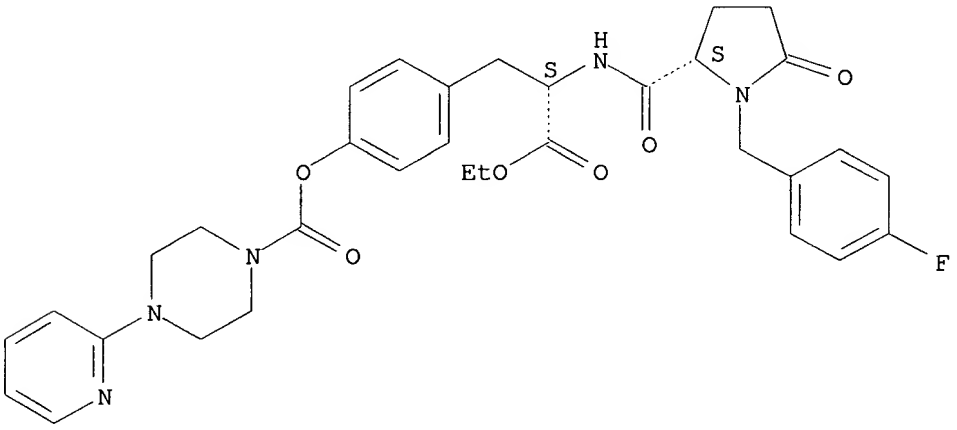
CN L-Tyrosine, 1-[(4-fluorophenyl)methyl]-5-oxo-L-prolyl-, methyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



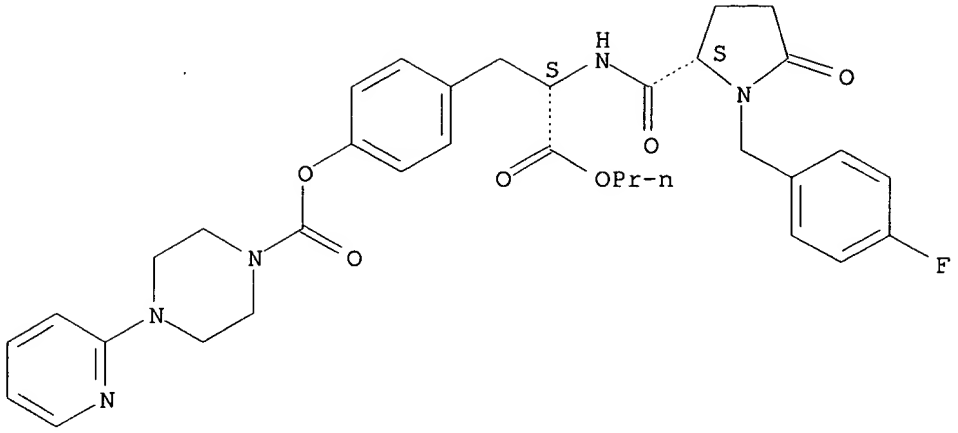
RN 286458-23-9 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)methyl]-5-oxo-L-prolyl-, ethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



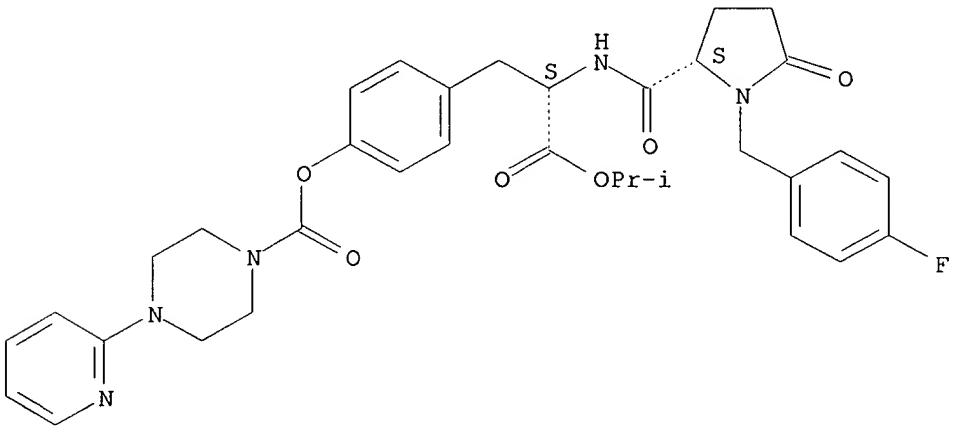
RN 286458-24-0 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)methyl]-5-oxo-L-prolyl-, propyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



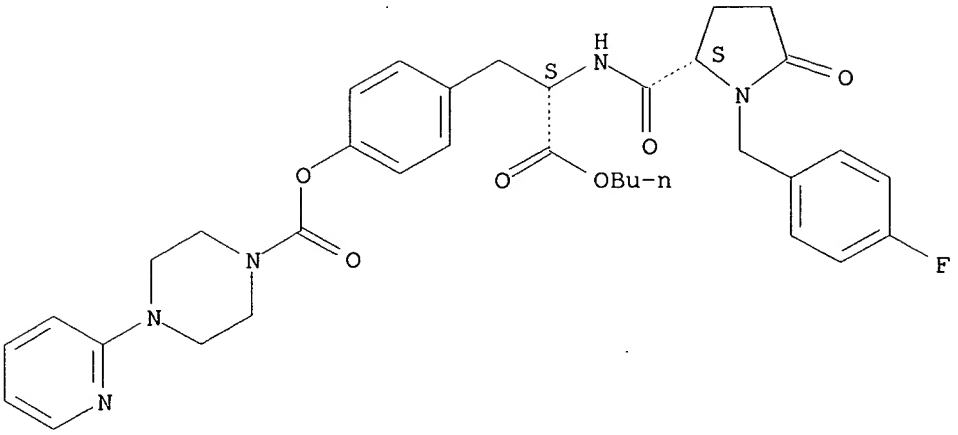
RN 286458-25-1 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)methyl]-5-oxo-L-prolyl-, 1-methylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



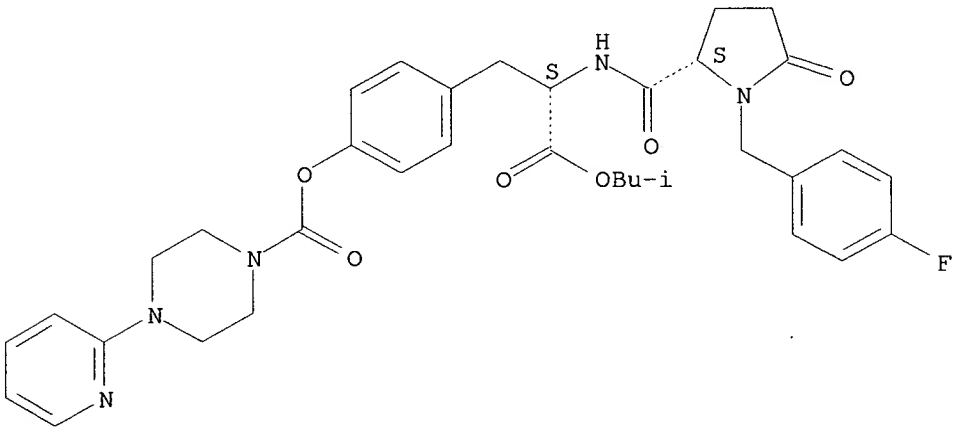
RN 286458-26-2 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)methyl]-5-oxo-L-prolyl-, butyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



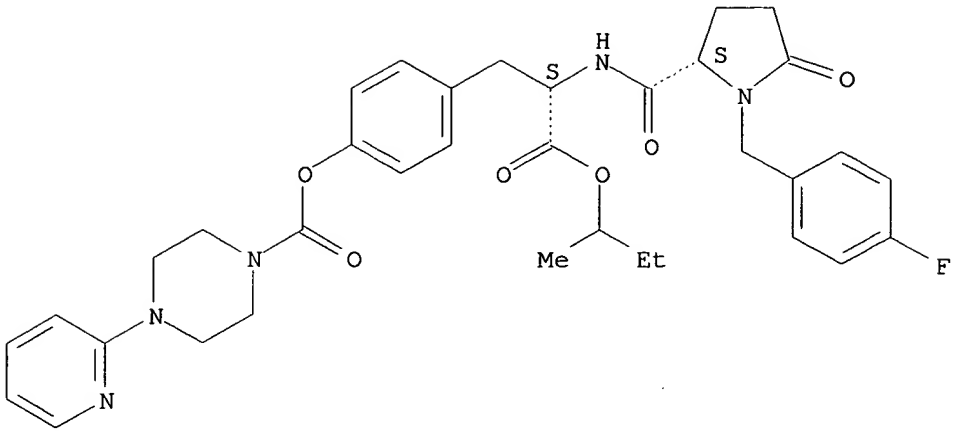
RN 286458-27-3 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)methyl]-5-oxo-L-prolyl-, 2-methylpropyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



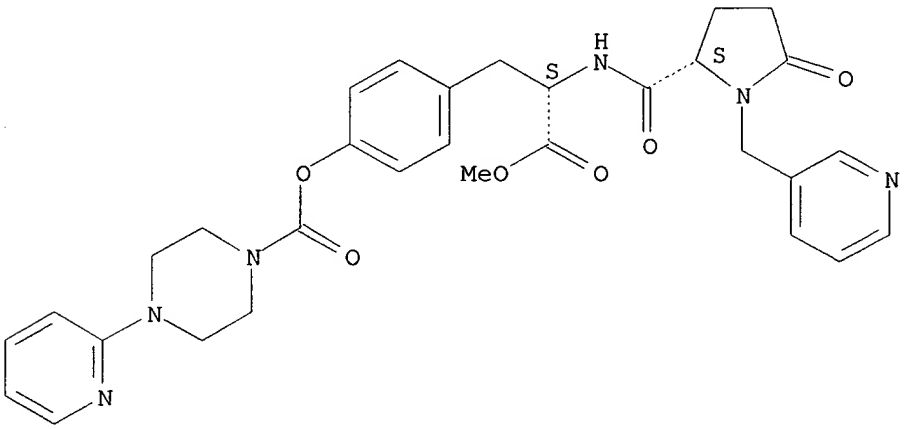
RN 286458-28-4 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)methyl]-5-oxo-L-prolyl-, 1-methylpropyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



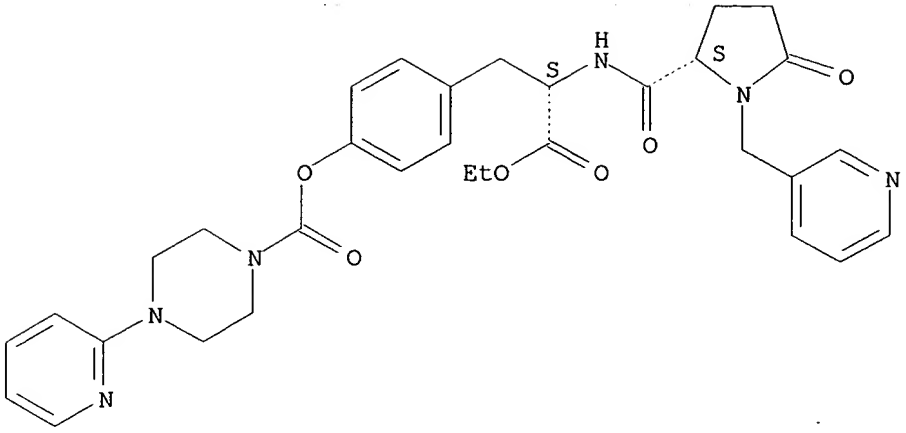
RN 286458-50-2 CAPLUS
CN L-Tyrosine, 5-oxo-1-(3-pyridinylmethyl)-L-prolyl-, methyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



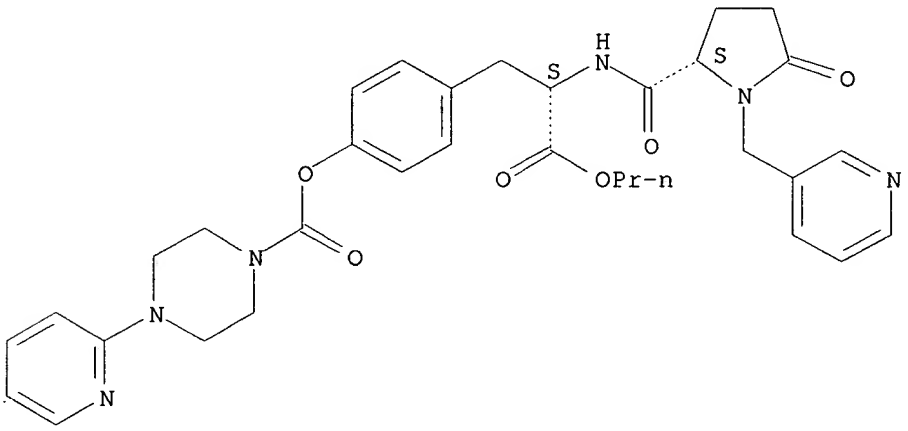
RN 286458-51-3 CAPLUS
CN L-Tyrosine, 5-oxo-1-(3-pyridinylmethyl)-L-prolyl-, ethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



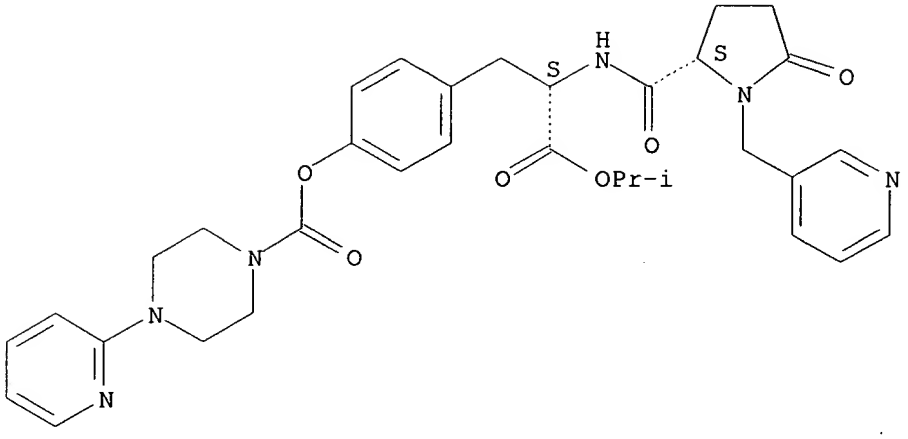
RN 286458-52-4 CAPLUS
CN L-Tyrosine, 5-oxo-1-(3-pyridinylmethyl)-L-prolyl-, propyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



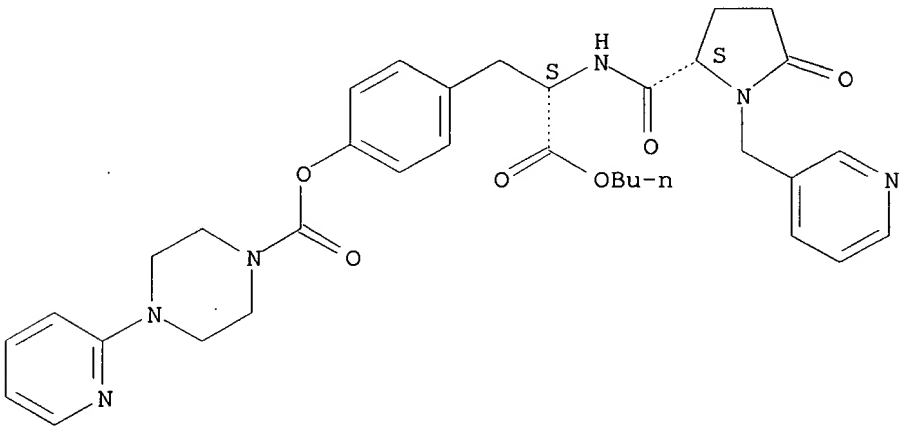
RN 286458-53-5 CAPLUS
CN L-Tyrosine, 5-oxo-1-(3-pyridinylmethyl)-L-prolyl-, 1-methylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



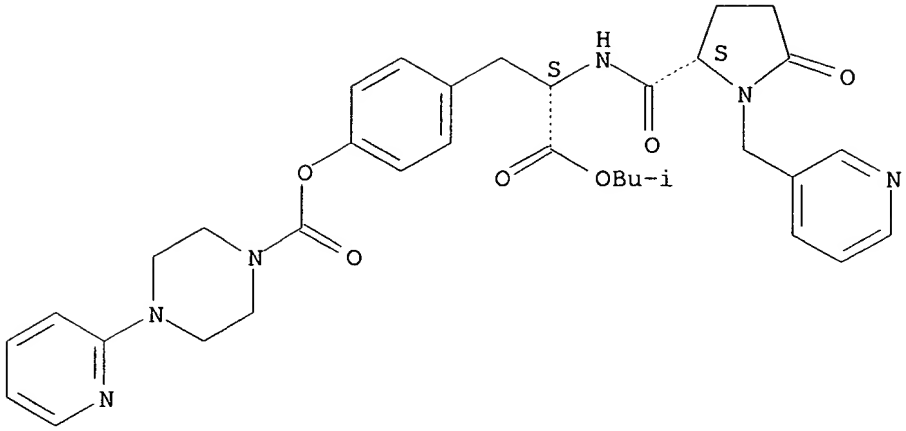
RN 286458-54-6 CAPLUS
CN L-Tyrosine, 5-oxo-1-(3-pyridinylmethyl)-L-prolyl-, butyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



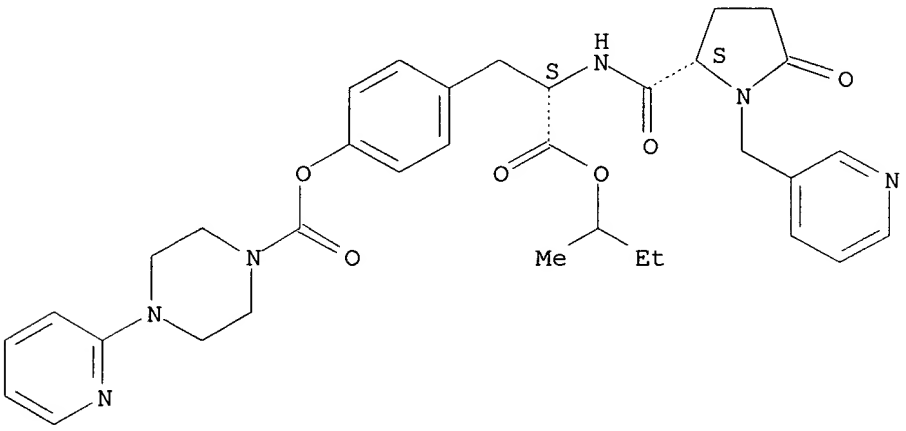
RN 286458-55-7 CAPLUS
CN L-Tyrosine, 5-oxo-1-(3-pyridinylmethyl)-L-prolyl-, 2-methylpropyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 286458-56-8 CAPLUS
CN L-Tyrosine, 5-oxo-1-(3-pyridinylmethyl)-L-prolyl-, 1-methylpropyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



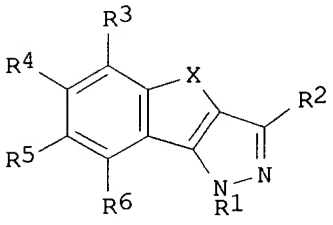
L4 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:335390 CAPLUS
DOCUMENT NUMBER: 132:347566
TITLE: Preparation of tricyclic pyrazole derivatives as protein kinase inhibitors.
INVENTOR(S): Doyle, Kevin J.; Rafferty, Paul; Steele, Robert W.; Wilkins, David J.; Hockley, Michael; Arnold, Lee D.; Ericsson, Anna M.
PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027822	A2	20000518	WO 1999-US26105	19991104
WO 2000027822	A3	20000810		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9915132	A	20010807	BR 1999-15132	19991104
EP 1127051	A2	20010829	EP 1999-962700	19991104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6462036	B1	20021008	US 2000-573366	20000517
NO 2001002219	A	20010613	NO 2001-2219	20010504

PRIORITY APPLN. INFO.: US 1998-107467P P 19981106
WO 1999-US26105 W 19991104

OTHER SOURCE(S): MARPAT 132:347566
GI

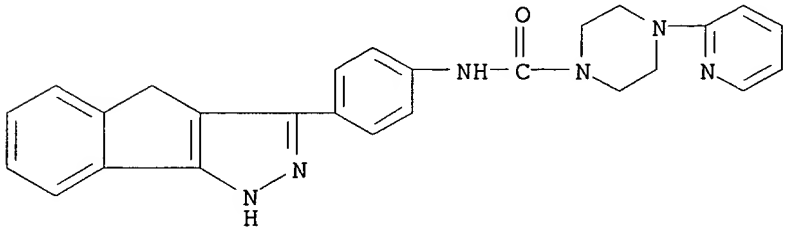


I

AB A method of inhibiting protein kinase activity comprises administration of title compds. [I; X = substituted methylene, CO, O, C:NOR7, NR8, (CH2)n, S, SO, SO2; n = 1-3; R1 = H; R2 = (substituted) aryl, pyridyl, thienyl, furyl, pyrrolyl; R3-R6 = H, OH, halo, CO2H, alkoxycarbonyl, (substituted) alkyl, alkoxy, PhO, etc.; R7 = H, alkyl; with provisos]. Thus, indan-1-one hydrazone (prepn. given) in THF at 0.degree. was treated with BuLi and then with Me 3,4,5-trimethoxybenzoate to give 3-(3,4,5-trimethoxyphenyl)-1,4-dihydroindeno[1,2-c]pyrazole.

IT **268563-67-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

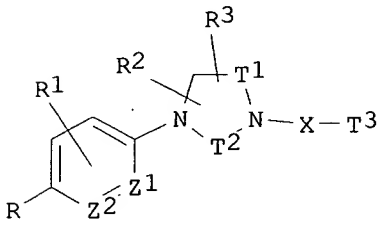
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic pyrazole derivs. as protein kinase inhibitors)
RN 268563-67-3 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1,4-dihydroindeno[1,2-c]pyrazol-3-yl)phenyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:210118 CAPLUS
DOCUMENT NUMBER: 132:237107
TITLE: Preparation of piperazino-substituted cyanophenyl derivatives as antiandrogen agents
INVENTOR(S): Taniguchi, Nobuaki; Kinoyama, Isao; Kamikubo, Takashi;
Toyoshima, Akira; Samizu, Kiyohiro; Kawaminami, Eiji; Imamura, Masakazu; Moritomo, Hiroyuki; Matsuhisa, Akira; Hirano, Masaaki; Miyazaki, Yoji; Nozawa, Eisuke; Okada, Minoru; Koutoku, Hiroshi; Ohta, Mitsuaki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017163	A1	20000330	WO 1999-JP5149	19990921
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9956544	A1	20000410	AU 1999-56544	19990921
BR 9914018	A	20010703	BR 1999-14018	19990921

EP 1122242 A1 20010808 EP 1999-943446 19990921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
PRIORITY APPLN. INFO.: JP 1998-267508 A 19980922
JP 1999-155398 A 19990602
WO 1999-JP5149 W 19990921
OTHER SOURCE(S): MARPAT 132:237107
GI



I

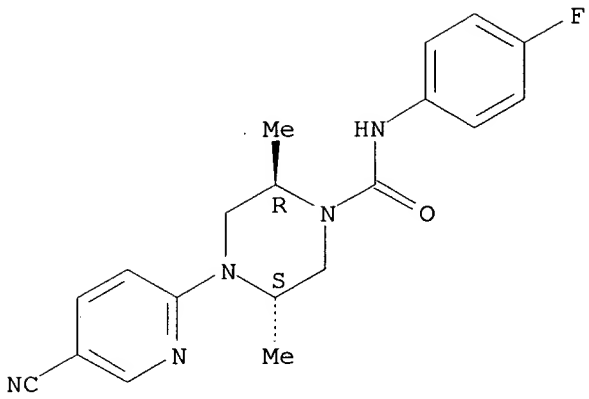
AB The title compds. I [T1 = (CH2)n; T2 = (CH2)k; T3 = (NR4Y)mR5; R = cyano, etc.; R1 = H, halo, etc.; R2 - R4 = H, alkyl, etc.; R5 = alkyl, etc.; k, n = 1 - 3; m = 0 or 1; X = CO, etc.; Z1, Z2 = CH, N; a proviso is given; Y = alkylene, etc.] are prepd. These derivs. exhibit antiandrogen activities and are therefore useful in the prevention or treatment of prostatic cancer, prostatic hypertrophy and so forth. In an in vitro assay for inhibition of androgen binding to androgen receptors, (2R,5S)-N-(2-bromo-4-pyridyl)-4-(4-cyano-3-trifluoromethylphenyl)-2,5-dimethylpiperazine-1-carboxamide showed the Ki value of 7.5 nM.

IT **262294-11-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazino-substituted cyanophenyl derivs. as antiandrogen agents)

RN 262294-11-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-(5-cyano-2-pyridinyl)-N-(4-fluorophenyl)-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

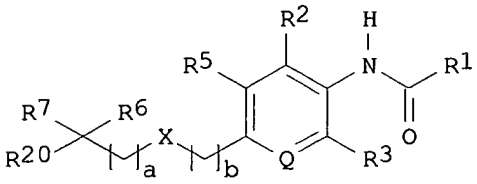


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:795789 CAPLUS
DOCUMENT NUMBER: 132:35516
TITLE: Preparation of phenyl amides and ureas as neuropeptide
Y5 receptor antagonists
INVENTOR(S): Dugar, Sundeep; Neustadt, Bernard R.; Stamford, Andrew
W.; Wu, Yusheng
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964394	A1	19991216	WO 1999-US11795	19990607
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2334298	AA	19991216	CA 1999-2334298	19990607
AU 9943178	A1	19991230	AU 1999-43178	19990607
EP 1086078	A1	20010328	EP 1999-955470	19990607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				

SI, FI, RO
JP 2002517483 T2 20020618 JP 2000-553404 19990607
PRIORITY APPLN. INFO.: US 1998-93132 A2 19980608
WO 1999-US11795 W 19990607
OTHER SOURCE(S): MARPAT 132:35516
GI

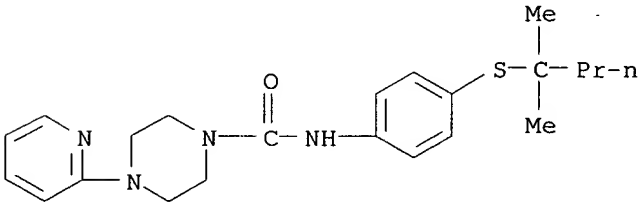


I

AB The title compds. [I; a, b = 0-2, provided that the sum a + b = 0-3; Q = CR4, N; X = O, S, SO, etc.; R1 = (un)substituted aryl, heteroaryl, amino, etc.; R2-R5 = H, alkyl, (un)substituted cycloalkyl, etc.; R6, R7 = H, alkyl, alkenyl, etc.; CR6R7 = 3-7-membered carbocyclic ring, 4-7-membered heterocyclic ring; R20 = alkyl, cycloalkyl, hydroxyalkyl, etc.], useful in the treatment of eating disorders and diabetes, were prepd. Thus, amidation of 4-[4,4-dimethylbutylthio]aniline with trimethylacetyl chloride in CH2Cl2 afforded 76% I [Q = CH; R1 = Me3C; R2 = R3 = R5 = H; R6 = R7 = Me; R20 = Pr; X = S; a = b = 0]. For the compds. I, a range of neuropeptide Y5 receptor binding activity from 0.1-1000 nM was obsd.

IT **252345-80-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of Ph amides and ureas as neuropeptide Y5 receptor antagonists)

RN 252345-80-5 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-[(1,1-dimethylbutyl)thio]phenyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



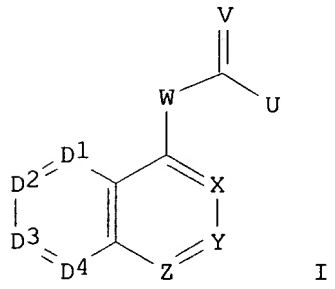
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:659367 CAPLUS
DOCUMENT NUMBER: 131:271888
TITLE: Preparation of nitrogenous heterocyclic compounds for inhibiting phosphorylation of PDGF receptors
INVENTOR(S): Matsuno, Kenji; Nomoto, Yuji; Ichimura, Michio; Ide, Shin-ichi; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951582	A1	19991014	WO 1999-JP1665	19990331
W: AU, BG, BR, CA, CN, CZ, HU, ID, IL, IN, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2326324	AA	19991014	CA 1999-2326324	19990331
AU 9930539	A1	19991025	AU 1999-30539	19990331
EP 1067123	A1	20010110	EP 1999-912061	19990331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
US 6423716	B1	20020723	US 2000-647490	20000929

PRIORITY APPLN. INFO.: JP 1998-87514 A 19980331
WO 1999-JP1665 W 19990331
OTHER SOURCE(S): MARPAT 131:271888
GI



I

AB Nitrogenous heterocyclic compds. [I; W = 1,4-piperazinediyl, etc.; U = NR1R2 (wherein R1 = H, (un)substituted alkyl, etc.; R2 = H, etc.), OR4 or

SR5 (wherein R4, R5 = (un)substituted alkyl, alicyclic alkyl, heterocyclic, etc.); V = O, S, NR6, or CR7R8 (wherein R6 = R1, cyano, OH, NO2, etc.; R7, R8 = H, cyano, NO2, etc.); at least one of X, Y, and Z = N and the remainder are the same or different and each represents N or CRA (wherein RA = R1, halo, cyano, NO2, etc.); and D1, D2, D3, and D4 each independently = N, O, S, CRB (wherein RB = RA), etc. or any adjacent two of D1-D4 in combination = N, O, S, etc.] or pharmacol. acceptable salts thereof, effective in inhibiting phosphorylation of PDGF receptors and in treating cell proliferation diseases such as arteriosclerosis, vascular reocclusion, cancers, glomerulosclerosis, etc., are prepd. CF3CO2H was added to a soln. of tert-Bu 4-[(4-phenoxyphenyl)carbamoyl]-1-piperazinecarboxylate in CH2Cl2 with stirring under cooling, the conc. was dissolved in DMF contg. Et3N and the soln. was treated with 6-chloropurine under Ar at room temp. to give 71% N-(4-phenoxyphenyl)-4-(6-purinyl)-1-piperazinecarboxamide, which showed IC50 of 0.29 .mu.M against phosphorylation of PDGF receptor. Four addnl. I showed 66-95% inhibition.

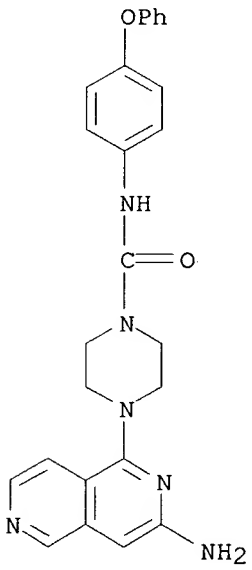
Tablet, powder and syrup formulations were given.

IT **245449-45-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nitrogenous heterocyclic compds. for inhibiting phosphorylation of PDGF receptors)

RN 245449-45-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-(3-amino-2,6-naphthyridin-1-yl)-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

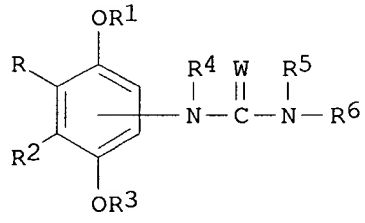


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

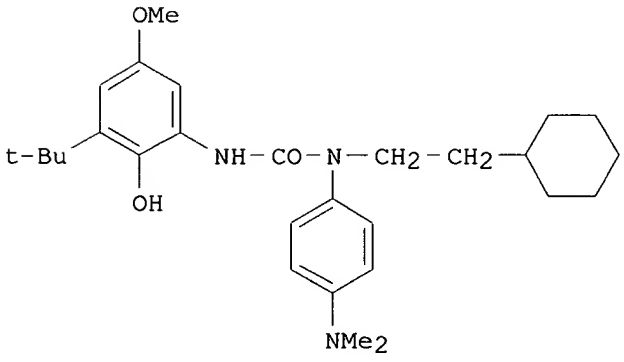
L4 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:332965 CAPLUS
DOCUMENT NUMBER: 131:44643
TITLE: Preparation of phenol derivatives as antioxidants and ACAT inhibitors
INVENTOR(S): Suzuki, Toshikazu; Ohmizu, Hiroshi; Hashimura, Yoshitada; Kubota, Hitoshi; Tanaka, Keiko
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 70 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11139969	A2	19990525	JP 1998-220951	19980805

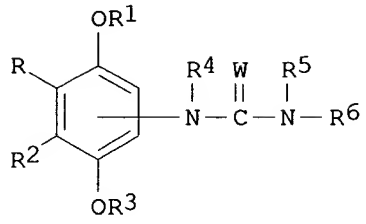
PRIORITY APPLN. INFO.: JP 1997-212376 19970807
OTHER SOURCE(S): MARPAT 131:44643
GI



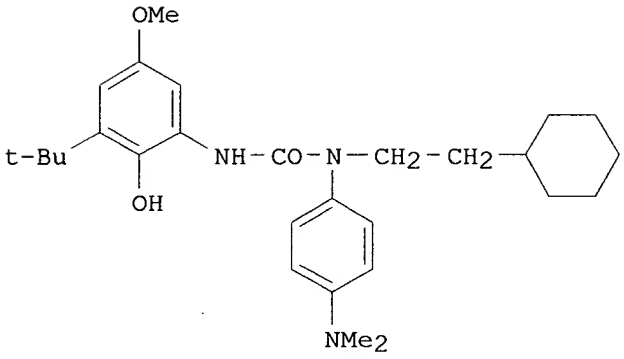
I



II



I



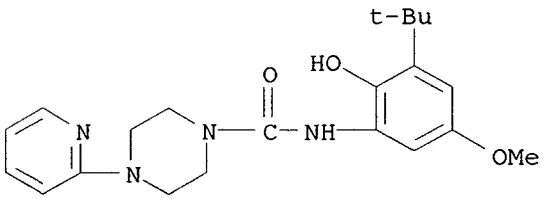
II

AB The title compds. I [R = H, (un)substituted alkyl, etc.; R1 = (un)substituted alkyl; R2 = (un)substituted alkyl, etc.; OR3= (protected) OH; R4 = H, (un)substituted alkyl, etc.; W = O, etc.; NR5R6 = (mono- or disubstituted) amino, etc.] are prepd. The title compd. II in vitro showed IC50 of 0.000067 .mu.M against ACAT.

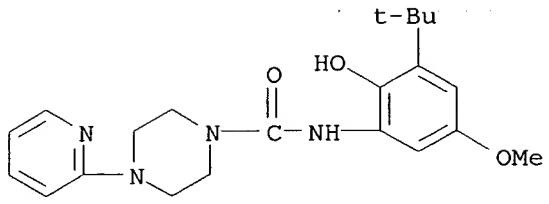
IT **195313-47-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenol derivs. as antioxidants and ACAT inhibitors)

RN 195313-47-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[3-(1,1-dimethylethyl)-2-hydroxy-5-methoxyphenyl]-4-(2-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl



●2 HCl

L4 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:113666 CAPLUS
DOCUMENT NUMBER: 130:182768
TITLE: Preparation of N-sulfonyl O-carbamoyltyrosine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss, Michael A.; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Ashwell, Susan; Baudy, Reinhardt Bernhard; Lombardo, Louis John
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 386 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906390	A1	19990211	WO 1998-US15324	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9806830	A	20000502	ZA 1998-6830	19980730
AU 9885849	A1	19990222	AU 1998-85849	19980731
AU 740681	B2	20011108		
EP 1000051	A1	20000517	EP 1998-937052	19980731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9811598	A	20001003	BR 1998-11598	19980731

JP 2001512114 T2 20010821 JP 2000-505149 19980731
US 2002039745 A1 20020404 US 1998-127364 19980731
PRIORITY APPLN. INFO.: US 1997-904424 A1 19970731
US 1997-54453P P 19970801
WO 1998-US15324 W 19980731
OTHER SOURCE(S): MARPAT 130:182768

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = OZNR8R8', OZR12; R8, R8' = independently H, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R12 = (un)substituted heterocyclyl; Z = CO, SO2; Ar = (un)substituted aryl or heteroaryl; x = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z'; R11 = alkyl; Z' = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, carbamoylation of Ts-Pro-Tyr-OEt (Ts = tosyl) with Me2NCOCl in the presence of Et3N and DMAP gave 99% desired title compd. Ts-Pro-Tyr(CONMe2)-OEt (I). Sapon. of I gave the corresponding free acid Ts-Pro-Tyr(CONMe2)-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

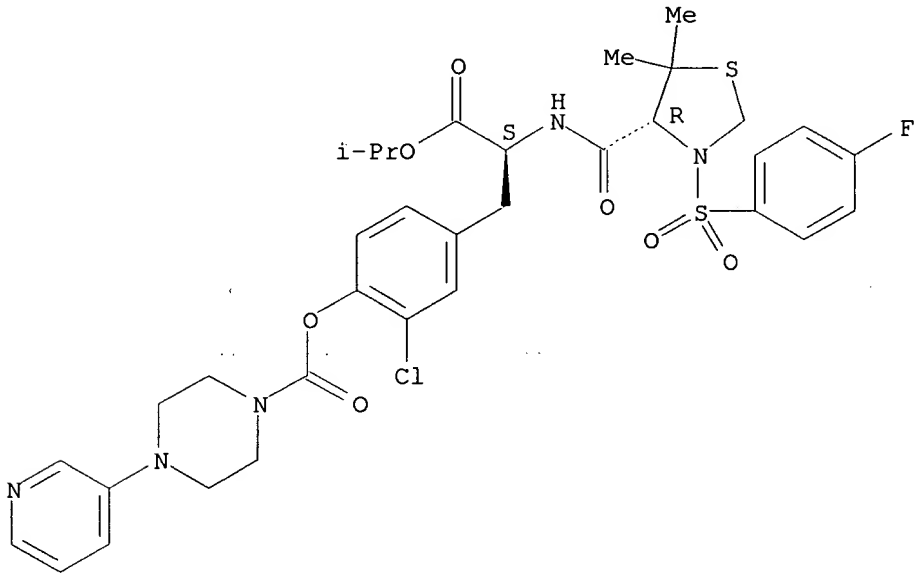
IT **220546-79-2P 220546-80-5P 220547-34-2P**
220547-35-3P 220547-46-6P 220547-51-3P
220547-52-4P 220547-53-5P 220547-54-6P
220547-61-5P 220547-66-0P 220547-67-1P
220547-68-2P 220547-69-3P 220547-70-6P
220547-71-7P 220547-72-8P 220547-76-2P
220547-77-3P 220547-78-4P 220547-79-5P
220547-80-8P 220547-83-1P 220547-84-2P
220547-85-3P 220547-86-4P 220547-87-5P
220547-88-6P 220547-93-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonyl O-carbamoyltyrosine dipeptide derivs. and analogs

as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220546-79-2 CAPLUS

CN L-Tyrosine,
3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, 4-(3-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

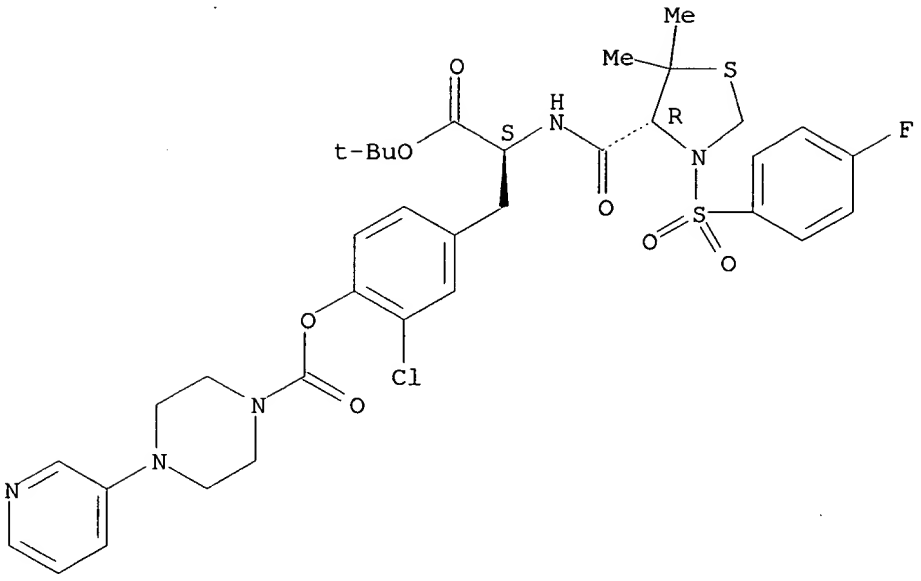
Absolute stereochemistry.



RN 220546-80-5 CAPLUS

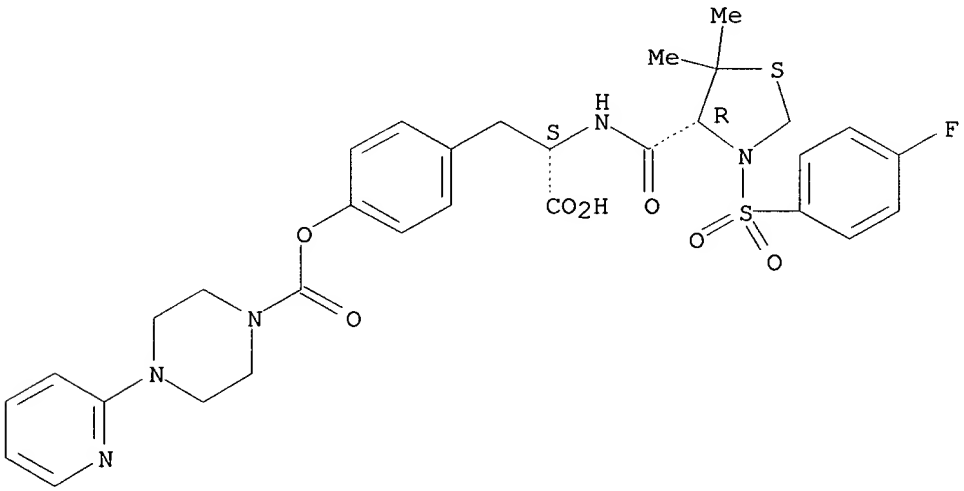
CN L-Tyrosine,
3-chloro-N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(3-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



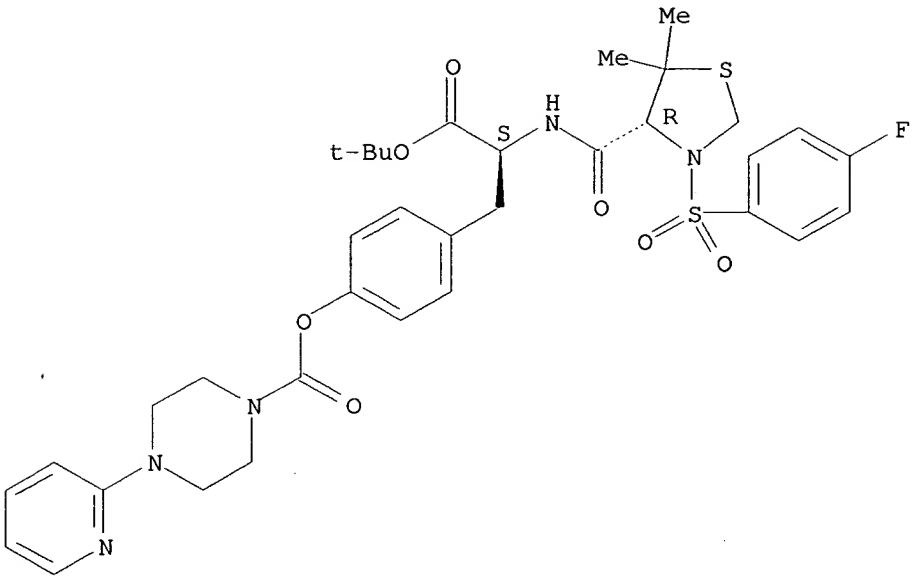
RN 220547-34-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



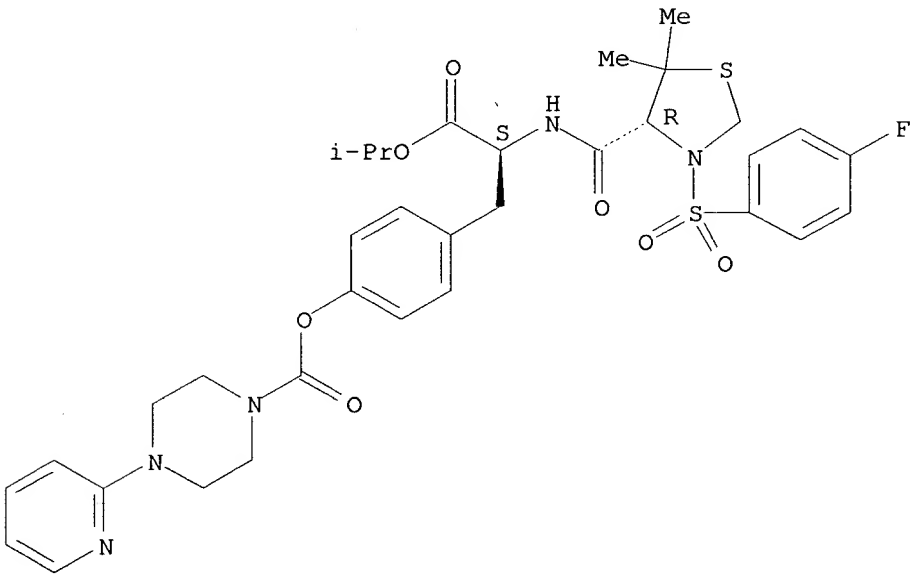
RN 220547-35-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



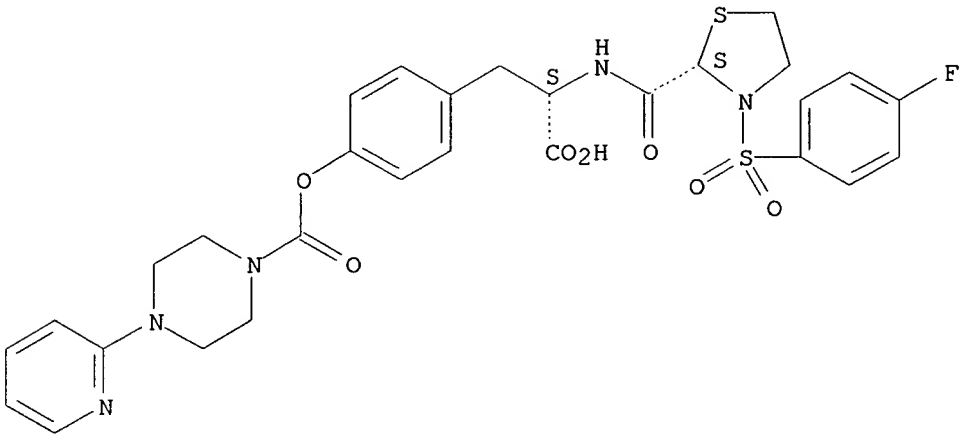
RN 220547-46-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-fluorophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1-methylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



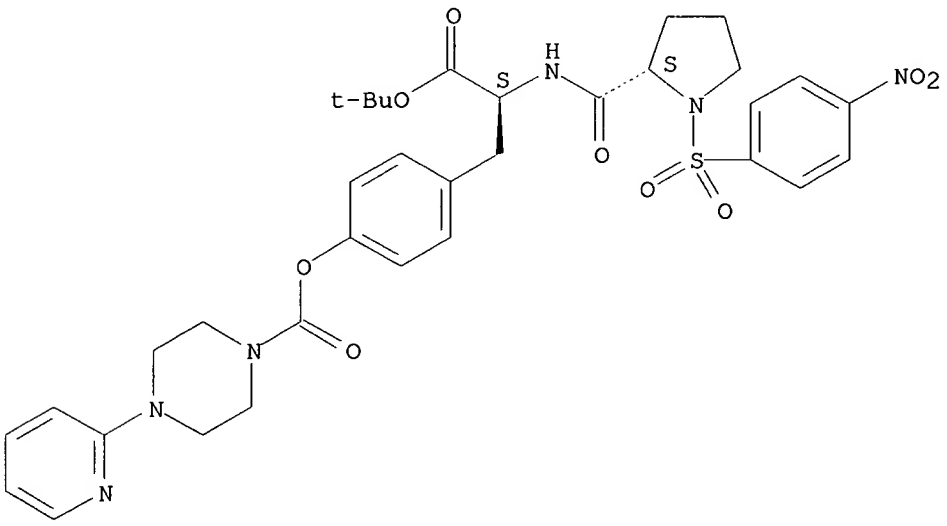
RN 220547-51-3 CAPLUS
CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220547-52-4 CAPLUS
CN L-Tyrosine, 1-[(4-nitrophenyl)sulfonyl]-L-prolyl-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

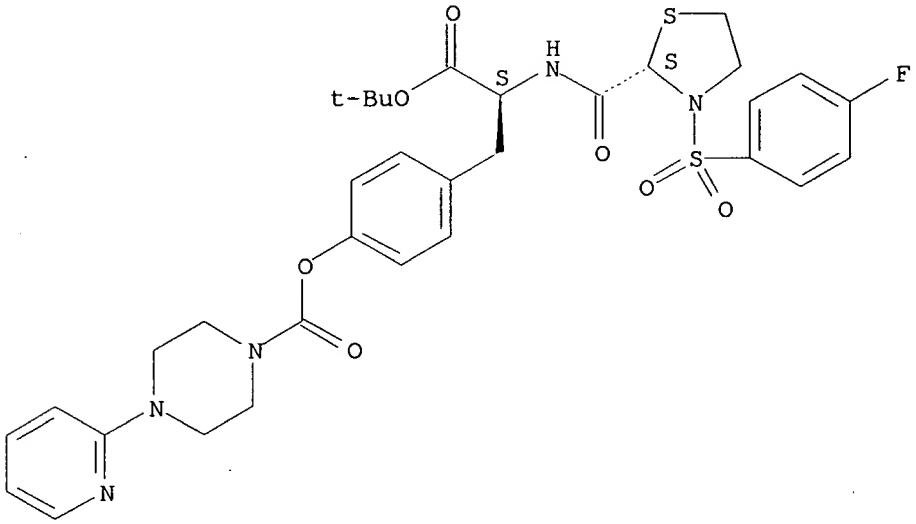
Absolute stereochemistry.



RN 220547-53-5 CAPLUS
CN L-Tyrosine, N-[[(2S)-3-[(4-fluorophenyl)sulfonyl]-2-

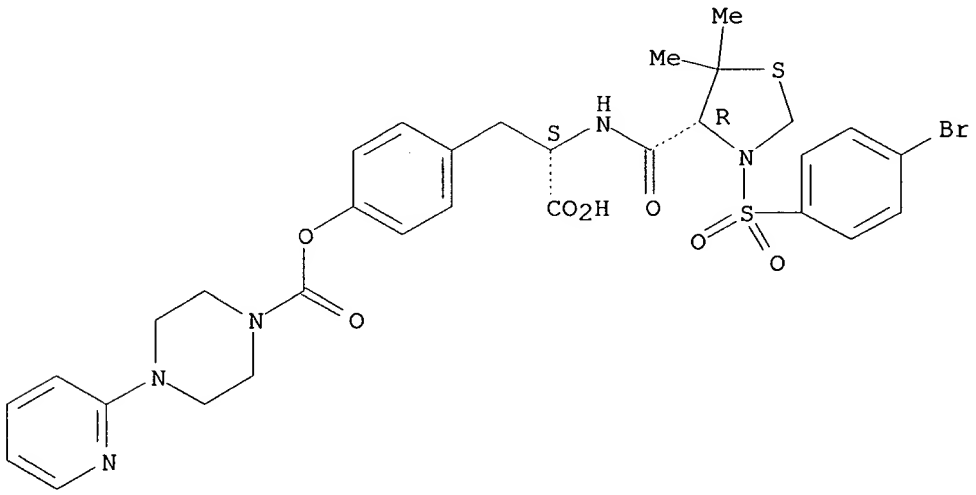
thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220547-54-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-bromophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

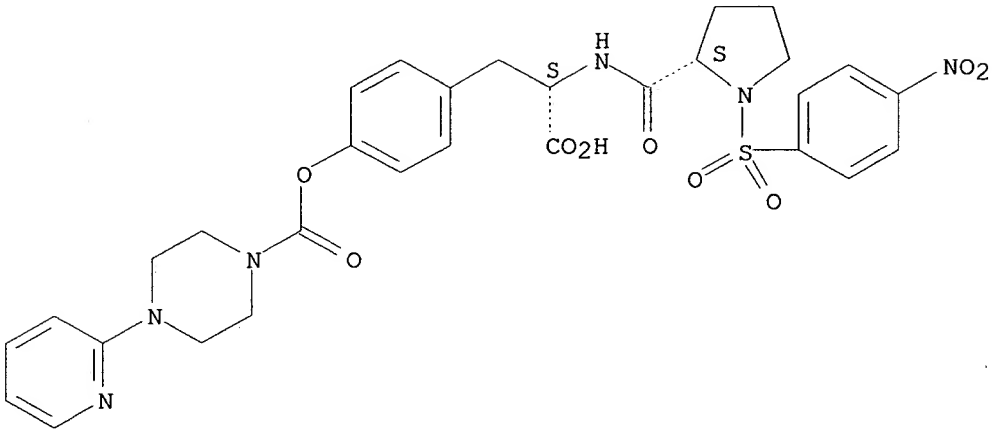
Absolute stereochemistry.



RN 220547-61-5 CAPLUS
CN L-Tyrosine, 1-[(4-nitrophenyl)sulfonyl]-L-prolyl-, 4-(2-pyridinyl)-1-

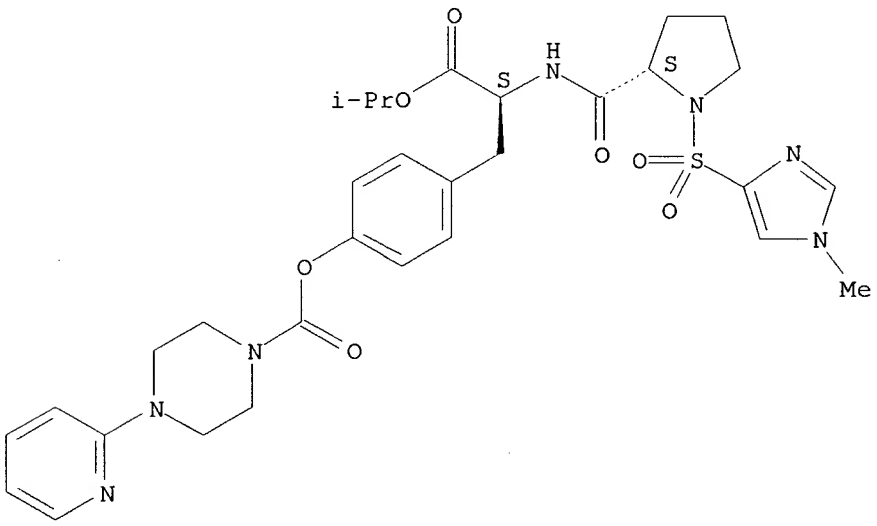
piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



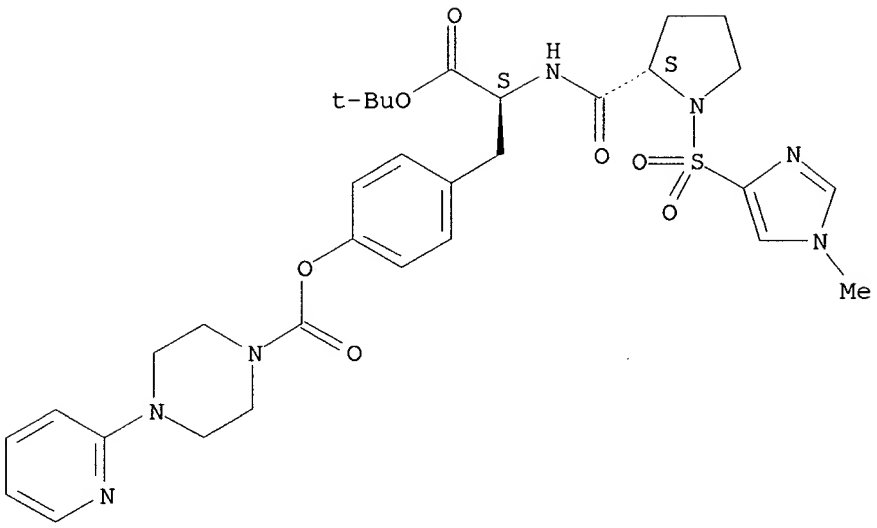
RN 220547-66-0 CAPLUS
CN L-Tyrosine, 1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-L-prolyl-, 1-methylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



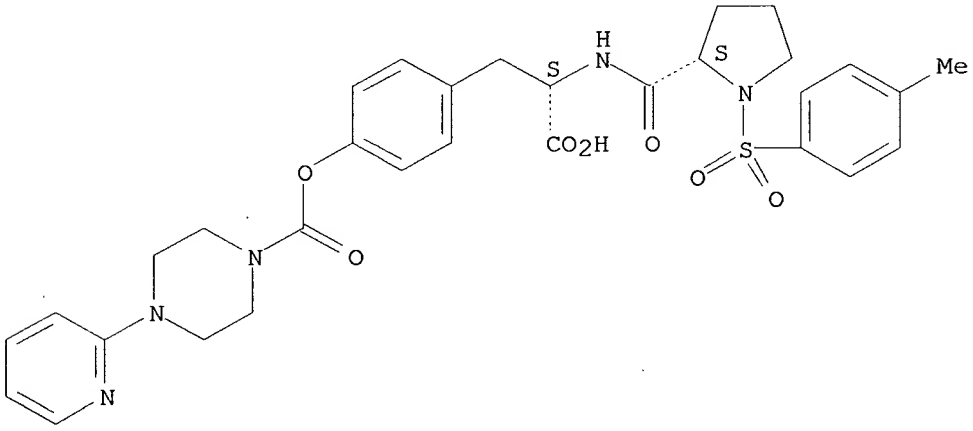
RN 220547-67-1 CAPLUS
CN L-Tyrosine, 1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-L-prolyl-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



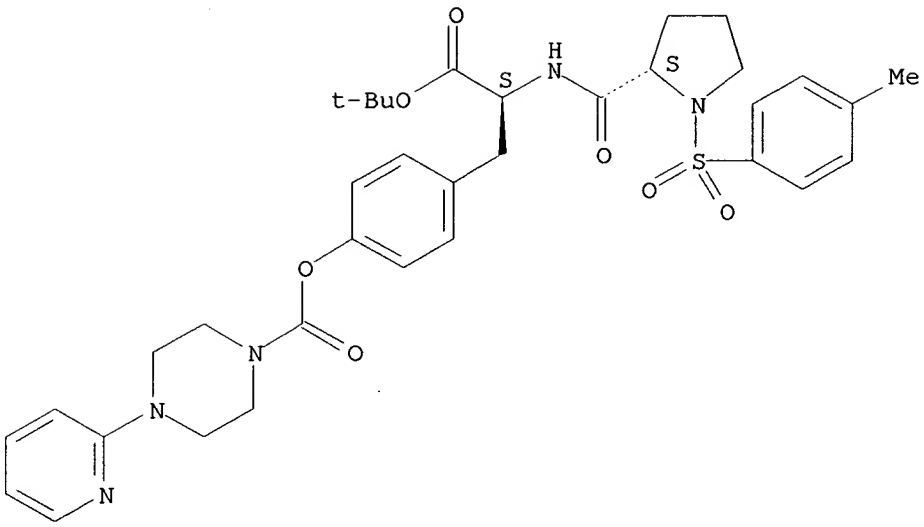
RN 220547-68-2 CAPLUS
CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



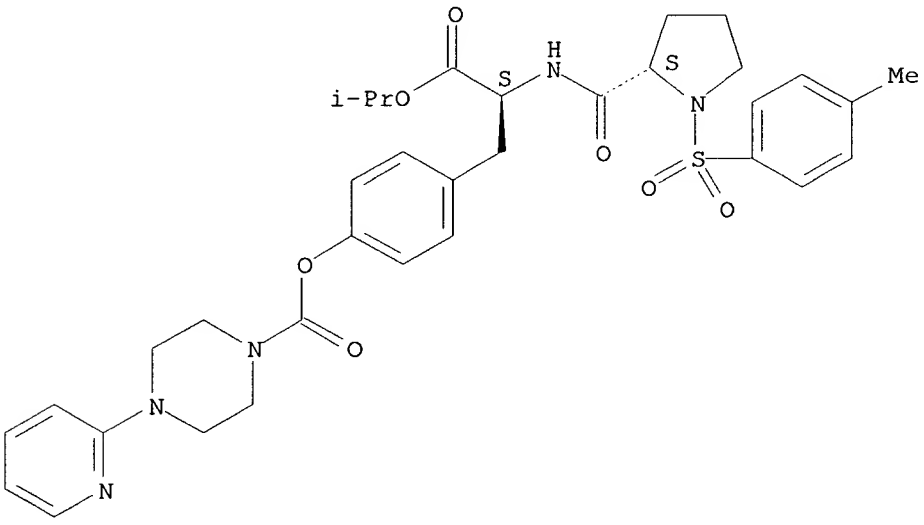
RN 220547-69-3 CAPLUS
CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



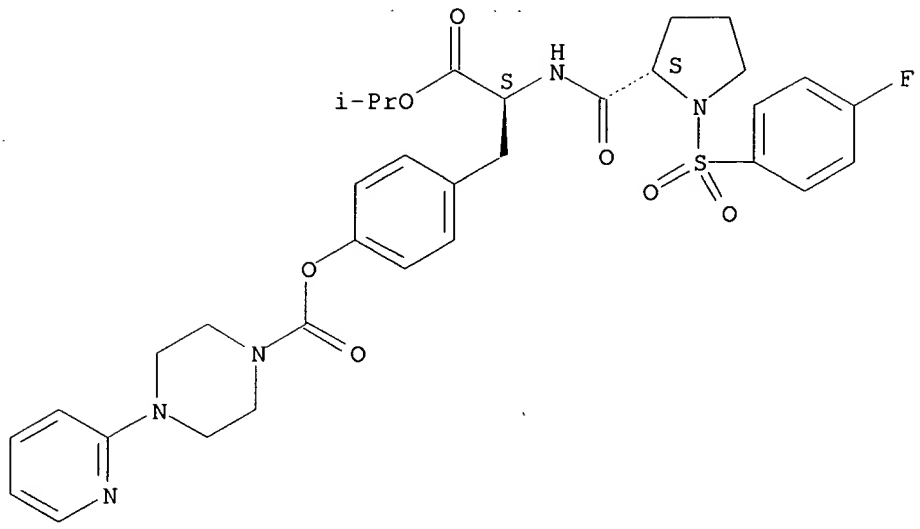
RN 220547-70-6 CAPLUS
CN L-Tyrosine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-, 1-methylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



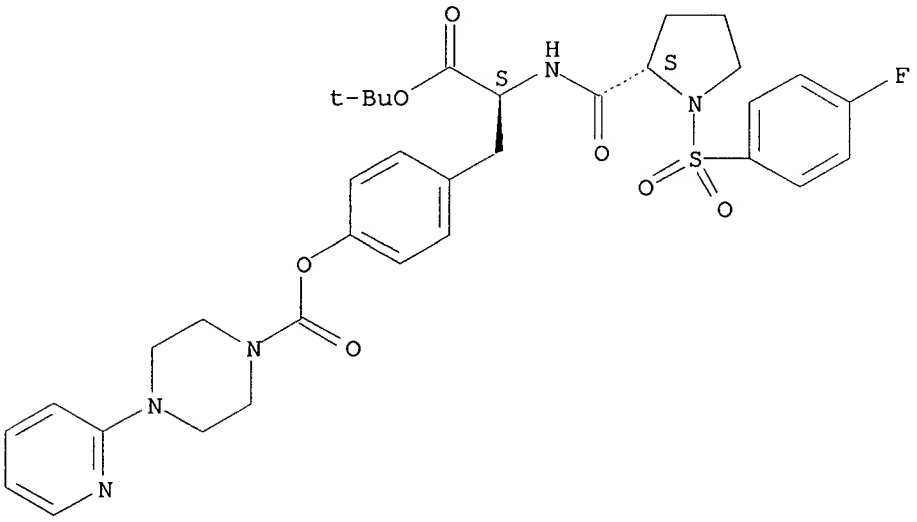
RN 220547-71-7 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)sulfonyl]-L-prolyl-, 1-methylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



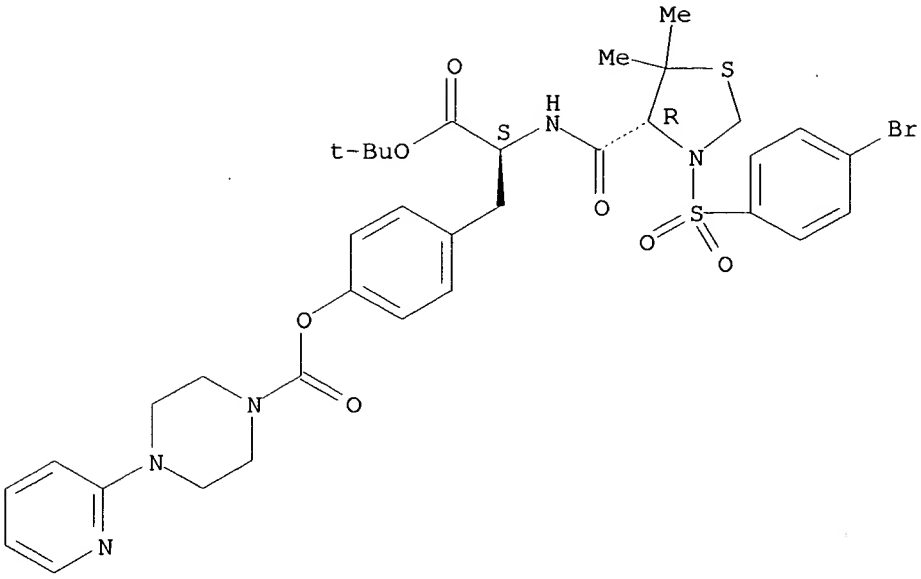
RN 220547-72-8 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)sulfonyl]-L-prolyl-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



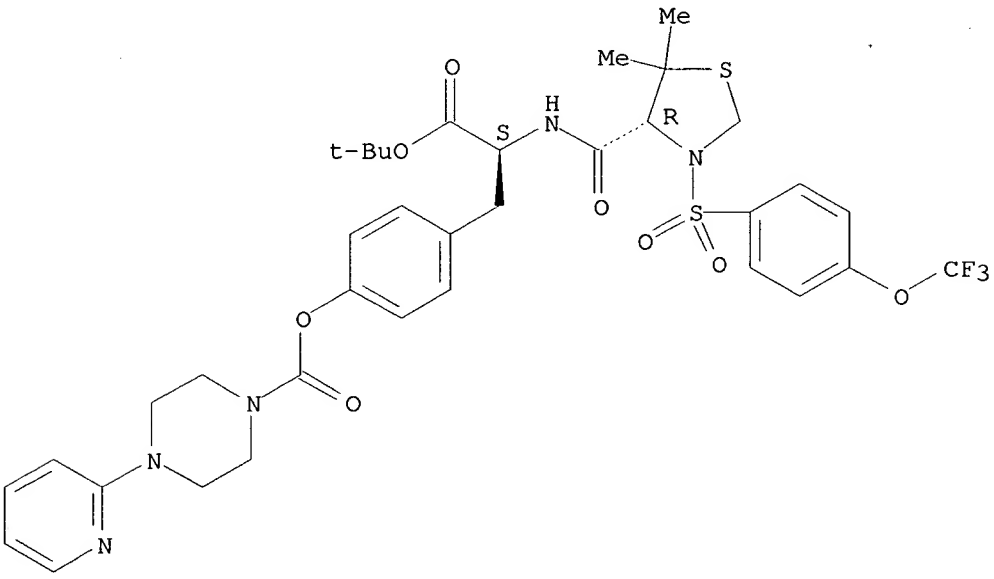
RN 220547-76-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(4-bromophenyl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



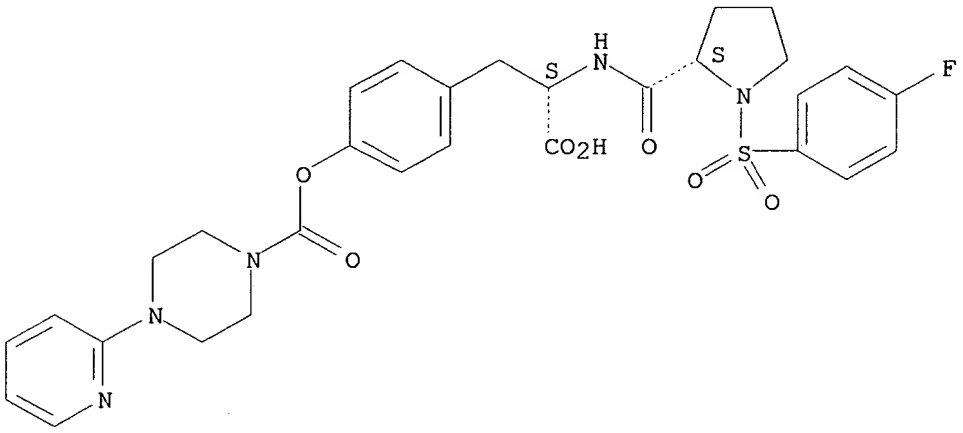
RN 220547-77-3 CAPLUS
CN L-Tyrosine,
N-[[(4R)-5,5-dimethyl-3-[[4-(trifluoromethoxy)phenyl]sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



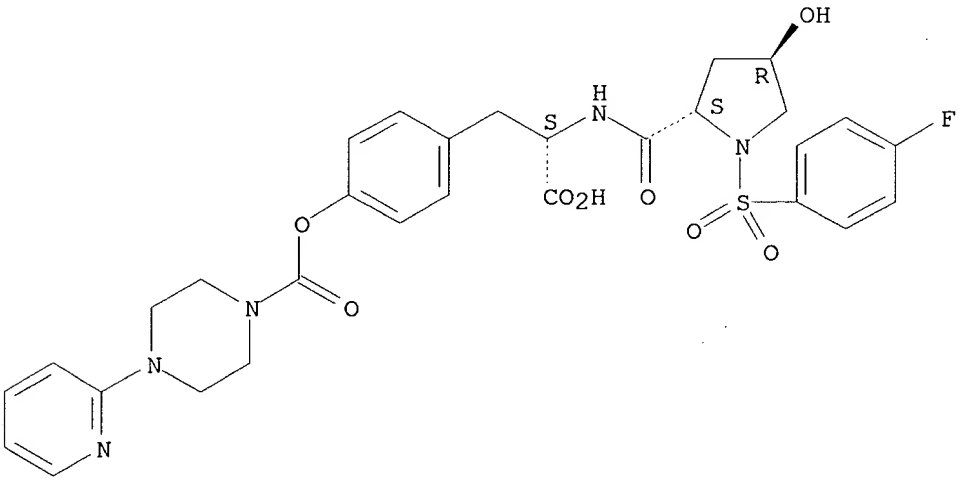
RN 220547-78-4 CAPLUS
CN L-Tyrosine, 1-[(4-fluorophenyl)sulfonyl]-L-prolyl-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



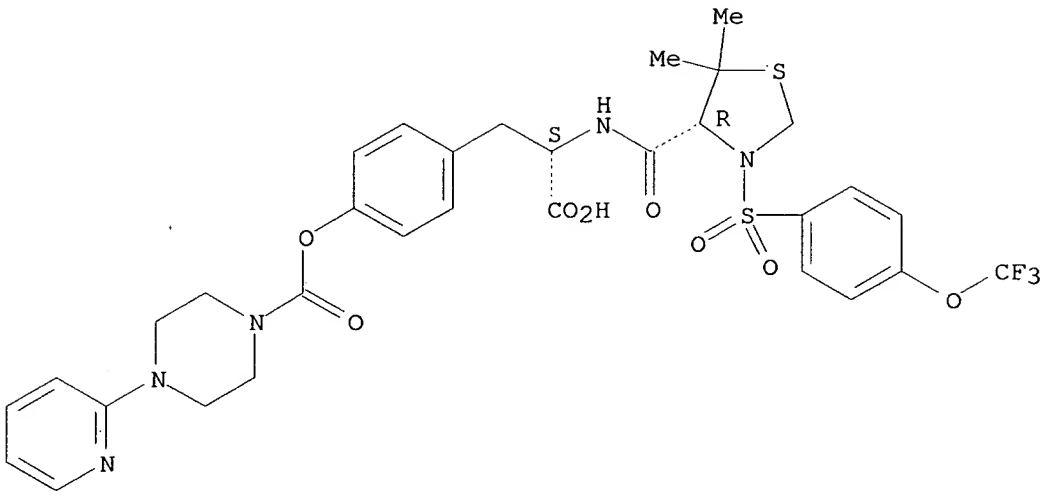
RN 220547-79-5 CAPLUS
CN L-Tyrosine, (4R)-1-[(4-fluorophenyl)sulfonyl]-4-hydroxy-L-prolyl-, 2-[4-(2-pyridinyl)-1-piperazinecarboxylate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



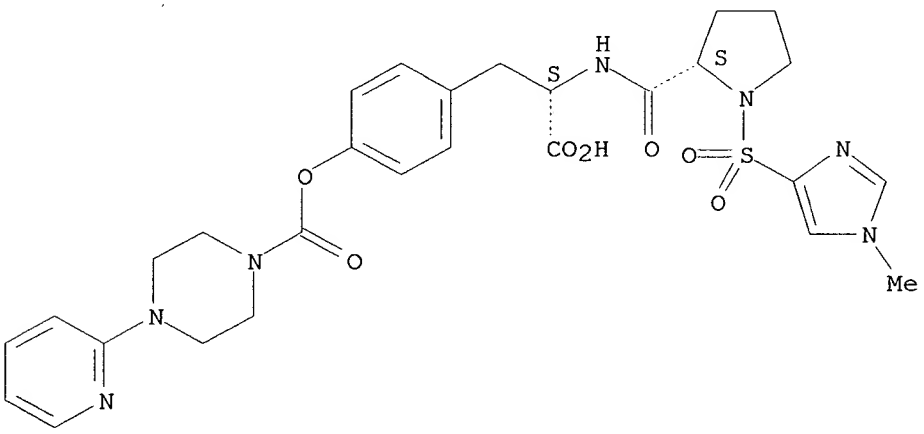
RN 220547-80-8 CAPLUS
CN L-Tyrosine,
N-[[(4R)-5,5-dimethyl-3-[[4-(trifluoromethoxy)phenyl]sulfonyl]-4-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



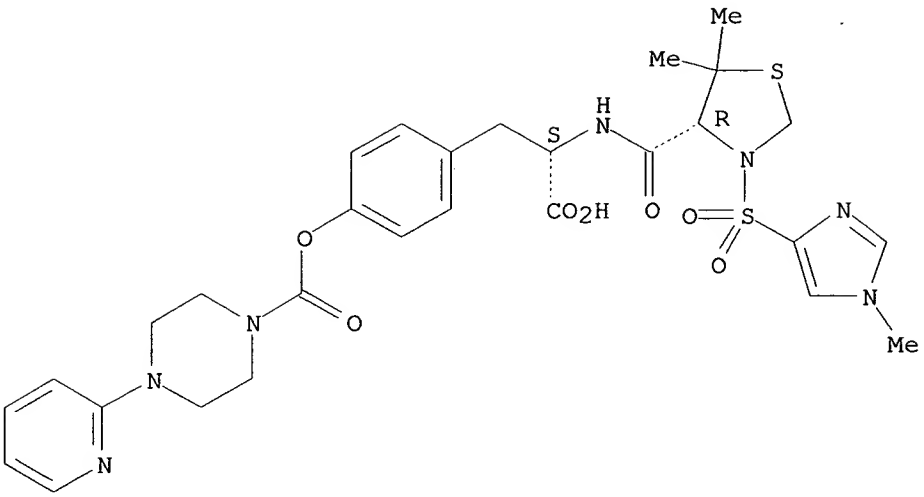
RN 220547-83-1 CAPLUS
CN L-Tyrosine, 1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-L-prolyl-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



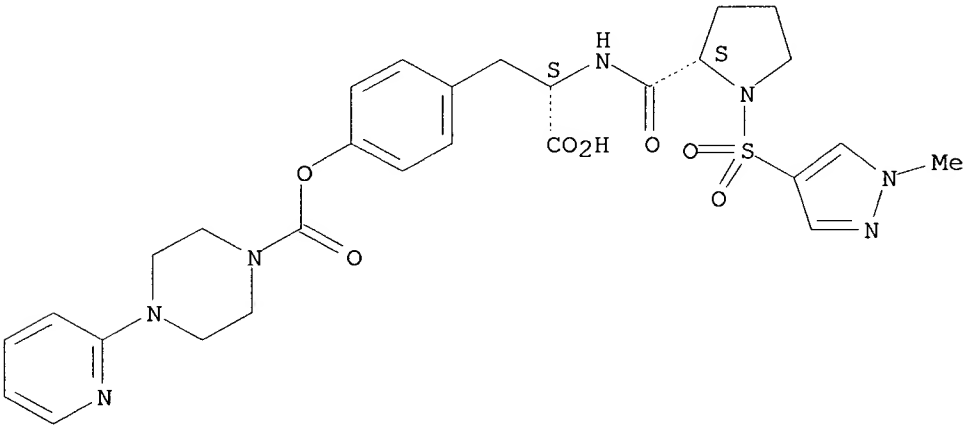
RN 220547-84-2 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



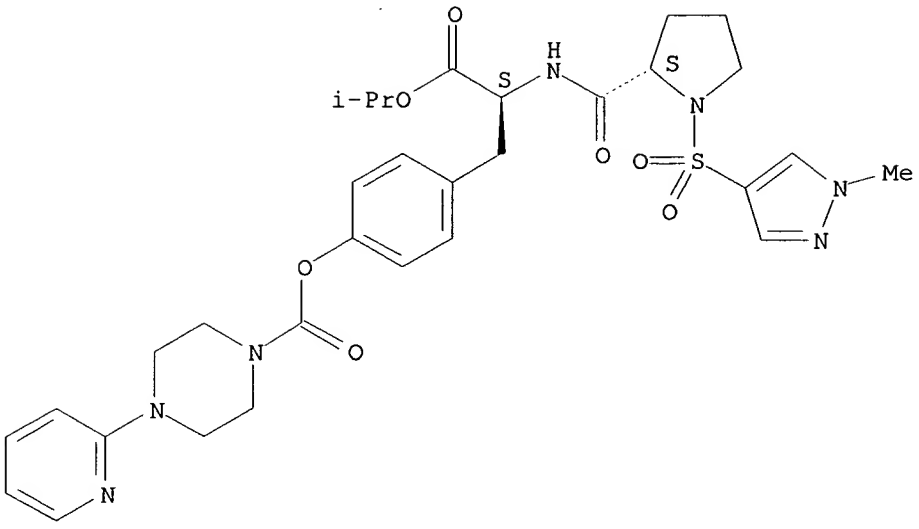
RN 220547-85-3 CAPLUS
CN L-Tyrosine, 1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-L-prolyl-, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



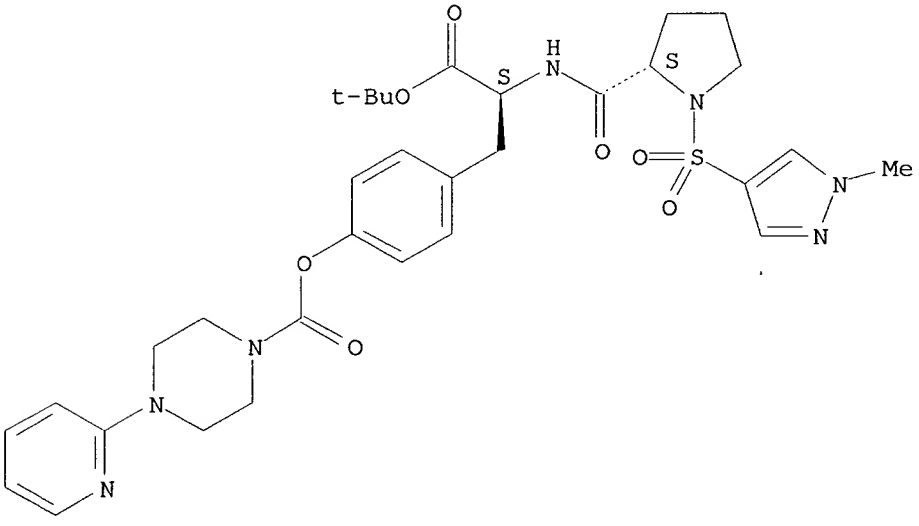
RN 220547-86-4 CAPLUS
CN L-Tyrosine, 1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-L-prolyl-, 1-methylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



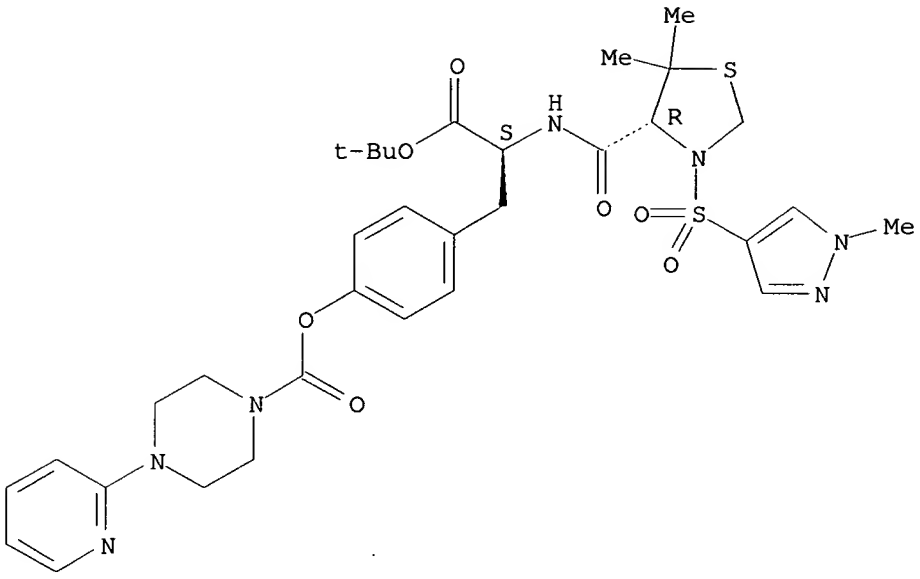
RN 220547-87-5 CAPLUS
CN L-Tyrosine, 1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-L-prolyl-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



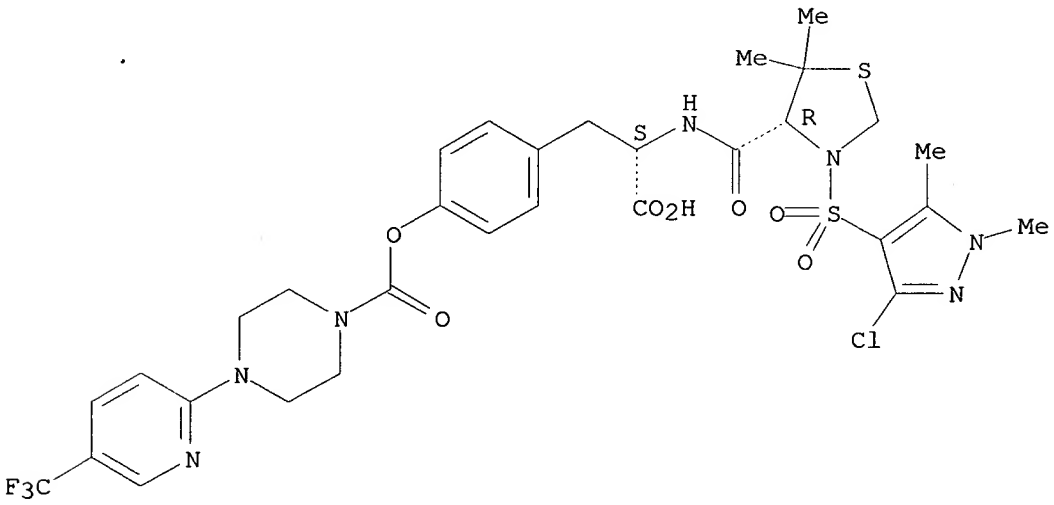
RN 220547-88-6 CAPLUS
CN L-Tyrosine, N-[[(4R)-5,5-dimethyl-3-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-thiazolidinyl]carbonyl]-, 1,1-dimethylethyl ester, 4-(2-pyridinyl)-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220547-93-3 CAPLUS
CN L-Tyrosine, N-[[(4R)-3-[(3-chloro-1,5-dimethyl-1H-pyrazol-4-yl)sulfonyl]-5,5-dimethyl-4-thiazolidinyl]carbonyl]-, 4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

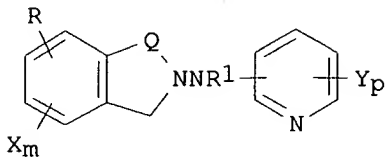
FORMAT

L4 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:485052 CAPLUS
DOCUMENT NUMBER: 129:122575
TITLE: Preparation of N-(pyridinylamino)isoindolines and related compounds for treatment of memory dysfunction and depression.
INVENTOR(S): Kurys, Barbara E.; Fink, David M.; Freed, Brian S.; Merriman, Gregory H.
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829407	A2	19980709	WO 1997-US20591	19971113
WO 9829407	A3	19981022		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6004977	A	19991221	US 1997-959789	19971029
AU 9854349	A1	19980731	AU 1998-54349	19971113
AU 720466	B2	20000601		
EP 950056	A2	19991020	EP 1997-948250	19971113
EP 950056	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1242012	A	20000119	CN 1997-181021	19971113
BR 9714189	A	20000229	BR 1997-14189	19971113
JP 2001511119	T2	20010807	JP 1998-529990	19971113
ZA 9711520	A	19980629	ZA 1997-11520	19971222
NO 9903180	A	19990826	NO 1999-3180	19990625

PRIORITY APPLN. INFO.: US 1996-774308 A 19961227
US 1997-959789 A 19971029
WO 1997-US20591 W 19971113

OTHER SOURCE(S): MARPAT 129:122575
GI



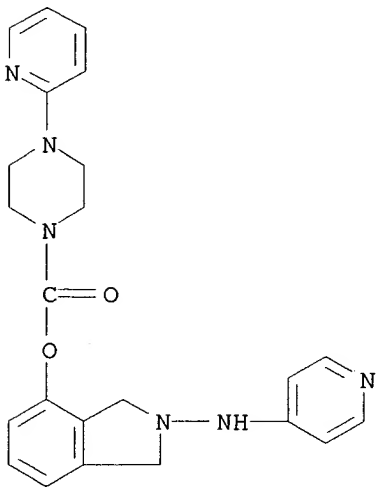
I

AB Title compds. [I; Q = (CH2)n; R = H, R2O, (R3)3Si, R4R5NCO; R2 = H, alkyl, PhCH2; R3 = alkyl; R4, R5 = H, alkyl, PhCH2; R4R5 = tetrahydroisoquinolinyl, pyridinylpiperazinyl; R1 = H, alkyl; X, Y = H, alkyl, halo, OH, alkoxy, CF3; m, p = 1, 2; n = 1-3], were prepd. Thus, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl dimethylcarbamate (prepn. given) inhibited acetylcholinesterase with IC50 = 0.029 mM.

IT **210173-15-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(pyridinylamino)isoindolines and related compds. for treatment of memory dysfunction and depression)

RN 210173-15-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-(2-pyridinyl)-, 2,3-dihydro-2-(4-pyridinylamino)-1H-isoindol-4-yl ester (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:219795 CAPLUS

DOCUMENT NUMBER: 128:257447

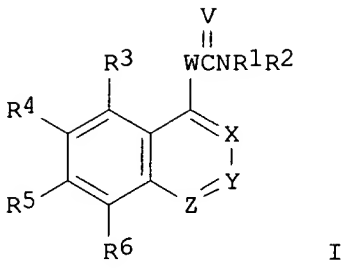
TITLE: Preparation of nitrogenous heterocyclic compounds inhibiting phosphorylation of platelet-derived growth

factors (PDGF) receptors
INVENTOR(S): Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
SOURCE: PCT Int. Appl., 312 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9814431	A1	19980409	WO 1997-JP3510	19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2239227	AA	19980409	CA 1997-2239227	19971001
AU 9744708	A1	19980424	AU 1997-44708	19971001
AU 719392	B2	20000511		
EP 882717	A1	19981209	EP 1997-943133	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1208404	A	19990217	CN 1997-191741	19971001
US 6169088	B1	20010102	US 1998-88199	19980601
US 6207667	B1	20010327	US 2000-481544	20000112
US 2002068734	A1	20020606	US 2000-734918	20001213
US 6472391	B2	20021029		

PRIORITY APPLN. INFO.:			
JP 1996-260743	A	19961001	
WO 1997-JP3510	W	19971001	
US 1998-88199	A3	19980601	
US 2000-481544	A3	20000112	

OTHER SOURCE(S): MARPAT 128:257447
GI



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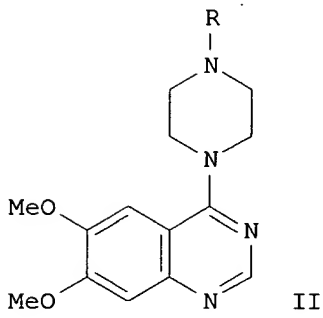
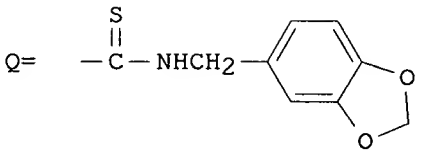


II

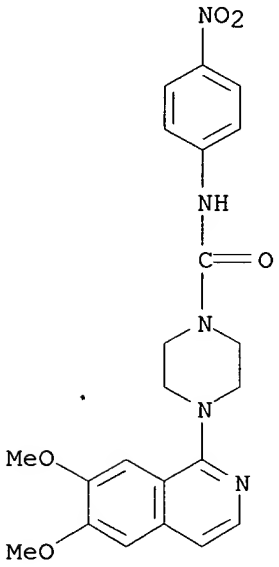


AB Nitrogenous heterocyclic compds. of general formula [I; wherein V is oxygen or sulfur; W is 1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X is nitrogen or C-R9; Y is nitrogen or C-R8; Z is nitrogen or C-R7, with at least one of X, Y and Z being nitrogen; R1 is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl or the like; R2 is substituted alkyl, substituted or unsubstituted cycloalkyl or the like; R3, R4, R5 and R6 are each independently hydrogen, halogeno, substituted or unsubstituted alkyl, nitro, cyano, (un)substituted OH or NH2 or the like; R7, R8 = R1, halogeno or the like; R9 is hydrogen or acyl] and pharmacol. acceptable salts thereof are prepd. These compds. inhibit the phosphorylation of PDGF acceptors and the abnormal proliferation or migration of cells and so are effective in preventing or treating cell proliferative diseases such as arterial sclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-piperazinylquinazoline was dissolved in ethanol, followed by adding Ph isocyanate, and the resulting mixt. was heated at reflux for 10 min to give 4(4-quinazolinyl)piperazine deriv. (II; R = CONHPh). II (R = Q) in vitro showed IC50 of 0.03 .mu.M for inhibiting the phosphorylation of PDGF receptor. Pharmaceutical formulations, e.g. tablet contg. II (R = N-p-nitrophenylcarbamoyl), were prepd.

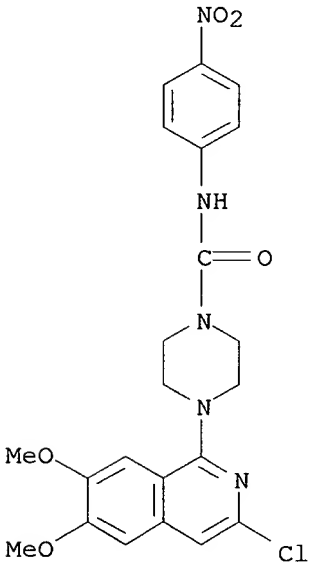
IT **205255-52-3P 205255-53-4P 205258-71-5P 205258-73-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nitrogenous heterocyclic compds. inhibiting phosphorylation of platelet-derived growth factors (PDGF) receptors)

RN 205255-52-3 CAPLUS

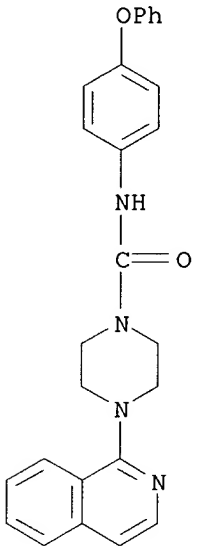
CN 1-Piperazinecarboxamide, 4-(6,7-dimethoxy-1-isoquinolinyl)-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



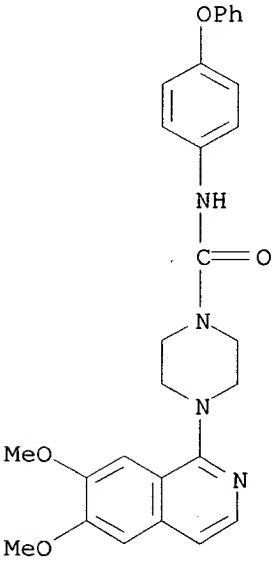
RN 205255-53-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-6,7-dimethoxy-1-isoquinolinyl)-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 205258-71-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(1-isoquinolinyl)-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



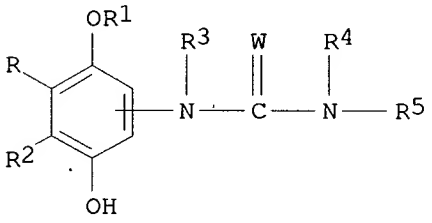
RN 205258-73-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(6,7-dimethoxy-1-isoquinolinyl)-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:589063 CAPLUS
DOCUMENT NUMBER: 127:234183
TITLE: Ureidophenols as ACAT inhibitors and antioxidants
INVENTOR(S): Suzuki, Toshikazu; Ohmizu, Hiroshi; Hashimura, Yoshimasa; Kubota, Hitoshi; Tanaka, Keiko
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 84 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 790240	A1	19970820	EP 1997-102315	19970213
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2197364	AA	19970816	CA 1997-2197364	19970212
JP 10195037	A2	19980728	JP 1997-28582	19970213
US 5849732	A	19981215	US 1997-800680	19970214
CN 1165815	A	19971126	CN 1997-101973	19970217

PRIORITY APPLN. INFO.: JP 1996-28083 19960215
JP 1996-300032 19961112
OTHER SOURCE(S): MARPAT 127:234183
GI



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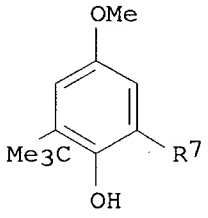


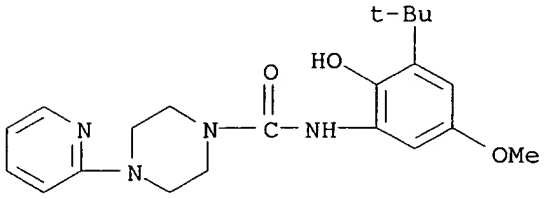
II

AB Ureidophenols I [R = H, alkyl, alkyloxy; R1 = alkyl; R2 = alkyl, alkoxy; R3 = H, alkyl, acyl; W = O, S or NR6; NR4R5 = (un)substituted NH2, N heterocycle; R6 = H, alkyl, aryl, OH, alkoxy] were prepd. I possess both an ACAT inhibitory activity and an antioxidative activity (no data). Thus, 4,2-MeO(Me3C)C6H3OH was treated with 4-MeOC6H4NH2 to give the azobenzene II [R7 = N:NC6H4OMe-4], which was O-protected, reduced to the amine, treated with PhNCO, and O-deprotected to give the ureidophenol II [R7 = NHCONHPh].
IT **195313-47-4P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ureidophenols as ACAT inhibitors and antioxidants)

RN 195313-47-4 CAPLUS

CN 1-Piperazinecarboxamide, N-[3-(1,1-dimethylethyl)-2-hydroxy-5-methoxyphenyl]-4-(2-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L4 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:511081 CAPLUS

DOCUMENT NUMBER: 127:254598

TITLE: Absorption and fluorescence of 1-(2-pyridyl)-piperazine and four diisocyanate derivatives in solution

AUTHOR(S): Salthammer, T.; Wismach, C.; Miertzsch, H.

CORPORATE SOURCE: Wilhelm-Klauditz-Inst., Fraunhofer-Inst. fur Holzforschung, Braunschweig, D-38108, Germany

SOURCE: Journal of Photochemistry and Photobiology, A: Chemistry (1997), 107(1-3), 159-164
CODEN: JPPCEJ; ISSN: 1010-6030

PUBLISHER: Elsevier

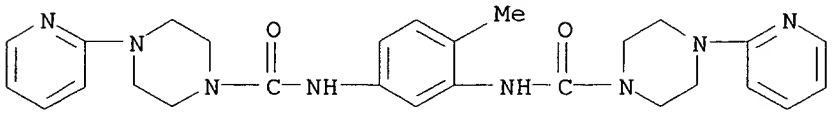
DOCUMENT TYPE: Journal

LANGUAGE: English

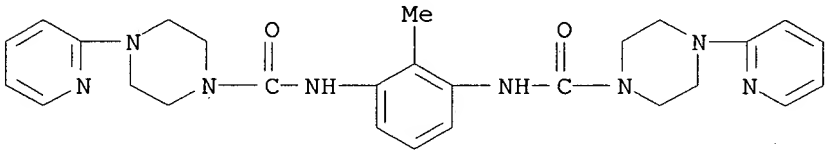
AB Airborne diisocyanates can be detd. by fluorimetry after sampling and derivatization to stable urea derivs. using 1-(2-pyridyl)piperazine (2PP) as reagent. Because the photophys. properties of the 2PP-diisocyanate derivs. are still unknown, the absorption and fluorescence behavior as well as their changes under the influence of heat or irradn. have been investigated in various solvents. From solvent dependent measurement an increase in the dipole moment upon excitation was evident for 2PP. The urea derivs. exhibit a fluorescence .vphi.f = 0.14-0.21 at 20.degree.C, which was found to be strongly dependent on temp. in all cases. The activation energies EA were detd. according to an Arrhenius-type relationship. All urea compds. were stable in methanolic soln. for more than 200 h under exposure to heat (60.degree.) or daylight.

IT **72375-21-4 195625-39-9 195625-40-2**
RL: PRP (Properties)
(absorption and fluorescence of 1-(2-pyridyl)-piperazine and four diisocyanate derivs. in soln.)

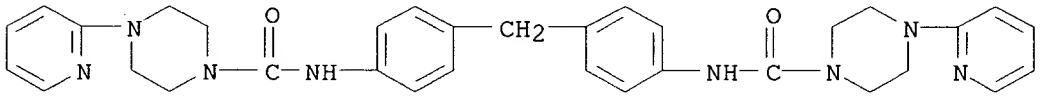
RN 72375-21-4 CAPLUS
CN 1-Piperazinecarboxamide,
N,N'-(4-methyl-1,3-phenylene)bis[4-(2-pyridinyl)-
(9CI) (CA INDEX NAME)



RN 195625-39-9 CAPLUS
CN 1-Piperazinecarboxamide,
N,N'-(2-methyl-1,3-phenylene)bis[4-(2-pyridinyl)-
(9CI) (CA INDEX NAME)



RN 195625-40-2 CAPLUS
CN 1-Piperazinecarboxamide, N,N'-(methylenedi-4,1-phenylene)bis[4-(2-
pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:349674 CAPLUS
DOCUMENT NUMBER: 125:10853
TITLE: Preparation of aryloxyacetyl piperazides and analogs as 5-HT1D receptor antagonists
INVENTOR(S): Halazy, Serge; Jorand, Catherine; Pauwels, Peter
PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9602525	A1	19960201	WO 1995-FR975	19950720

W: AU, CA, JP, NZ, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

FR 2722788	A1	19960126	FR 1994-8981	19940720
FR 2722788	B1	19961004		
CA 2195427	AA	19960201	CA 1995-2195427	19950720
AU 9530808	A1	19960216	AU 1995-30808	19950720
AU 701420	B2	19990128		
EP 773937	A1	19970521	EP 1995-926404	19950720

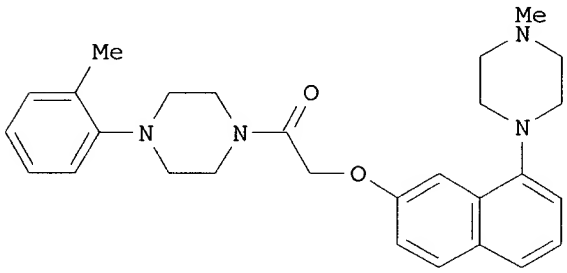
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

JP 10502920	T2	19980317	JP 1995-504769	19950720
US 5789412	A	19980804	US 1997-776057	19970120

PRIORITY APPLN. INFO.: FR 1994-8981 19940720
WO 1995-FR975 19950720

OTHER SOURCE(S): MARPAT 125:10853

GI



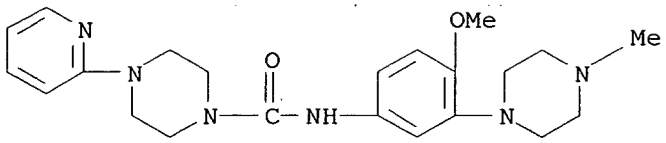
I

AB RZCOXZ1ZR1 [R = (un)substituted (hetero)aryl; R1 = H, alkyl; X = O, NH, CH2O, CH2, CH2NH; Z = piperazine-1,4-diyl; Z1 = arylene] were prepd. Thus, 8 amino-2-naphthol was cyclocondensed with (ClCH2CH2)2NMe and the product etherified by 2-MeC6H4ZCOCH2Cl (Z = piperazine-1,4-diyl) to give title compd. I which had Ki of 0.68 and 0.28nM for binding at 5-HT1D.alpha. and 5-HT1D.beta. receptors, resp.

IT **177488-40-3P 177488-41-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryloxyacetyl piperazides and analogs as 5-HT1D receptor antagonists)

RN 177488-40-3 CAPLUS

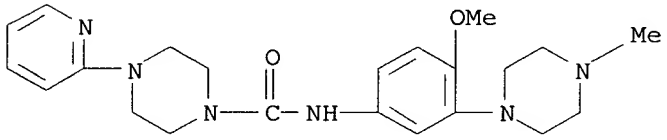
CN 1-Piperazinecarboxamide, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 177488-41-4 CAPLUS
CN 1-Piperazinecarboxamide,
N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-4-
(2-pyridinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

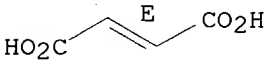
CRN 177488-40-3
CMF C22 H30 N6 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



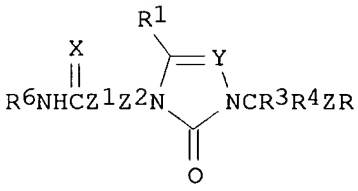
L4 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:254268 CAPLUS
DOCUMENT NUMBER: 124:289576
TITLE: Preparation of
N-[[4-(thio)carbamoylpiperazino]pyridyl
]triazolones and analogs as anti-Helicobacter agents
INVENTOR(S): Heeres, Jan; Stokbroekx, Raymond Antoine; Willems,
Marc; Van Der Aa, Marcel Jozef Maria
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9601820	A1	19960125	WO 1995-EP2617	19950705
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TT, UA, UG, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5639754	A	19970617	US 1995-448155	19950523
AU 9530756	A1	19960209	AU 1995-30756	19950705
AU 684987	B2	19980108		
EP 770072	A1	19970502	EP 1995-926391	19950705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1152309	A	19970618	CN 1995-194024	19950705
CN 1071330	B	20010919		
BR 9508378	A	19971028	BR 1995-8378	19950705
HU 76647	A2	19971028	HU 1997-78	19950705
JP 10502384	T2	19980303	JP 1995-504110	19950705
ZA 9505754	A	19970113	ZA 1995-5754	19950711
IL 114535	A1	19990411	IL 1995-114535	19950711
US 5811426	A	19980922	US 1997-776622	19970108
NO 9700087	A	19970310	NO 1997-87	19970109
FI 9700111	A	19970110	FI 1997-111	19970110

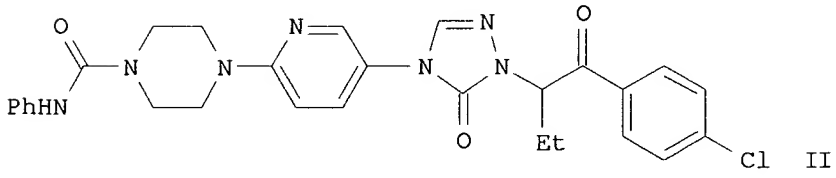
PRIORITY APPLN. INFO.: EP 1994-202017 A 19940712
DE 1994-9420201 U 19940712
WO 1995-EP2617 W 19950705

OTHER SOURCE(S): MARPAT 124:289576

GI



I



II

AB Title compds. [I; R = (un)substituted Ph; R1-R3 = H, alkyl; R6 = alkyl, (un)substituted Ph, -Bz, etc.; X = O or S; Y = CH or N; Z = CO, CH(OH);

Z1

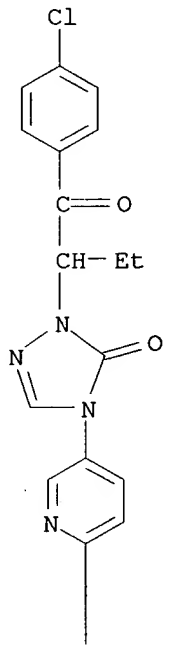
= piperazine-1,4-di-yl; Z2 = 1,4-phenylene, pyridine-2,5-di-yl, pyrimidine-2,5-di-yl] were prepd. Thus, title compd. II had MIC of .ltoreq.1.mu.M against Helicobacter pylori in vitro.

IT **175782-52-2P 175782-58-8P 175782-61-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-[[4-(thio)carbamoylpiperazino]pyridyl]triazolones and analogs as anti-Helicobacter agents)

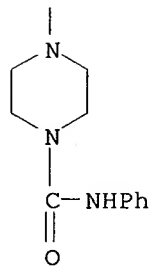
RN 175782-52-2 CAPLUS

CN 1-Piperazinecarboxamide, 4-[5-[1-[1-(4-chlorobenzoyl)propyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]-2-pyridinyl]-N-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A

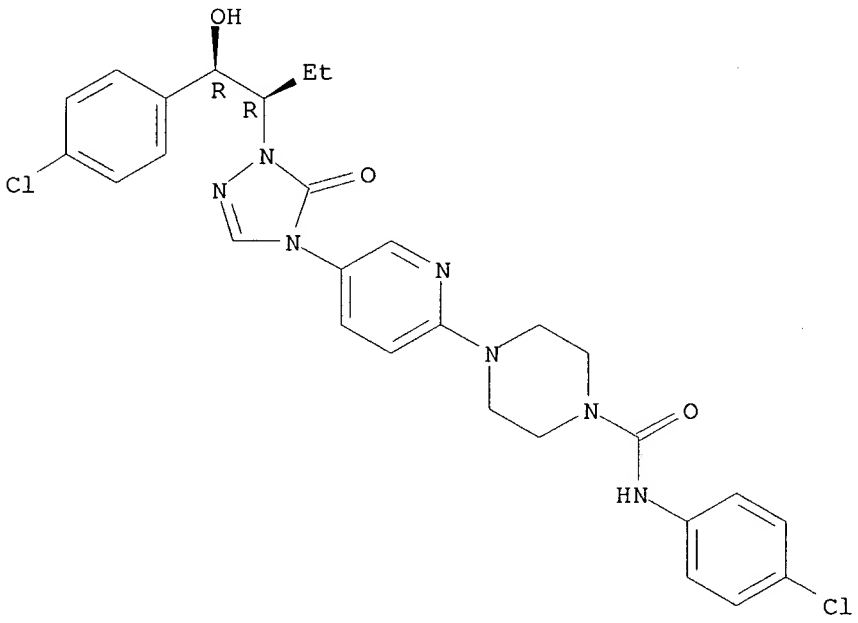


PAGE 2-A



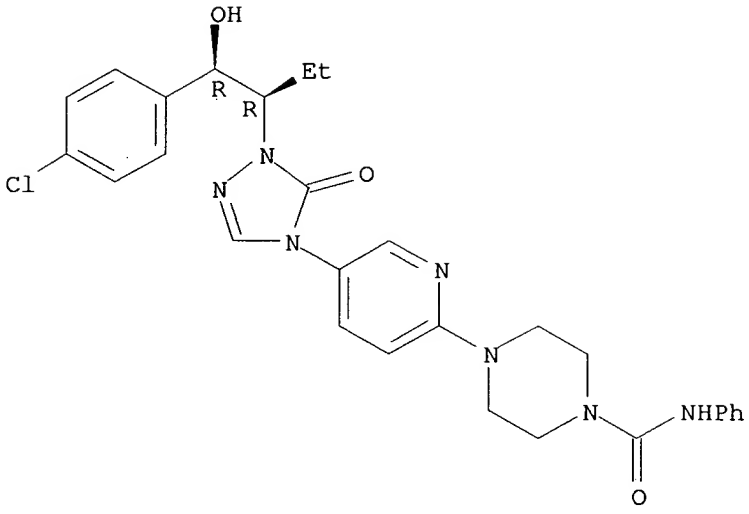
RN 175782-58-8 CAPLUS
CN 1-Piperazinecarboxamide, N-(4-chlorophenyl)-4-[5-[1-[1-[(4-chlorophenyl)hydroxymethyl]propyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]-2-pyridinyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 175782-61-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-[5-[1-[1-[(4-chlorophenyl)hydroxymethyl]propyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]-2-pyridinyl]-N-phenyl-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:71587 CAPLUS
DOCUMENT NUMBER: 124:175686
TITLE: Carbamates of rapamycin
INVENTOR(S): Kao, Wenling; Abou-Gharbia, Magid A.; Vogel, Robert L.
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 160,984, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

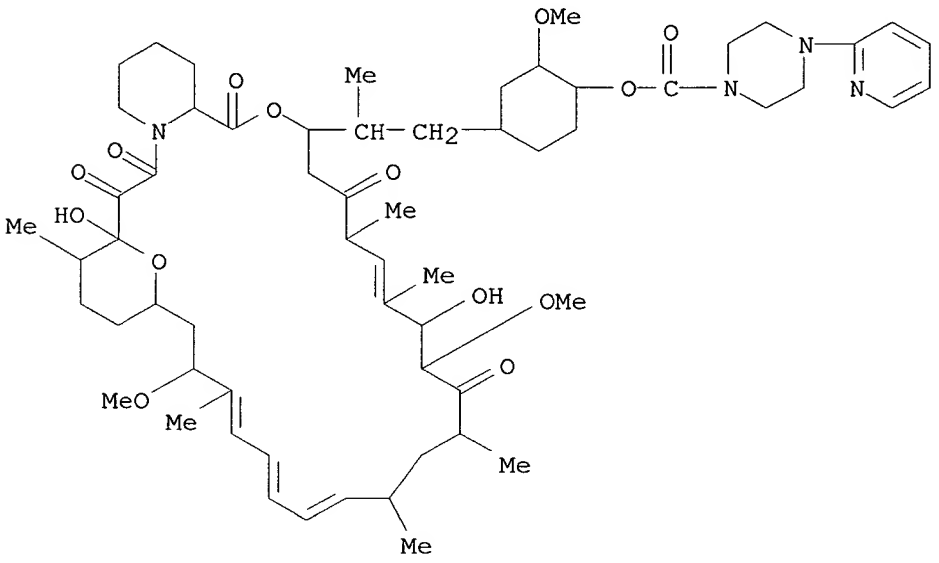
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5480989	A	19960102	US 1994-297663	19940901
US 5302584	A	19940412	US 1993-54655	19930423
US 5530007	A	19960625	US 1995-402590	19950313
US 5559120	A	19960924	US 1995-402571	19950313
US 5508399	A	19960416	US 1995-450835	19950525
US 5530121	A	19960625	US 1995-451104	19950525

PRIORITY APPLN. INFO.: US 1992-960597 B2 19921013
US 1993-54655 A3 19930423
US 1993-160984 B2 19931201
US 1994-297663 A3 19940901
OTHER SOURCE(S): MARPAT 124:175686
AB Rapamycin 42-carbamates with aminoalkanes and nitrogen heterocycles (>50 compds.) were prepd. as immunosuppressants. Thus, rapamycin was esterified by ClCO2C6H4(NO2)-4 and this carbonate amidated with N,N-diethylethylenediamine to give rapamycin 42-(2-

diethylaminoethyl)carbamate (I). I.HCl salt was evaluated for immunosuppressive activity in in vivo pinch skin graft and showed a survival time of 13.6 days at 4 mg/kg vs. controls which were 6-7 days.

IT **173554-30-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of immunosuppressant carbamates of rapamycin)

RN 173554-30-8 CAPLUS
CN Rapamycin, 42-[4-(2-pyridinyl)-1-piperazinecarboxylate] (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:546553 CAPLUS
DOCUMENT NUMBER: 122:290875
TITLE: Preparation of (di)azine-containing cyclohexanecarboxylates and analogs as platelet aggregation inhibitors
INVENTOR(S): Pieper, Helmut; Linz, Guenter; Himmelsbach, Frank; Austel, Volkhard; Mueller, Thomas; Weisenberger, Johannes; Guth, Brian
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4234295	A1	19940414	DE 1992-4234295	19921012
EP 592949	A2	19940420	EP 1993-116244	19931007
EP 592949	A3	19940810		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2108093	AA	19940413	CA 1993-2108093	19931008
JP 06199788	A2	19940719	JP 1993-252019	19931008
FI 9304460	A	19940413	FI 1993-4460	19931011
NO 9303647	A	19940413	NO 1993-3647	19931011
NO 180232	B	19961202		
NO 180232	C	19970312		
AU 9348939	A1	19940428	AU 1993-48939	19931011
AU 668765	B2	19960516		
ZA 9307502	A	19950411	ZA 1993-7502	19931011
CN 1087904	A	19940615	CN 1993-118925	19931012
US 5442064	A	19950815	US 1993-135041	19931012

PRIORITY APPLN. INFO.: DE 1992-4234295 19921012

OTHER SOURCE(S): MARPAT 122:290875

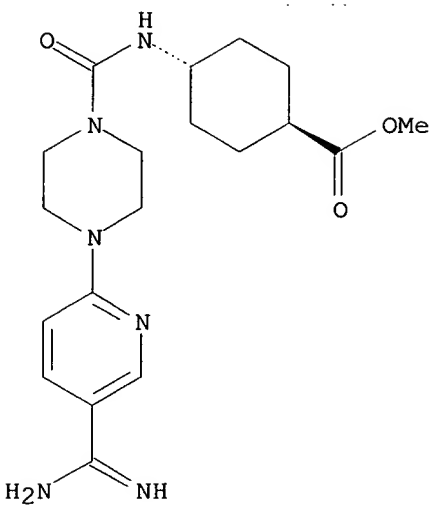
AB ABCDEFG [A = amino(alkyl), C(:NH)NH2, NHC(:NH)NH2, etc.; B = (un)substituted (di)azinylene; C = 1,4-cyclohexylene, 1,4-piperidinylene, etc.; D = CH2, CH2CH2, CO, CH2CO; E = 1,4-cyclohex(en)ylene, 1,4-piperidinylene, etc.; F = alkylene, bond(E .noteq. piperazinylene); G = CO2R5; R5 = H, alkyl, etc.] were prepd. Thus, Me trans-4-aminocyclohexanecarboxylate was amidated by 4-(O2N)C6H4O2CCl and the product condensed with 1-(4-cyanophenyl)piperazine (prepn. given) to give, after hydrogenation, 1-(4-aminophenyl)-[N-[trans-4-(methoxycarbonyl)cyclohexyl]aminocarbonyl]piperazine hydrochloride which had IC50 of 4.300nM against platelet aggregation in vitro.

IT **162996-50-1P 162996-56-7P 162996-71-6P**
162996-72-7P 162996-78-3P 162996-90-9P
162997-01-5P 162997-16-2P 162997-18-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (di)azine-contg. cyclohexanecarboxylates and analogs as platelet aggregation inhibitors)

RN 162996-50-1 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[4-[5-(aminoiminomethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]amino]-, methyl ester, monohydrochloride, trans- (9CI) (CA INDEX NAME)

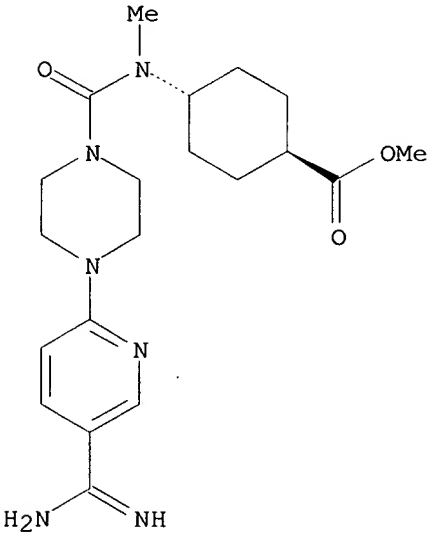
Relative stereochemistry.



● HCl

RN 162996-56-7 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[4-[5-(aminoiminomethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]methylamino]-, methyl ester, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

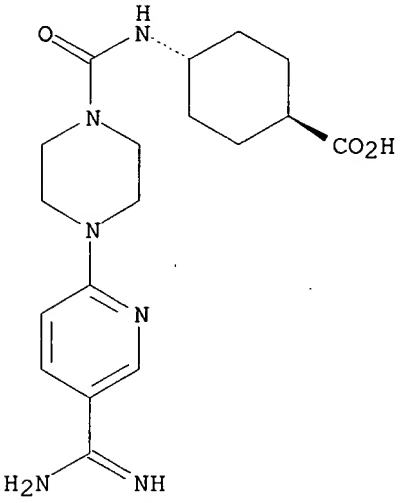


● HCl

RN 162996-71-6 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[4-[5-(aminoiminomethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]amino]-, dihydrochloride, trans- (9CI) (CA INDEX NAME)

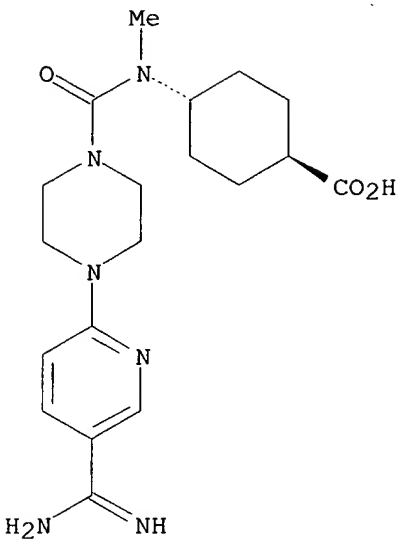
Relative stereochemistry.



●2 HCl

RN 162996-72-7 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[4-[5-(aminoiminomethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]methylamino]-, dihydrochloride, trans- (9CI) (CA INDEX NAME)

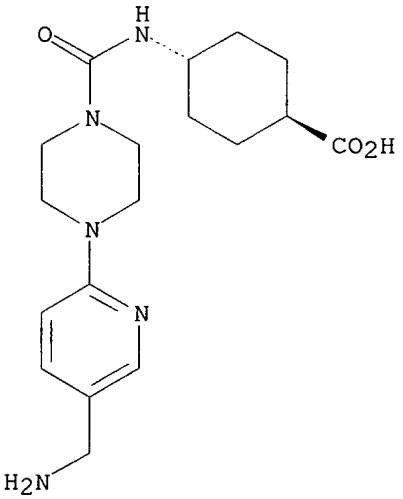
Relative stereochemistry.



●2 HCl

RN 162996-78-3 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[4-[5-(aminomethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]amino]-, dihydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

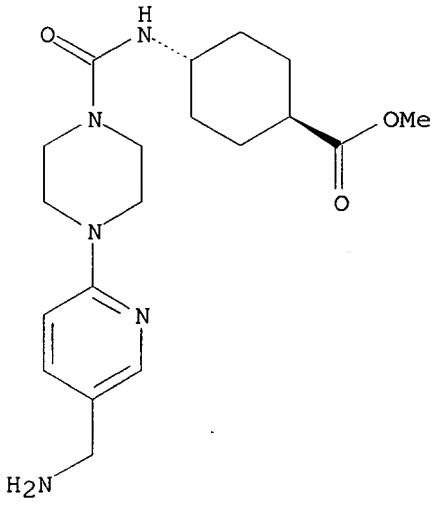


●2 HCl

RN 162996-90-9 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[4-[5-(aminomethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]amino]-, methyl ester, dihydrochloride, trans- (9CI) (CA INDEX NAME)

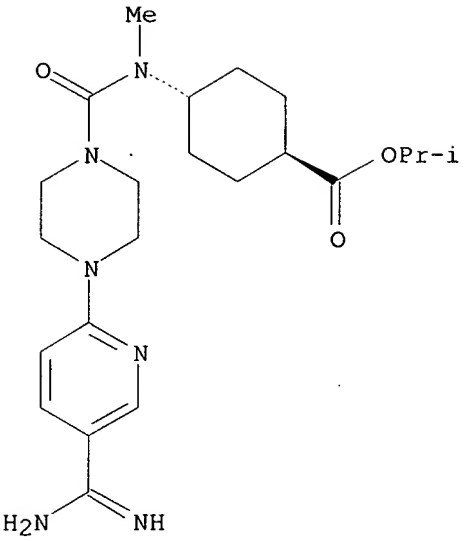
Relative stereochemistry.



●2 HCl

RN 162997-01-5 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[4-[5-(aminoiminomethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]methylamino]-, 1-methylethyl ester, dihydrochloride, trans- (9CI) (CA INDEX NAME)

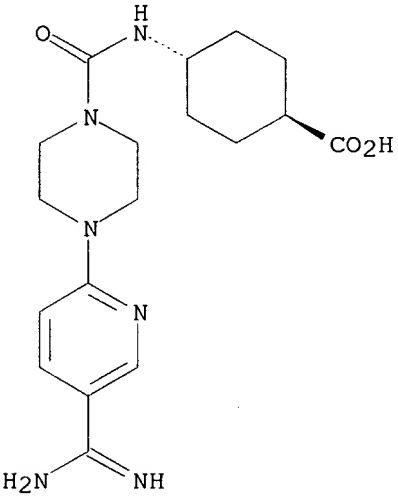
Relative stereochemistry.



●2 HCl

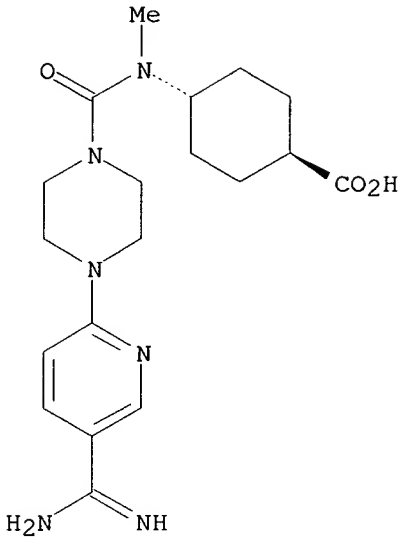
RN 162997-16-2 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[4-[5-(aminoiminomethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162997-18-4 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[4-[5-(aminoiminomethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]methylamino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

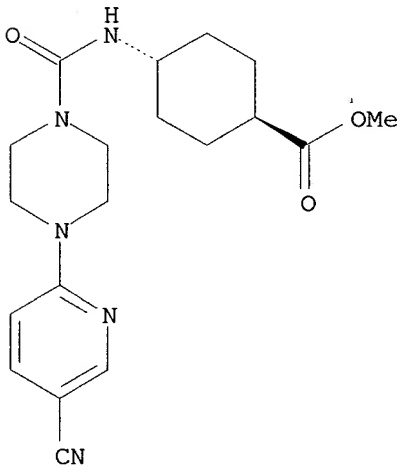


IT **162997-23-1P 162997-26-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (di)azine-contg. cyclohexanecarboxylates and analogs as platelet aggregation inhibitors)

RN 162997-23-1 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[4-(5-cyano-2-pyridinyl)-1-piperazinyl]carbonyl]amino]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

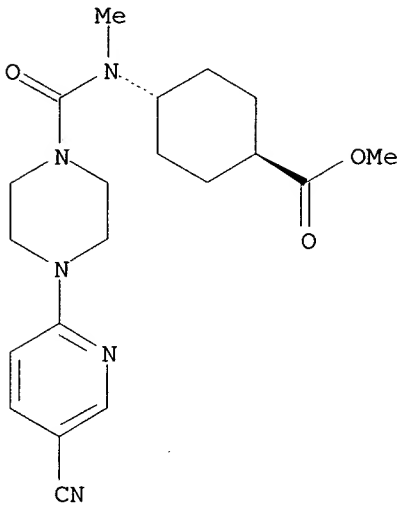


RN 162997-26-4 CAPLUS

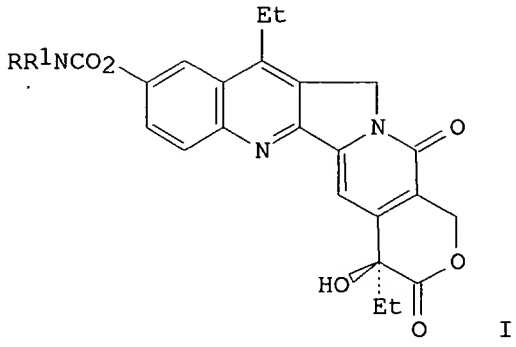
CN Cyclohexanecarboxylic acid, 4-[[[4-(5-cyano-2-pyridinyl)-1-piperazinyl]carbonyl]methylamino]-, methyl ester, trans- (9CI) (CA INDEX

NAME)

Relative stereochemistry.



L4 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:583643 CAPLUS
DOCUMENT NUMBER: 115:183643
TITLE: Synthesis and antitumor activity of 20(S)-camptothecin derivatives: carbamate-linked, water-soluble derivatives of 7-ethyl-10-hydroxycamptothecin
AUTHOR(S): Sawada, Seigo; Okajima, Satoru; Aiyama, Ritsuo; Nokata, Kenichiro; Furuta, Tomio; Yokokura, Teruo; Sugino, Eiichi; Yamaguchi, Kentaro; Miyasaka, Tadashi
CORPORATE SOURCE: Yakult Inst. Microbiol. Res., Kunitachi, 186, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(6), 1446-54
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

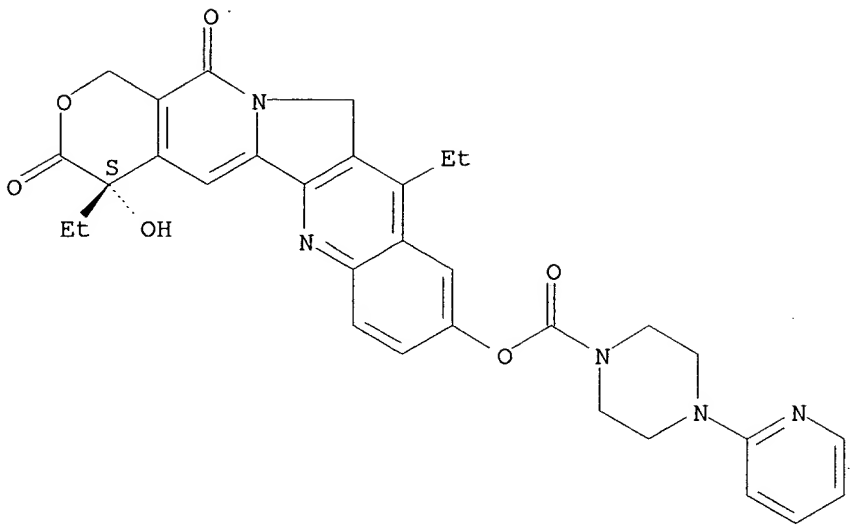
AB Novel 36 derivs. bonding the phenolic hydroxyl group of 7-ethyl-10-hydroxycamptothecin with diamines through a monocarbamate linkage, e.g. I (R = lower alkyl, R1 = Me2NCH2CH2, Et2NCH2CH2, RR1N = substituted piperazino, aminopiperidino) were synthesized and their antitumor activity was evaluated in vivo. The derivs. were sol. in water as their HCl salts with the E lactone ring intact and exhibited significant antitumor activity. I (RR1N = 4-piperidinopiperidino) showed excellent activity against L1210 leukemia and other murine tumors. The structure of its hydrochloride trihydrate was detd. by spectroscopic and crystallog. methods.

IT **136539-39-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antitumor activity of)

RN 136539-39-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-(2-pyridinyl)-, 4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

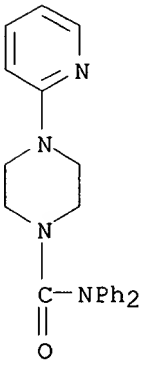


L4 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:112093 CAPLUS
DOCUMENT NUMBER: 112:112093
TITLE: Tetrasubstituted urea cholinergic agents
INVENTOR(S): Butler, Donald E.; Lustgarten, David M.; Moos, Walter H.; Thomas, Anthony J.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

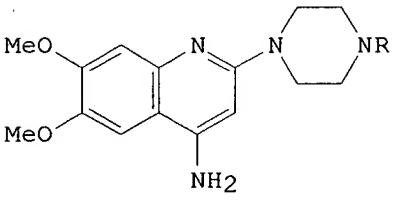
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4782071	A	19881101	US 1986-926163	19861103

OTHER SOURCE(S): CASREACT 112:112093; MARPAT 112:112093
AB The title compds. R1R2NCONR3R4 [I; R1, R2, R4 = (un)substituted phenyl; R3 = pyridinyl], which are prepd., are useful as analgesics or for treating the symptoms of cognitive disorder in the elderly. N-phenyl-4-pyridinamine was treated with diphenylcarbamic chloride in the presence of NEt3 to give I (R1 = R2 = R4 = Ph, R3 = 4-pyridinyl). I (R1 = R2 = Ph; R4 = C6H4Me-4, R3 = 4-pyridinyl) reversed scopolamine-induced swimming activity by 54% at 3.2 mg/kg (dosage method not specified) in rats.
IT **125525-79-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cholinergic and analgesic activity of)
RN 125525-79-3 CAPLUS

CN 1-Piperazinecarboxamide, N,N-diphenyl-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:167272 CAPLUS
DOCUMENT NUMBER: 108:167272
TITLE: 2,4-Diamino-6,7-dimethoxyquinoline derivatives as .alpha.1-adrenoceptor antagonists and antihypertensive agents
AUTHOR(S): Campbell, Simon F.; Hardstone, J. David; Palmer, Michael J.
CORPORATE SOURCE: Dep. Discovery Chem., Pfizer Cent. Res., Sandwich/Kent, UK
SOURCE: Journal of Medicinal Chemistry (1988), 31(5), 1031-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:167272
GI

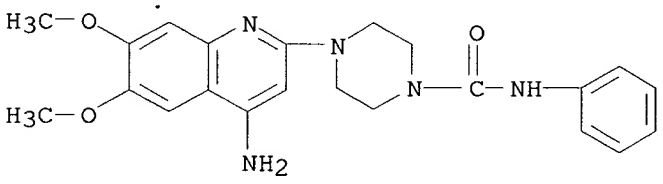


I

AB 2,4-Diamino-6,7-dimethoxyquinolines I [R = H, Ph, CH2Ph, Ac, Bz, 2-furancarbonyl (II), CONHPr, etc.] prepd. by LiN(CHMe2)2- or ZnCl2-catalyzed intramol. cyclization of the corresponding N-[1-(dialkylamino)ethylidene]-2-cyano-4,5-dimethoxyanilines, were

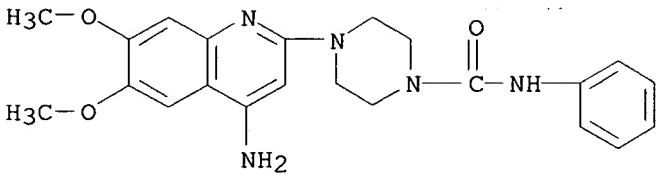
evaluated for .alpha.-adrenoceptor affinity and antihypertensive activity.
Most compds. displayed high in vitro binding affinities for .alpha.1-adrenoceptors with .alpha.1-/.alpha.2-selectivity ratios of at least 104. II was the most potent compd. (Ki = 1.54 .times. 10-10 M); it displayed no activity at .alpha.2-adrenoceptor binding sites at concns. up to 10-6 M. In the rabbit pulmonary artery, II was a highly potent competitive antagonist of the .alpha.1-mediated vasoconstrictor action of noradrenaline and was ca. 20 times more active than prazosin. PKa measurements confirmed that, at physiol. pH, protonation of II would occur on the quinoline N to give a key pharmacophore for .alpha.1-adrenoceptor recognition. Antihypertensive activity for I was evaluated after oral administration (3 mg/kg) to spontaneously hypertensive rats (SHR); drops in blood pressure were detd. at 1 and 4.5 h. I were effective antihypertensive agents in SHR, with both efficacy and duration of action at least equiv. to those of prazosin; II displayed the most favorable overall profile. These observations are consistent with the high affinity and selectivity displayed by I for postjunctional .alpha.1-adrenoceptors.

IT **90402-08-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and adrenoceptor binding and antihypertensive activity of)
RN 90402-08-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(4-amino-6,7-dimethoxy-2-quinolinyl)-N-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

IT **90402-56-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., protonation, and sulfamation of)
RN 90402-56-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(4-amino-6,7-dimethoxy-2-quinolinyl)-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:407051 CAPLUS
DOCUMENT NUMBER: 101:7051
TITLE: 2-Substituted 4-amino-6,7-dimethoxyquinolines
INVENTOR(S): Campbell, Simon Fraser; Hardstone, John David
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Corp.
SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 100200	A1	19840208	EP 1983-304196	19830720
EP 100200	B1	19870506		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4656174	A	19870407	US 1983-515095	19830719
AT 26978	E	19870515	AT 1983-304196	19830720
FI 8302658	A	19840125	FI 1983-2658	19830721
FI 78296	B	19890331		
FI 78296	C	19890710		
ES 524320	A1	19850416	ES 1983-524320	19830721
PL 139498	B1	19870131	PL 1983-243131	19830721
DK 8303373	A	19840125	DK 1983-3373	19830722
DK 166821	B1	19930719		
NO 8302688	A	19840125	NO 1983-2688	19830722
NO 171594	B	19921228		
NO 171594	C	19930407		
AU 8317222	A1	19840126	AU 1983-17222	19830722
AU 548036	B2	19851121		
JP 59033264	A2	19840223	JP 1983-134244	19830722
JP 02019112	B4	19900427		
HU 31688	O	19840528	HU 1983-2594	19830722
HU 190907	B	19861228		
ZA 8305355	A	19840530	ZA 1983-5355	19830722
DD 211555	A5	19840718	DD 1983-253330	19830722
SU 1251801	A3	19860815	SU 1983-3618703	19830722
CS 247073	B2	19861113	CS 1983-5509	19830722
IL 69311	A1	19870130	IL 1983-69311	19830722
CA 1255670	A1	19890613	CA 1983-433023	19830722
SU 1340589	A3	19870923	SU 1984-3732816	19840426
US 4686228	A	19870811	US 1986-925029	19861030

US 4758568	A	19880719	US 1987-48343	19870511
NO 9003181	A	19840125	NO 1990-3181	19900717
NO 173605	B	19930927		
NO 173605	C	19940105		

PRIORITY APPLN. INFO.:

GB 1982-21457	19820724
US 1983-515095	19830719
EP 1983-304196	19830720
NO 1983-2688	19830722
US 1986-925029	19861030

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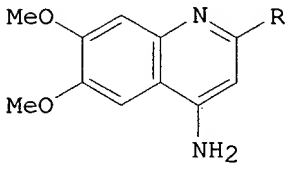

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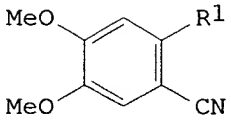


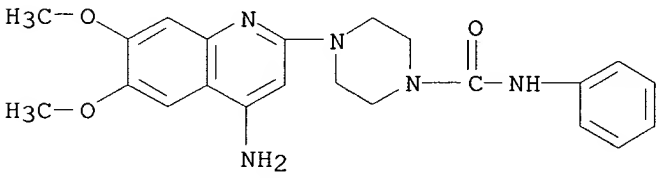
II

AB Antihypertensive (no data) aminodimethoxyquinolines I (R = tertiary amino) were prepd. Thus the aniline II (R1 = NH2) was treated with MeC(OEt)3 to give II (R1 = N:CMeOEt) which was treated with N-benzylpiperazine to give II [R1 = 1-(4-benzylpiperazino)ethylideneamino, III]. Cyclization of III with ZnCl2 gave I (R = 4-benzylpiperazino) which was hydrogenolyzed to I (R = piperazino). Acylation of I (R = piperazino) with 1,4-benzodioxan-2-carbonyl chloride gave I [R = 4-(1,4-benzodioxan-2-ylcarbonyl)piperazino].

IT **90402-08-7P 90402-46-3P 90402-56-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 90402-08-7 CAPLUS

CN 1-Piperazinecarboxamide, 4-(4-amino-6,7-dimethoxy-2-quinolinyl)-N-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

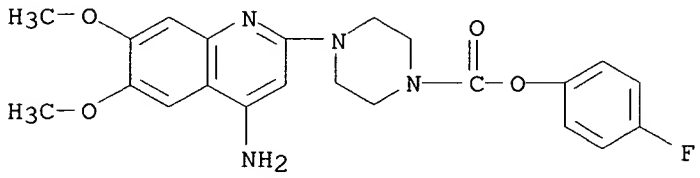


●2 HCl

RN 90402-46-3 CAPLUS

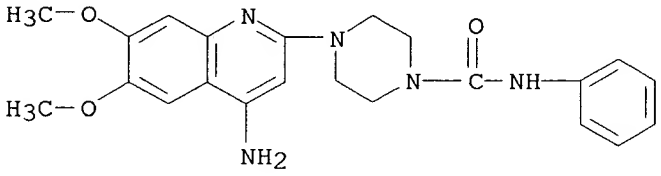
CN 1-Piperazinecarboxylic acid, 4-(4-amino-6,7-dimethoxy-2-quinolinyl)-,

4-fluorophenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

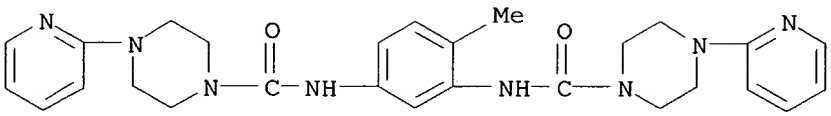
RN 90402-56-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(4-amino-6,7-dimethoxy-2-quinolinyl)-N-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:27816 CAPLUS
DOCUMENT NUMBER: 92:27816
TITLE: Novel reagent for the determination of atmospheric isocyanate monomer concentrations
AUTHOR(S): Hardy, Horace L.; Walker, Ronald F.
CORPORATE SOURCE: Health Saf. Executive, Res. Lab. Serv. Div., London, NW2 6LN, Engl.
SOURCE: Analyst (London) (1979), 104(1242), 890-1
CODEN: ANALAO; ISSN: 0003-2654
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 1-(2-Pyridyl)piperazine was used as the isocyanate-reactive entity in the prepn. of urea derivs. suitable for the detn. of isocyanates by high-performance liq. chromatog. The substituted ureas had high molar absorptivities leading to higher sensitivity in the detn. of isocyanates in air.

IT **72375-21-4**
RL: PRP (Properties)
(UV spectrum of)
RN 72375-21-4 CAPLUS
CN 1-Piperazinecarboxamide, N,N'-(4-methyl-1,3-phenylene)bis[4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



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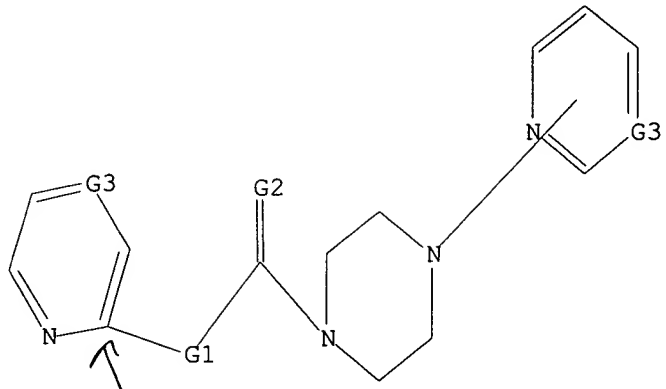
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	137.64	278.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-19.21	-19.21

STN INTERNATIONAL LOGOFF AT 15:51:59 ON 30 OCT 2002



G1 O,N
G2 O,S
G3 C,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -     21 TO ITERATE

100.0% PROCESSED      21 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          146 TO      694
PROJECTED ANSWERS:               1 TO       80

L2             1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:16:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    427 TO ITERATE

100.0% PROCESSED     427 ITERATIONS                               4 ANSWERS
SEARCH TIME: 00.00.03

L3             4 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             140.28     140.49

FILE 'CAPLUS' ENTERED AT 16:16:48 ON 30 OCT 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 30 Oct 2002 VOL 137 ISS 18
FILE LAST UPDATED: 29 Oct 2002 (20021029/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l3
L4 4 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:90039 CAPLUS
DOCUMENT NUMBER: 136:134792
TITLE: Preparation of diarylpiperazines as capsaicin receptor ligands
INVENTOR(S): Bakthavatchalam, Rajagopal
PATENT ASSIGNEE(S): Neurogen Corporation, USA; Hutchison, Alan; Desimone, Robert W.; Hodgetts, Keven J.; Krause, James E.; White, Geoffrey G.
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

own work

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008221	A2	20020131	WO 2001-US22930	20010720
WO 2002008221	A3	20020711		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,

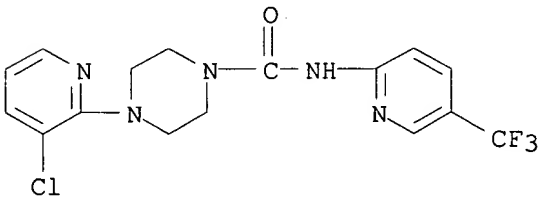
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002132853 A1 20020919 US 2001-910442 20010720
PRIORITY APPLN. INFO.: US 2000-219529P P 20000720
US 2000-230726P P 20000907
US 2001-280223P P 20010330
OTHER SOURCE(S): MARPAT 136:134792

AB Disclosed are diaryl piperazines and related compds. represented by general formula Ar1-A-C(:Z)-NR1-CR3R4-CR3R4-N(R2)Ar2 [I; A = absent, O, S, NRA, CRBRB', NRACRBRB', CRBRB'NRA, -CRA:CRB-, C3H4 (wherein RA, RB, RB' = H, alkyl); Z = O, S; R1, R2 = H, alkyl; R3, R4 = H, halo, HO, NH2, cyano, NO2, CO2H, CHO, each optionally substituted alkyl, alkenyl, alkynyl, alkoxy, mono or dialkylamino, alkylthio, alkyl ketone, alkyl ester, alkylsulfinyl, alkylsulfonyl, mono- or dialkylcarboxamide, -S(O)nNH(alkyl), -S(O)nN(alkyl)(alkyl), -NHCO(alkyl), NHCO(alkyl)(alkyl), -NHS(O)(alkyl), -NS(O)n(alkyl)(alkyl), substituted satd. or partially unsatd. heterocycloalkyl of from 5 to 8 atoms contg. 1, 2, or 3 heteroatoms selected from N, O, and S, aryl having from 1 to 3 rings, or heteroaryl; or any two R3 and R4 not attached to the same carbon may be joined to form an each optionally substituted aryl ring, a satd. or partially unsatd. carbocyclic ring of from 5 to 8 members, or a satd., partially unsatd., or arom. heterocyclic ring of from 5 to 8 members contg. 1, 2, or 3 heteroatoms selected from N, O, and S; Ar1, Ar2 = optionally substituted cycloalkyl, heterocycloalkyl, or heteroaryl; n = 0, 1, and 2]. These compds. are selective modulators, in particular antagonists, of capsaicin receptors, including human capsaicin receptors, and are, therefore, useful in the treatment of a chronic and acute pain conditions, itch and urinary incontinence. The above pain is assocd. with a condition selected from the group consisting of postmastectomy pain syndrome, stump pain, phantom limb pain, oral neuropathic pain, Charcot's pain, toothache, venomous snake bite, spider bite, insect sting, postherpetic neuralgia, diabetic neuropathy, reflex sympathetic dystrophy, trigeminal neuralgia, osteoarthritis, rheumatoid arthritis, fibromyalgia, Guillain-Barre syndrome, meralgia paresthetica, burning-mouth syndrome, bilateral peripheral neuropathy, causalgia, sciatic neuritis, peripheral neuritis, polyneuritis, optic neuritis, postfebrile neuritis, migrating neuritis, segmental neuritis, Gombault's neuritis, neuronitis, cervicobrachial neuralgia, cranial neuralgia, geniculate neuralgia, glossopharyngial neuralgia, migrainous neuralgia, idiopathic neuralgia, intercostals neuralgia, mammary neuralgia, mandibular joint neuralgia, Morton's neuralgia, nasociliary neuralgia, occipital neuralgia, red neuralgia, Sluder's neuralgia, splenopalatine neuralgia, supraorbital neuralgia, vidian neuralgia, sinus headache, tension headache, labor, childbirth, intestinal gas, menstruation, cancer, and trauma. Methods of treatment of such disorders as well as packaged pharmaceutical compns. are also provided. Compds. of the invention are also useful as probes for the localization of capsaicin receptors and as stds. in assays for capsaicin

receptor binding and capsaicin receptor mediated cation conductance. Thus,202 mg Et3N was added to a mixt. of 212 mg (R)-1-(3-Chloropyridin-2-yl)-3-methylpiperazine and 269 mg (4-sec-Butylphenyl)carbamic acid Ph ester in CHCl3 and refluxed for 4 h to give (R)-4-(3-Chloropyridin-2-yl)-2-methylpiperazine-1-carboxylic acid (4-sec-butylphenyl)amide. Compds. I. e.g. N-(4-tert-butylphenyl)-4-(3-chloropyridin-2-yl)piperazine-1-carboxamide, in vitro showed EC50 of <1 .mu.M in an antagonist assay for capsaicin receptor-mediated calcium mobilization using human embryonic kidney (HEK293) cells transfected with a pcDNA3.1 encoding the full length human capsaicin receptor. Methods of using the compds. in receptor localization studies are given.

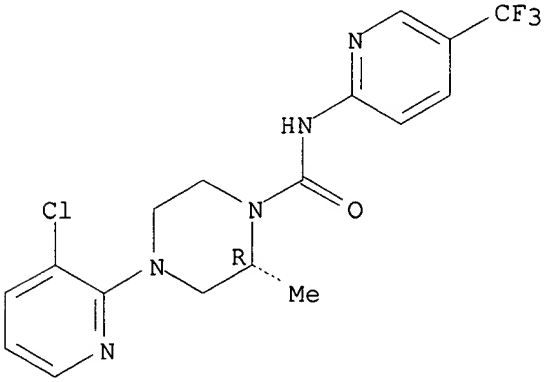
IT **393515-04-3P 393515-05-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylpiperazines as capsaicin receptor ligands for therapeutic agents)

RN 393515-04-3 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-N-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 393515-05-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chloro-2-pyridinyl)-2-methyl-N-[5-(trifluoromethyl)-2-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

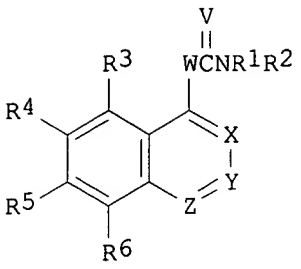


L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:10086 CAPLUS
DOCUMENT NUMBER: 134:86277
TITLE: 1,3-Diazines with platelet-derived growth factor receptor inhibitory activity
INVENTOR(S): Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: U.S., 127 pp., Cont.-in-part of PCT 9814431. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6169088	B1	20010102	US 1998-88199	19980601
WO 9814431	A1	19980409	WO 1997-JP3510	19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6207667	B1	20010327	US 2000-481544	20000112
US 2002068734	A1	20020606	US 2000-734918	20001213
US 6472391	B2	20021029		

PRIORITY APPLN. INFO.:
JP 1996-260743 A 19960110
WO 1997-JP3510 A2 19971001
US 1998-88199 A3 19980601
US 2000-481544 A3 20000112

OTHER SOURCE(S): MARPAT 134:86277
GI



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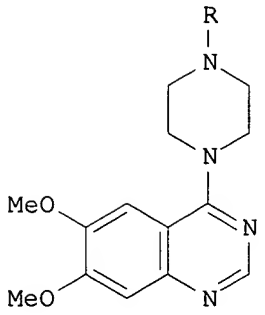

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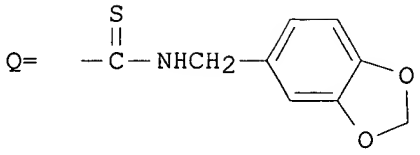


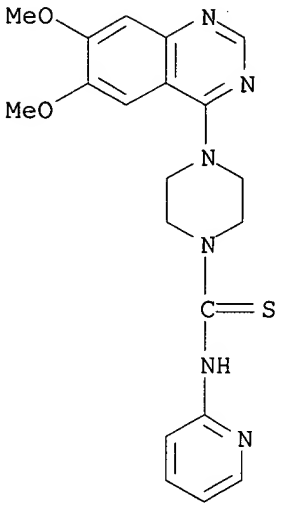
AB 1,3-Diazines and related N heterocycles [I; wherein V = O or S; W = 1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X = N or CR9; Y = N or CR8; Z = N or CR7, with at least one of X, Y and Z being N; R1 = H, (un)substituted alkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = substituted alkyl, (un)substituted cycloalkyl, aryl, heterocyclyl, etc.; R3, R4, R5, R6 = H, halo, (un)substituted alkyl, NO2, cyano, (un)substituted OH or NH2, etc.; R7, R8 = R1 groups, halo, etc.; R9 = H, CO2H or derivs.] and their pharmacol. acceptable salts are prepd. These compds. inhibit the phosphorylation of PDGF receptors and the abnormal proliferation or migration of cells, and so are effective in preventing or treating cell proliferative diseases such as arteriosclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-(1-piperazinyl)quinazoline reacted with Ph isocyanate in refluxing EtOH to give invention compd. II [R = CONHPh] in 44% isolated yield. The analog II [R = Q] showed an IC50 of 0.03 .mu.M for inhibiting the phosphorylation of PDGF receptor in vitro. Pharmaceutical formulations, e.g. tablets contg. II [R = N-(p-nitrophenyl)carbamoyl], were prepd.

IT **205257-09-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,3-diazines with platelet-derived growth factor receptor inhibitory activity)

RN 205257-09-6 CAPLUS

CN 1-Piperazinecarbothioamide, 4-(6,7-dimethoxy-4-quinazolinyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:725471 CAPLUS
DOCUMENT NUMBER: 133:281794
TITLE: Preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors
INVENTOR(S): Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony; Mylari, Banavara Lakshman; Zembrowski, William James
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 328 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059510	A1	20001012	WO 2000-IB296	20000316
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000009433	A	20020115	BR 2000-9433	20000316
EP 1185275	A1	20020313	EP 2000-909565	20000316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO
US 6414149 B1 20020702 US 2000-538039 20000329
NO 2001004642 A 20011128 NO 2001-4642 20010925
PRIORITY APPLN. INFO.: US 1999-127437P P 19990401
WO 2000-IB296 W 20000316
OTHER SOURCE(S): MARPAT 133:281794
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

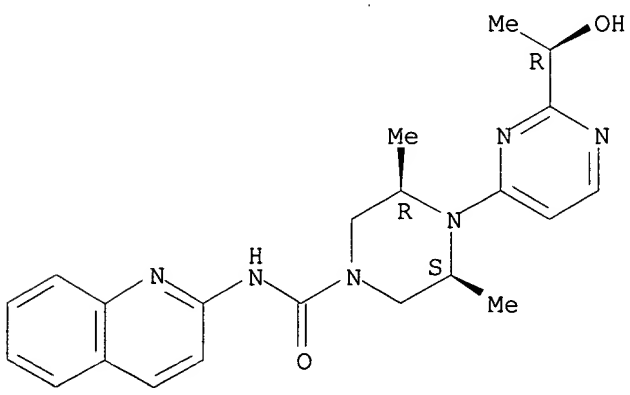
AB The title compds. [I; R1 = CHO, COMe; COCH2Me, etc.; R2 = H, alkyl, alkoxy; R3 = II-IV, etc.; R23 = CONR25R26, SO2NR25R26 (wherein R25 = H, alkyl, arylalkylenyl; R26 = arylalkylenyl); R24 = H, alkyl, alkoxycarbonyl, etc.; R27 = H, alkyl; R28, R29 = H, OH, halo, etc.], sorbitol dehydrogenase inhibitors (no data) which are useful in treating or preventing diabetic complications, particularly diabetic neuropathy, diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy and diabetic cardiomyopathy, were prepd. and formulated. E.g., a multi-step synthesis of the pyrimidine (R)-V, was given. This invention is also directed to pharmaceutical compns. comprising a combination of the compd.I with an aldose reductase inhibitor and to methods of treating or preventing diabetic complications therewith. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an NHE-1 inhibitor and to methods of treating cardiomyopathy and other heart-related problems therewith.

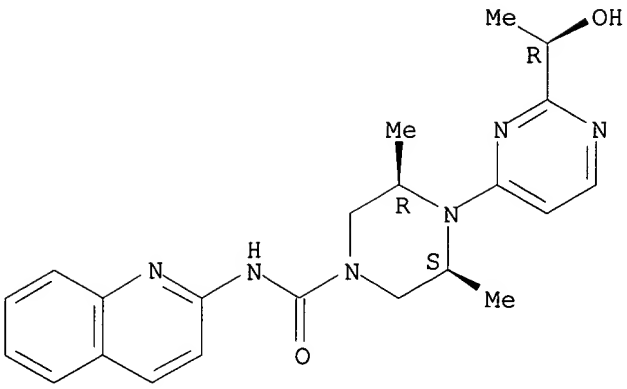
IT **300550-05-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyrimidines as sorbitol dehydrogenase inhibitors)

RN 300550-05-4 CAPLUS

CN 1-Piperazinecarboxamide, 4-[2-[(1R)-1-hydroxyethyl]-4-pyrimidinyl]-3,5-dimethyl-N-2-quinolinyl-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:219795 CAPLUS
DOCUMENT NUMBER: 128:257447
TITLE: Preparation of nitrogenous heterocyclic compounds inhibiting phosphorylation of platelet-derived growth factors (PDGF) receptors
INVENTOR(S): Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
SOURCE: PCT Int. Appl., 312 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9814431	A1	19980409	WO 1997-JP3510	19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2239227	AA	19980409	CA 1997-2239227	19971001
AU 9744708	A1	19980424	AU 1997-44708	19971001
AU 719392	B2	20000511		
EP 882717	A1	19981209	EP 1997-943133	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1208404	A	19990217	CN 1997-191741	19971001
US 6169088	B1	20010102	US 1998-88199	19980601

US 6207667	B1	20010327	US 2000-481544		20000112
US 2002068734	A1	20020606	US 2000-734918		20001213
US 6472391	B2	20021029			
PRIORITY APPLN. INFO.:			JP 1996-260743	A	19961001
			WO 1997-JP3510	W	19971001
			US 1998-88199	A3	19980601
			US 2000-481544	A3	20000112

OTHER SOURCE(S): MARPAT 128:257447

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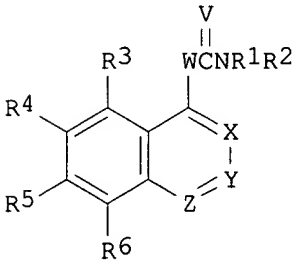
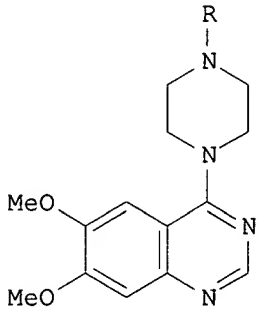
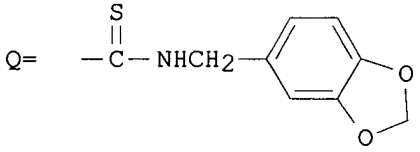


I

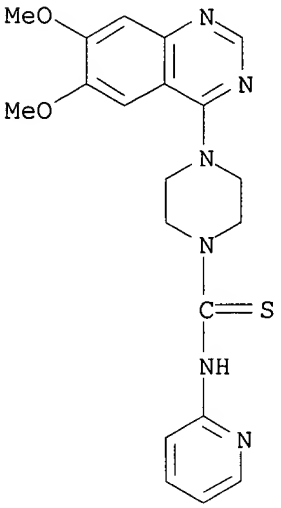


II



AB Nitrogenous heterocyclic compds. of general formula [I; wherein V is oxygen or sulfur; W is 1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X is nitrogen or C-R9; Y is nitrogen or C-R8; Z is nitrogen or C-R7, with at least one of X, Y and Z being nitrogen; R1 is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl or the like; R2 is substituted alkyl, substituted or unsubstituted cycloalkyl or the like; R3, R4, R5 and R6 are each independently hydrogen, halogeno, substituted or unsubstituted alkyl, nitro, cyano, (un)substituted OH or NH2 or the like; R7, R8 = R1, halogeno or the like; R9 is hydrogen or acyl] and pharmacol. acceptable salts thereof are prepd. These compds. inhibit the phosphorylation of PDGF acceptors and the abnormal proliferation or migration of cells and so are effective in preventing or treating cell proliferative diseases such as arterial sclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-piperazinylquinazoline was dissolved in ethanol, followed by adding Ph isocyanate, and the resulting mixt. was heated at reflux for 10 min to give 4(4-quinazolinyl)piperazine deriv. (II; R = CONHPh). II (R = Q) in vitro showed IC50 of 0.03 .mu.M for inhibiting the phosphorylation of PDGF receptor. Pharmaceutical formulations, e.g. tablet contg. II (R = N-p-nitrophenylcarbamoyl), were prepd.

IT **205257-09-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nitrogenous heterocyclic compds. inhibiting phosphorylation
of platelet-derived growth factors (PDGF) receptors)
RN 205257-09-6 CAPLUS
CN 1-Piperazinecarbothioamide,
4-(6,7-dimethoxy-4-quinazolinyl)-N-2-pyridinyl-
(9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	17.95	158.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.48	-2.48

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